```
C:\Program Files\Stnexp\Queries\10552317 (b).str
chain nodes :
  7 15
ring nodes :
  1 2 3 4 5 6 8 9 10 11 12 13
chain bonds :
  2-7 4-15 7-10
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
2-7 4-15 7-10 8-9 8-13 9-10 10-11 11-12 12-13
normalized bonds :
  1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
  containing 1 :
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 15:Atom
Generic attributes :
   15:
   Saturation
                        : Unsaturated
```

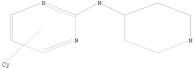
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=> ....Testing the current file.... screen
ENTER SCREEN EXPRESSION OR (END):end
=> screen 1840
    SCREEN CREATED
=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
1.2
    SCREEN CREATED
Uploading C:\Program Files\Stnexp\Queries\10552317.str
chain nodes :
7 15
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13
chain bonds :
2-7 7-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
2-7 7-10 8-9 8-13 9-10 10-11 11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:Atom 16:Atom
Generic attributes :
15:
Saturation
                     : Unsaturated
```

L3 STRUCTURE UPLOADED

=> que L3 AND L1 NOT L2

L4 QUE L3 AND L1 NOT L2

=> d 14
L4 HAS NO ANSWERS
L1 SCR 1840
L2 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L3 STR



Structure attributes must be viewed using STN Express query preparation. L4  $$\tt QUE \tt L3 \tt AND L1 \tt NOT L2 \tt$ 

=> s 14 sss sam SAMPLE SEARCH INITIATED 12:28:44 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 2625 TO ITERATE

76.2% PROCESSED 2000 ITERATIONS 50 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 49427 TO 55573
PROJECTED ANSWERS: 1219 TO 2351

L5 50 SEA SSS SAM L3 AND L1 NOT L2

=> => ....Testing the current file.... screen
ENTER SCREEN EXPRESSION OR (END):end

=> screen 1840

L6 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L7 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10552317 (a).str



```
chain nodes :
7 15
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13
chain bonds :
2-7 4-15 7-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
2-7 4-15 7-10 8-9 8-13 9-10 10-11 11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1:
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:Atom
Generic attributes :
15:
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## STRUCTURE UPLOADED

=> que L8 AND L6 NOT L7

Saturation

L9 OUE L8 AND L6 NOT L7

=> s 19 sss sam

SAMPLE SEARCH INITIATED 12:34:09 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -2625 TO ITERATE

: Unsaturated

76.2% PROCESSED 2000 ITERATIONS 50 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH \*\*COMPLETE\*\* PROJECTED ITERATIONS:

49427 TO 55573

PROJECTED ANSWERS: 1131 TO 2229

50 SEA SSS SAM L8 AND L6 NOT L7 L10

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1840

L11 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L12 SCREEN CREATED

```
Uploading C:\Program Files\Stnexp\Queries\10552317 (b).str
```

```
chain nodes :
7 15
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13
chain bonds :
2-7 4-15 7-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
2-7 4-15 7-10 8-9 8-13 9-10 10-11 11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :
```

Match level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 15:Atom Generic attributes :

15:

Saturation : Unsaturated L13 STRUCTURE UPLOADED

=> que L13 AND L11 NOT L12

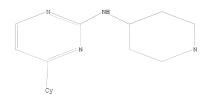
L14 QUE L13 AND L11 NOT L12

=> d 114 L14 HAS NO ANSWERS

L11 SCR 1840

L12 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L13 STR



Structure attributes must be viewed using STN Express query preparation. L14  $$\tt QUE \tt L13 AND L11 \ NOT \ L12 \tt$ 

=> s 114 sss sam

SAMPLE SEARCH INITIATED 12:34:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2625 TO ITERATE

76.2% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) 50 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 49427 TO 55573
PROJECTED ANSWERS: 1131 TO 2229

L15 50 SEA SSS SAM L13 AND L11 NOT L12

=> s 110 sss ful

FULL SEARCH INITIATED 12:35:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 55025 TO ITERATE

100.0% PROCESSED 55025 ITERATIONS

1559 ANSWERS

SEARCH TIME: 00.00.01

L16 1559 SEA SSS FUL L8 AND L6 NOT L7

=> => s 116

L17 74 L16

=> d 117 1-74 bib,ab,hitstr

- L17 ANSWER 1 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2008:1280561 CAPLUS AN
- 149:493700 DN
- TΙ 2-(Morpholin-4-yl)pyrimidine derivatives as PI3K inhibitors and their preparation, pharmaceutical compositions and use in the treatment of
- IN Baker, Stewart James; Goldsmith, Paul John; Hancox, Timothy Colin; Pegg, Neil Anthony: Shuttleworth, Stephen Joseph; Dechaux, Elsa Amandine; Krintel, Sussie Lerche; Price, Stephen; Large, Jonathan Martin; McDonald,
- PA Piramed Limited, UK; The Institute of Cancer Research
- SO PCT Int. Appl., 93pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN CNT 1

E MIN.	TAT	1																			
	PA?	TENT :	NO.		KIND DATE					APPLICATION NO.						DATE					
						/															
PI	WO	WO 2008125833					A1 ( 20081023 )					WO 2008-GB1292						20080414			
		W:															BY,				
			CA,	CH,	CN,	CO,	CR,	~GU,		DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,			
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,			
			KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,			
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,			
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,			
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,			
			IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,			
			TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,			
			TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,			
			AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM										
PRAI	RAI GB 2007-7086							2007	0412												

20070419

GB 2007-7613 A

OS MARPAT 149:493700

AB

acceptable salts. These compds. are inhibitors of PI3K and may thus be used to treat diseases and disorders arising from abnormal cell growth, function or behavior associated with PI3 kinase such as cancer, immune disorders, cardiovascular disease, viral infection, inflammation, metabolism/endocrine function disorders and neurol, disorders. Compds. of formula I wherein R2 is bonded at position 2, R1 is bonded at position 5 or 6; or R1 is bonded at position 2, R2 is bonded at position 6; R1 is (un) substituted - (CH2) m-Y-R3, (un) substituted -[(hetero)arylene-(CH2)n]pNH2 and derivs., -CONH2 and derivs. and -O(CRaRb)n-R3; R2 is (un)substituted indolvl; Y is a direct bond, (un) substituted -O(CH2)n-, (un) substituted -O(CH2) nNH- and derivs. (un)substituted -NH(CH2)n- and derivs., (un)substituted NH(CH2)nO(CH2)nand derivs., etc.; m is 1-3; n is 0-3; p is 0-1; one of Ra and Rb is H, the other one is (un) substituted C1-6 alkyl; or each of Ra and Rb is independently (un)substituted C1-6 alkyl; R3 is unsatd. 5- to 12-membered carbocyclic or heterocyclic ring and (un)substituted saturated 5- to 7-membered N-containing heterocyclic ring; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by Suzuki coupling of (6-chloro-2-morpholin-4-ylpyrimidin-4-yl)-(2-pyridin-3ylethyl)amine with 6-fluoro-4-(4,4,5,5-tetramethyl[1,2,3]dioxaborolan-2yl)-1H-indole. All the invention compds. were evaluated for their PI3K inhibitory activity. From the assay, it was determined that II and other

The invention provides compds. of formula I and their pharmaceutically

#### 10/552,317

tested compds. exhibited the IC50 values of 5 - 500 nM.

IT 1072269-15-8P, N-(1-Benzylpiperidin-4-y1)-N-[4-(1H-indol-4-y1)-6(morpholin-4-y1)pyrimidin-2-y1]amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

(drug candidate; preparation of morpholinylpyrimidine derivs. as PI3K inhibitors useful in the treatment of diseases)

RN 1072269-15-8 CAPLUS

CN 2-Pyrimidinamine, 4-(1H-indol-4-y1)-6-(4-morpholiny1)-N-[1-(phenylmethy1)-4-piperidiny1]- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L17 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
AN
    2008:1248534 CAPLUS
DN
    149:471494
     Preparation of N-acylazetidine MEK inhibitors and 4-aryl-2-aminopyrimidine
     or 4-aryl-2-aminoalkylpyrimidine JAK-2 inhibitors and their combinations
     useful for treating neoplasm
     Lamb, Peter
PA
     Exelixis, Inc., USA
SO
     PCT Int. Appl., 623pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                                            _____
PТ
     WO 2008124085
                          A2
                               20081016
                                           WO 2008-US4434
                                                                    20080403
        W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
             FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
             ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
             PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2007-921878P
                                20070403
OS
    MARPAT 149:471494
AB
     The invention provides methods of treating a disease, particularly cancer,
     by administering a therapeutically effective amount of a MEK inhibitor,
     e.g., I [A = (un)substituted phenylene; X = halo; R3 = H, halo, OH,
     alkoxy, amino; R4 = H, NH2 and derivs., CONH2 and derivs., cycloalkyl,
     etc.; or R3CR4 = CO, C(:NOH); R7 = halo] or a pharmaceutical acceptable
     salt or solvate, or a pharmaceutical composition containing I and a
     pharmaceutically acceptable carrier, in combination with a therapeutically
     effective amount of a KAK-2 inhibitor II [D, E = independently halo, CF3,
     (heterocyclo)alkyl; or DCCE = 5-7 membered heteroaryl, heterocycloalkyl; L
     = a bond, O, NH; Z = alkoxy, cycloalkyl, (un)substituted heteroaryl,
     heterocycloalkyl; Z and R25 together with the C's to which they are
     attached form an (un)substituted 5-6 membered heterocycloalkyl,
     heteroaryl, cycloalkyl; n = 0-4 and each n is independently selected when
     >1 n is present; R1 = H; R2 = substituted Ph, 6-aminopyridin-2-v1,
     4-aminopyrimidin-6-yl, phenylsulfonylamino, etc.] or a pharmaceutical
     acceptable salt or solvate, or a pharmaceutical composition containing II and a
     pharmaceutically acceptable carrier and in combination with other cancer
     treatments. Example compound III was prepared by amidation of
     3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]benzoic acid with
     azetidin-3-ol hydrochloride. Example compound IV was prepared by
     cross-coupling of 2,4-dichloropyrimidine with 4-(acetylamino)phenylboronic
```

inhibitory activity.
If 945754-01-8P, Ethyl 4-[[4-[4-(acetylamino)phenyl]pyrimidin-2yl]amino]piperidine-1-carboxylate 945754-03-0P,

acid; the resulting N-[4-(2-chloropyrimidin-4-yl)phenyl]acetamide underwent amination with N-Boc-1,3-diaminobenzene to give compound IV. I were evaluated for their MEK inhibitory activity and II for their JAK-2

#### 10/552,317

1,1-Dimethylethyl 4-[[4-[4-(acetylamino)phenyl]pyrimidin-2-yl]amino|piperidine-1-carboxylate 945755-25-9P,

N-[4-[2-[(Piperidin-4-y1)amino]pyrimidin-4-y1]pheny1]acetamide

945755-26-0P, N-[4-[2-[[1-[(2,6-Dichlorophenyl)carbonyl]piperidin-4-yl]amino]pyrimidin-4-yl]phenyl]acetamide 945756-08-1P,

(2,6-Dichlorophenyl)[4-[[4-[4-(methyl)thiophen-2-yl]pyrimidin-2-

y1]amino]piperidin-1-y1]methanone 945756-10-5P,

(2,6-Dichlorophenyl)[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]methanone 945756-14-9P,

(2,6-Dichlorophenyl)[4-[[4-[5-(methyl)thiophen-2-yl]pyrimidin-2-

yl]amino]piperidin-1-yl]methanone 1071297-52-3P

y1]amino]piperidin-1-y1]methanone 1071297-52-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(JAK-2 inhibitor; preparation of N-acylazetidine MEK inhibitors and 4-aryl-2-aminopyrimidine or 4-aryl-2-aminoalkylpyrimidine JAK-2 inhibitors and methods of using their combinations for treating neoplasm)

RN 945754-01-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[4-(acetylamino)phenyl]-2pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 945754-03-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[4-(acetylamino)phenyl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 945755-25-9 CAPLUS

CN Acetamide, N-[4-[2-(4-piperidinylamino)-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

RN 945755-26-0 CAPLUS
CN Acetamide, N-[4-[2-[[1-(2,6-dichlorobenzoyl)-4-piperidinyl]amino]-4pyrimidinyl]phenyl]- (CA INDEX NAME)

RN 945756-08-1 CAPLUS

CN Methanone, (2,6-dichlorophenyl)[4-[[4-(4-methyl-2-thienyl)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

Me

RN 945756-10-5 CAPLUS

CN Methanone, (2,6-dichlorophenyl)[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 945756-14-9 CAPLUS

CN Methanone, (2,6-dichlorophenyl)[4-[[4-(5-methyl-2-thienyl)-2-

pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 1071297-52-3 CAPLUS

CN Methanone, (2,6-dichlorophenyl)[4-[[4-(4-methyl-2-thienyl)-2pyrimidinyl]amino]-1-piperidinyl]-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 945756-08-1

CMF C21 H20 C12 N4 O S

CM

CRN 64-19-7

CMF C2 H4 O2

тт 945756-45-6

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of N-acylazetidine MEK inhibitors and 4-aryl-2-aminopyrimidine or 4-ary1-2-aminoalkylpyrimidine JAK-2 inhibitors and methods of using

their combinations for treating neoplasm)

RN 945756-45-6 CAPLUS

CN 2-Pyrimidinamine, 4-(5-methyl-2-thienyl)-N-4-piperidinyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- L17 ANSWER 3 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2008:1039048 CAPLUS AN
- DN 149:307871
- TI 4-(Pyrrolopyridinyl)pyrimidin-2-ylamine derivatives as cell proliferation inhibitors, their preparation, pharmaceutical compositions, and use as antitumor agents
- Dorsch, Dieter; Sirrenberg, Christian; Mueller, Thomas J. J.; Merkul,
- PA Merck Patent G.m.b.H., Germany
- SO Ger. Offen., 32pp. CODEN: GWXXBX
- DT Patent
- T.A German
- FAN. CNT 2

	PATENT NO.	KIND DATE	APPL:	ICATION NO.	DATE			
PI	DE 102007008419			007-102007008419				
	WO 2008101587			008-EP634	20080128			
				BB, BG, BH, BR,				
				DM, DO, DZ, EC,				
	FI, GB, GD	, GE, GH, GM,	GT, HN, HR,	HU, ID, IL, IN,	IS, JP, KE,			
	KG, KM, KN	, KP, KR, KZ,	LA, LC, LK,	LR, LS, LT, LU,	LY, MA, MD,			
	ME, MG, MK	MN, MW, MX,	MY, MZ, NA,	NG, NI, NO, NZ,	OM, PG, PH,			
	PL, PT, RO	, RS, RU, SC,	SD, SE, SG,	SK, SL, SM, SV,	SY, TJ, TM,			
	TN, TR, TT	TZ, UA, UG,	US, UZ, VC,	VN, ZA, ZM, ZW				
	RW: AT, BE, BG	CH, CY, CZ,	DE, DK, EE,	ES, FI, FR, GB,	GR, HR, HU,			
	IE, IS, IT	LT, LU, LV,	MC, MT, NL,	NO, PL, PT, RO,	SE, SI, SK,			
	TR, BF, BJ	. CF, CG, CI,	CM, GA, GN,	GQ, GW, ML, MR,	NE, SN, TD,			
	TG, BW, GH	GM, KE, LS,	MW, MZ, NA,	SD, SL, SZ, TZ,	UG, ZM, ZW,			
	AM, AZ, BY	KG, KZ, MD,	RU, TJ, TM					
PRAI	DE 2007-1020070084	19 A 2007	0221					

- The invention relates to 4-(pyrrolopyridinyl)pyrimidin-2-ylamines of formula I, which are inhibitors of cell proliferation/cell vitality and can be used for treatment of tumors. In compds. I, R1 is H, A, -[C(R6)2]n-Ar, -[C(R6)2]n-Het, or -[C(R6)2]n-cycloalkyl; A is C1-10 alkyl, where one or two CH2 groups may be replaced by 0- or S-atoms and/or by -CH=CH- groups and 1-7 H atoms may be replaced by F; n is 0-2; R6 is H or C1-6 alkyl; Ar is (un)substituted C5-14 aryl; Het is (un)substituted monoor bicyclic heterocyclyl or heteroaryl containing 1-4 heteroatoms selected from N. O. and S: R2 is H or A: R3 and R4 are independently selected from H, halo, cyano, A, -[C(R6)2]n-Ar, -[C(R6)2]n-Het, and -[C(R6)2]n-cycloalkyl; R5 is H, A, -[C(R6)2]n-Ar, -[C(R6)2]n-Het, or -[C(R6)2]n-cycloalkyl; including pharmaceutically acceptable derivs., solvates, salts, tautomers, and stereoisomers thereof. The invention also relates the preparation of I, pharmaceutical compns. comprising at least one compound I, optionally in combination with other active agents, along with carriers and/or adjuvants, if necessary, as well as to the use of the compns. for the treatment of tumors, tumor growth, tumor metastasis, and/or AIDS. Palladium-catalyzed carbonylation of tert-Bu 3-iodopyrrolo[3,2-c]pyridine-1-carboxylate with trimethylsilylacetylene and carbon monoxide resulted in the formation of ketone II, which underwent heterocyclization with phenylguanidinium carbonate to give pyrimidine III. The compds. of the invention have antiproliferative activity, e.g., compound III expressed IC50 values between 10 nM and 1 µM for inhibition of cell proliferation of intestinal, ovarian, prostate, and breast cancer cell lines.
- 1050373-17-5P, 2-((4-Pyridiny1)amino)-4-(1H-pyrrolo[3,2-c]pyridin-

3-y1)pyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of (pyrrolopyridinyl)pyrimidinylamines as cell proliferation inhibitors useful as antitumor agents)

RN 1050373-17-5 CAPLUS CN 2-Pyrimidinamine, N-4-pyridinyl-4-(1H-pyrrolo[3,2-c]pyridin-3-yl)- (CA INDEX NAME)

Page 15

- L17 ANSWER 4 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2008:1039047 CAPLUS AN
- DN 149:307870
- TΙ 4-(Pyrrolopyridinyl)pyrimidin-2-ylamine derivatives as cell proliferation inhibitors, their preparation, pharmaceutical compositions, and use as antitumor agents
- IN Dorsch, Dieter; Sirrenberg, Christian; Mueller, Thomas J. J.; Merkul,
- PA Merck Patent G.m.b.H., Germany
- SO PCT Int. Appl., 70pp.
- CODEN: PIXXD2 DT Patent
- T.A German
- FAN.CNT 2

PATENT NO.							KIND DATE				APPL	ICAT:	DATE						
						\													
PI	WO	2008101587					A1 (20080828)			)	WO 2	008-		20080128					
		W:	ΑE,	AG,	AL,	AM,	AO	AT,	AU/	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,	
			CA,	CH,	CN,	CO,	CR,	C82.	∞eZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	
			KG,	KM,	KN,	KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	zw				
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,	
			IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	
			TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
			AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM								
	DE 102007008419					A1 20080828				DE 2	007-	8419	20070221						
PRAI	DE	2007	-102	0070	0841	9 A 20070221													

OS MARPAT 149:307870

AB

The invention relates to 4-(pyrrolopyridinyl)pyrimidin-2-ylamines of formula I, which are inhibitors of cell proliferation/cell vitality and can be used for treatment of tumors. In compds. I, R1 is H, A, -[C(R6)2]n-Ar, -[C(R6)2]n-Het, or -[C(R6)2]n-cycloalkyl; A is C1-10 alkyl, where one or two CH2 groups may be replaced by 0- or S-atoms and/or by -CH=CH- groups and 1-7 H atoms may be replaced by F; n is 0-2; R6 is H or C1-6 alkvl; Ar is (un)substituted C5-14 arvl; Het is (un)substituted monoor bicyclic heterocyclyl or heteroaryl containing 1-4 heteroatoms selected from N. O. and S: R2 is H or A: R3 and R4 are independently selected from H, halo, cyano, A, -[C(R6)2]n-Ar, -[C(R6)2]n-Het, and -[C(R6)2]n-cycloalkyl; R5 is H, A, -[C(R6)2]n-Ar, -[C(R6)2]n-Het, or -[C(R6)2]n-cycloalkyl; including pharmaceutically acceptable derivs., solvates, salts, tautomers, and stereoisomers thereof. The invention also relates the preparation of I, pharmaceutical compns. comprising at least one compound I, optionally in combination with other active agents, along with carriers and/or adjuvants, if necessary, as well as to the use of the compns. for the treatment of tumors, tumor growth, tumor metastasis, and/or AIDS. Palladium-catalyzed carbonylation of tert-Bu 3-iodopyrrolo[3,2-c]pyridine-1-carboxylate with trimethylsilylacetylene and carbon monoxide resulted in the formation of ketone II, which underwent heterocyclization with phenylguanidinium carbonate to give pyrimidine III. The compds. of the invention have antiproliferative activity, e.g., compound III expressed IC50 values between 10 nM and 1  $\mu\text{M}$ for inhibition of cell proliferation of intestinal, ovarian, prostate, and breast cancer cell lines.

- II 1050373-17-5P, 2-((4-Pyridiny1)amino)-4-(1H-pyrrolo[3,2-c]pyridin-3-y1)pyrimidine
  - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (drug candidate; preparation of (pyrrolopyridinyl)pyrimidinylamines as cell proliferation inhibitors useful as antitumor agents)
  N 1058373-17-5 CAPLUS
- RN 1050373-17-5 CAPLUS CN 2-Pyrimidinamine, N-4-pyridinyl-4-(1H-pyrrolo[3,2-c]pyridin-3-yl)- (CA INDEX NAME)
- N N

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 5 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2008:979351 CAPLUS AN
- DN 149:268069
- TΙ Pyridine derivatives as PI3K inhibitors and their preparation,
- pharmaceutical compositions and use in the treatment of diseases IN Pecchi, Sabina; Ni, Zhi-Jie; Burger, Matthew; Wagman, Allan; Atallah, Gordana; Bartulis, Sarah; Ng, Simon; Pfister, Keith B.; Smith, Aaron; Zhang, Yanchen; Merritt, Hanne; Voliva, Charles
- Novartis Vaccines and Diagnostics, Inc., USA
- PCT Int. Appl., 99pp. SO
- CODEN: PIXXD2
- DT Patent
- T.A English FAN. CNT 1

	PATENT	NO.	KIND DATE			APPLICATION NO.							DATE			
PI	WO 2008	3098058	A1 (20080814			1	WO 2	008-		20080206						
	W:	AE, AG	, AL,	AM,	AÔ,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA, CH	, CN,	CO,	CR,	CO.	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI, GB	, GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG, KM	, KN,	KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME, MG	, MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL, PT	, RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,
		TN, TR	, TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	zw			
	RW:	: AT, BE	, BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
		IE, IS	, IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR, BF	, BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG, BW	, GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM, AZ	, BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM							
PRAI	US 2001	7-888465	P		2007	0206										

OS MARPAT 149:268069 AB

The invention relates to compds. of formula I and their pharmaceutically acceptable salts and prodrugs thereof, which are phosphatidylinositol 3 kinase (PI3K) inhibitors; to compns. of the compds., either alone or in combination with at least one addnl. therapeutic agent, with a pharmaceutically acceptable carrier; to uses of the compds., either alone or in combination with at least one addnl. therapeutic agent, in the prophylaxis or treatment of diseases characterized by the abnormal activity of growth factors, protein serine/threonine kinases, and phospholipid kinases, including proliferative diseases, inflammatory and obstructive airways diseases, allergic conditions, autoimmune and cardiovascular diseases. Compds. of formula I wherein X is N, Y is (un) substituted CH; or Y is N, X is (un) substituted CH; Z is N and (un) substituted CH; R1 is H, (un) substituted alkyl, (un) substituted alkenyl, (un)substituted alkynyl, (un)substituted alkoxy, (un)substituted (hetero)arvl, etc.; R2 and R4 are independently H, (un)substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, (un) substituted alkoxy, (un) substituted (hetero) aryl, (un) substituted (un) substituted heterocyclyl, (un)substituted cycloalkyl, etc.; R3 is H, (un)substituted alkyl, (un)substituted -CO-alkyl, (un)substituted 3- to 7-membered cycloalkyl and (un)substituted 4- to 7-membered heterocyclyl; and their stereoisomers, tautomers, and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by Suzuki coupling of 2-chloro-4-(morpholin-4-yl)-6-(tetrahydro-2H-pyran-4-yloxy)pyrimidine with 5-[4,4,5,5-tetramethyl(1,3,2-dioxaborolan-2-yl)]-4-(trifluoromethyl)-2pyridylamine. All the invention compds. were evaluated for their PI3K inhibitory activity.

#### 10/552,317

IT 1045860-82-9P, 6-(Morpholin-4-y1)-2-[[3-(trifluoromethy1)pyridin-4y1]amino]-4,5'-bipyrimidine-2'-amine 1045860-84-1P,

6-(Morpholin-4-y1)-2-[[8-(trifluoromethy1)quinolin-4-y1]amino]-4,5'-bipyrimidine-2'-amine 1045860-94-3P,

2'-(Methylamino)-6-(morpholin-4-yl)-2-[[3-(trifluoromethyl)pyridin-4-yl]amino]-4,5'-bipyrimidine 1045860-96-5P,

2'-(Methylamino)-6-(morpholin-4-yl)-2-[[8-(trifluoromethyl)quinolin-4-yl]amino]-4.5'-bipyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyridine derivs. as PI3K inhibitors useful in the treatment of diseases)

RN 1045860-82-9 CAPLUS

CN [4,5'-Bipyrimidine]-2,2'-diamine, 6-(4-morpholiny1)-N2-[3-(trifluoromethy1)-4-pyridiny1]- (CA INDEX NAME)

RN 1045860-84-1 CAPLUS

CN [4,5'-Bipyrimidine]-2,2'-diamine, 6-(4-morpholiny1)-N2-[8-(trifluoromethy1)-4-quinoliny1]- (CA INDEX NAME)

RN 1045860-94-3 CAPLUS

CN [4,5'-Bipyrimidine]-2,2'-diamine, N2'-methyl-6-(4-morpholinyl)-N2-[3-(trifluoromethyl)-4-pyridinyl]- (CA INDEX NAME)

- RN 1045860-96-5 CAPLUS
- CN [4,5'-Bipyrimidine]-2,2'-diamine, N2'-methyl-6-(4-morpholinyl)-N2-[8-(trifluoromethyl)-4-quinolinyl]- (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN

P

- AN 2008:806540 CAPLUS
- DN 149:128862
- TI Preparation of pyridinyl-substituted pyrimidine derivatives as inhibitors of cyclin-dependently kinase (CDK)
- IN Beckwith, Rohan Eric John; Curtis, Daniel Tim; Harrington, Edmund;
- Hinrichs, Jurgen Hans-Hermann; Tallarico, John Anthony PA Novartis AG, Switz.
- SO PCT Int. Appl., 113pp.
- CODEN: PIXXD2
- DT Patent
- LA English FAN.CNT 1

	PATEN	I NO.	KIN	D	DATE			APPL	ICAT:		DATE						
				/ >								0000000					
PI		080799 080799			A2 A3								20071220				
			AG,	AL,					вX,	BB,	BG,	вн,	BR,	BW,	BY,	BZ,	CA,
		CH,	CN,	CO,	CR,	CU,	~6Z.	DE.	ĎΚ,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,
			GD,														
		KM,	KN,	KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
		MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	zw				
	F	W: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA					

20061222

PRAI US 2006-871471P

OS MARPAT 149:128862

- AB Title compds I [m = 0-1; n = 0-1; Al, A2, A3 and A4 independently = C, CH or N; R1-9 independently = H, halo, (un)substituted amino, alkyl, alkoxy, aryl or cycloalkyl), and their pharmaceutically acceptable salts, enantiomers, stereoisomers, rotamers, tautomers, diastereomers, or racemates are prepared as inhibitors of cyclin-dependently kinase (CDK). Thus, e.g., II was prepared by Suzuki reaction of 2,4-dichloropyrimidine with (3-methoxy-4-pyridinyl)boronic acid followed by condensation reaction with 2-chloro-4-(3-methoxypyridin-4-yl)pyrimidine. Select compds. of I were tested for their inhibitory activity in CDKs kinase assays, e.g., II showed IC50 value of < 5 µM for CDKI. As inhibitor of CDK, I should prove useful for the treatment, prevention and/or amelioration of protein kinases CDKs-associated diseases such as cancer, inflammation, cardiac hypetrophy, and HIV.
- IT 1035944-57-0P, [4-(2-Methoxypyridin-3-y1)pyrimidin-2-y1](pyridin-4-y1)amine
  - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
  - (preparation of pyridinyl-substituted pyrimidine derivs. as inhibitors of cyclin-dependently kinase (CDK))
- RN 1035944-57-0 CAPLUS
- CN 2-Pyrimidinamine, 4-(2-methoxy-3-pyridinyl)-N-4-pyridinyl- (CA INDEX NAME)

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L17 ANSWER 7 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
     2008:796822 CAPLUS
AN
DN
     149:128848
     Preparation of 5-cyano-4-(pyrrolo[2,3-b]pyridin-3-yl)pyrimidines as
     polo-like kinase (PLK) inhibitors.
     Mortimore, Michael; Young, Stephen Clinton; Everitt, Simon Robert Lorrie;
     Knegtel, Ronald; Pinder, Joanne Louise; Rutherford, Alistair Peter;
     Durrant, Steven; Brenchley, Guy; Charrier, Jean Damien; O'Donnell, Michael
PΑ
     Vertex Pharmaceuticals Incorporated, USA
SO
     PCT Int. Appl., 191pp.
     CODEN: PIXXD2
DT
     Patent
T.A
     English
FAN.CNT 1
                          KIND
                                  DATE
     PATENT NO.
                                              APPLICATION NO.
                                                                      DATE
                                 20080703
                                             WO 2007-US26190
PΙ
     WO 2008079346
                           A1
                                                                      20071221
         W: AE, AG, AL, AM, AT, AU, AB, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
              CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
             GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
              MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
              PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
         TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
              GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
              BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2006-876307P
                          P
                                 20061221
     US 2007-922291P
                           Р
                                  20070406
     US 2007-947707P
                           P
                                  20070703
                           P
     US 2007-989014P
                                 20071119
OS
     MARPAT 149:128848
AB
     Title compds. [I; R1 = H, halo, (substituted) aliphatyl, aliphatyloxy; R2
     = NR4R5, OR6, SR6, etc.; R4 = H, (substituted) aliphatyl; R5 =
     (substituted) aliphatyl, mono- or bicyclyl; R4R5 = atoms to form
     (substituted) mono- or bicyclyl; R6 = H, (substituted) alkyl, aryl(alkyl),
     heteroarvl(alkvl)], were prepared Thus,
     2-methylsulfonyl-4-(1-tosyl-5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridin-3-
     v1)pyrimidine-5-carbonitrile (preparation given) was microwaved with PhCH2NH2
     and diisopropylamine in THF at 100° for 10 min. to give a residue
     which was stirred with LiOH in THF/H2O for 1 h to give 36%
     2-benzylamino-4-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridin-3-
     vl)pvrimidine-5-carbonitrile. I inhibited PLK1 with Ki in the range of <3
     nM to >40 nM.
     1036024-59-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
```

(preparation of cyanopyrrolopyridinylpyrimidines as polo-like kinase inhibitors)
RN 1036024-59-5 CAPLUS

(Uses)

5-Pyrimidinecarbonitrile, 2-[(1-methyl-4-piperidinyl)amino]-4-[5-(trifluoromethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]- (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

#### 10/552,317

- L17 ANSWER 8 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2008:668211 CAPLUS
- DN 149:215067
- TI IRAK-4 inhibitors. Part II: A structure-based assessment of imidazo[1,2-a]pyridine binding
- AU Buckley, George M.; Ceska, Thomas A.; Fraser, Joanne L.; Gowers, Lewis; Groom, Colin R.; Higueruelo, Alicia Perez; Jenkins, Kerry; Mack, Stephen R.; Morgan, Trevor; Parry, David M.; Pitt, William R.; Rausch, Oliver; Richard, Marianna D.; Sabin, Verity
  - S UCB, Cambridge, CB21 6GS, UK
- SO Bioorganic & Medicinal Chemistry Letter (2008), 18(11), 3291-3295 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- AB A potent IRAK-4 inhibitor was identified through routine project cross screening. The binding mode was inferred using a combination of in silico docking into an IRAK-4 homol. model, surrogate crystal structure anal. and
- chemical analog SAR. IT 882732-18-5P 1042224-61-2P
  - RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
    - (IRAK-4 inhibitors: structure-based assessment of
    - imidazo[1,2-a]pyridine binding)
- RN 882732-18-5 CAPLUS
- CN 2-Pyrimidinamine, 4-imidazo[1,2-a]pyridin-3-yl-N-4-piperidinyl- (CA INDEX NAME)

- RN 1042224-61-2 CAPLUS
- CN 2-Pyrimidinamine, 4-imidazo[1,2-a]pyridin-3-yl-N-methyl-N-4-piperidinyl-(CA INDEX NAME)

- IT 882562-92-7
  - RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (IRAK-4 inhibitors: structure-based assessment of
  - imidazo[1,2-a]pyridine binding)
- RN 882562-92-7 CAPLUS
- CN 1-Piperidineacetamide, 4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidiny1)amino]-N-methyl- (CA INDEX NAME)

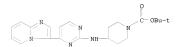
IT 882563-97-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(IRAK-4 inhibitors: structure-based assessment of imidazo[1,2-a]pyridine binding)

RN 882563-97-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidinyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

#### 10/552,317

- L17 ANSWER 9 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2008:398762 CAPLUS
- DN 148:552748
- TI Pyrimidine-based inhibitors of CaMKIIδ
- AU Mavunkel, Babu; Xu, Yong-jin; Goyal, Bindu; Lim, Don; Lu, Qing; Chen, Zheng; Wang, Dan-Xiong; Higaki, Jeffrey; Chakraborty, Indrani; Liclican, Albert; Sideris, Steve; Laney, Maureen; Delling, Ulrike; Catalano, Rosanne; Higgins, Linda S.; Wang, Hui; Wang, Jing; Feng, Ying; Dugar, Sundeep; Levy, Daniel E.
  - S Scios, Inc., Fremont, CA, 94555, USA
- SO Bioorganic & Medicinal Chemistry Letters (2008), 18(7), 2404-2408 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 148:552748
- AB Non-ATP competitive pyrimidine-based inhibitors of CaMKII6 were identified. Computational studies were enlisted to predict the probable mode of binding. The results of the computational studies led to the design of ATP competitive inhibitors with optimized hinge interactions. Inhibitors of this class possessed improved enzyme and cellular activity compared to early leads.
- IT 1026028-75-0P 1026028-77-2P 1026028-78-3P 1026028-79-4P 1026028-81-8P 1026028-82-9P 1026028-84-1P 1026028-85-2P 1026028-88-5P

1026028-90-9P 1026028-91-0P 1026028-92-1P 1026028-94-3P 1026028-95-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

- (pyrimidine-based inhibitors of CaMKIIδ)
- RN 1026028-75-0 CAPLUS
- CN 2,4-Pyridinediamine, N4-[4-(3-fluorophenyl)-2-pyrimidinyl]-N2-[(1S)-1-phenylethyl]- (CA INDEX NAME)

## Absolute stereochemistry.

- RN 1026028-77-2 CAPLUS
- CN 2,4-Pyridinediamine, N4-(4-[1,1'-bipheny1]-3-y1-2-pyrimidiny1)-N2-[(1S)-1-phenylethy1]- (CA INDEX NAME)

- RN 1026028-78-3 CAPLUS
- CN 2,4-Pyridinediamine, N4-[4-(3-methylphenyl)-2-pyrimidinyl]-N2-[(1S)-1-phenylethyl]- (CA INDEX NAME)

- RN 1026028-79-4 CAPLUS
- CN 2,4-Pyridinediamine, N4-[4-(4-chloropheny1)-2-pyrimidiny1]-N2-[(1S)-1phenylethy1]- (CA INDEX NAME)

- RN 1026028-81-8 CAPLUS
- CN Benzoic acid, 4-[2-[[2-[[(1S)-1-phenylethyl]amino]-4-pyridinyl]amino]-4pyrimidinyl]-, methyl ester (CA INDEX NAME)

- RN 1026028-82-9 CAPLUS
- CN Benzoic acid, 4-[2-[[(2-[[(1S)-1-phenylethyl]amino]-4-pyridinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

# Absolute stereochemistry.

- RN 1026028-84-1 CAPLUS
- CN Benzoic acid, 3-[2-[[2-[[(1S)-1-phenylethyl]amino]-4-pyridinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 1026028-85-2 CAPLUS
- CN Benzeneacetic acid, 4-[2-[[2-[[(1S)-1-phenylethyl]amino]-4-pyridinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 1026028-88-5 CAPLUS
- CN 2,4-Pyridinediamine, N4-[4-[4-(dimethylamino)phenyl]-2-pyrimidinyl]-N2[(1S)-1-phenylethyl]- (CA INDEX NAME)

- RN 1026028-90-9 CAPLUS
- CN Benzamide, N-methyl-4-[2-[[2-[[(1S)-1-phenylethyl]amino]-4-pyridinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 1026028-91-0 CAPLUS
- CN 2,4-Pyridinediamine, N2-[(1S)-1-phenylethyl]-N4-[4-[4-(2H-tetrazol-5-yl)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

### Absolute stereochemistry.

- RN 1026028-92-1 CAPLUS
- CN Benzamide, N-hydroxy-4-[2-[[2-[[(1S)-1-phenylethyl]amino]-4-pyridinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 1026028-94-3 CAPLUS
- CN Benzoic acid, 4-[2-[(2-amino-4-pyridinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

HO20

- RN 1026028-95-4 CAPLUS
- CN Benzoic acid, 4-[2-(4-pyridinylamino)-4-pyrimidinyl]- (CA INDEX NAME)

IT 1026029-53-7P 1026029-57-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

- (pvrimidine-based inhibitors of CaMKIIδ)
- RN
- 1026029-53-7 CAPLUS
  Benzoic acid, 4-[2-[(2-amino-4-pyridinyl)amino]-4-pyrimidinyl]-, methyl CN ester (CA INDEX NAME)

RN 1026029-57-1 CAPLUS

CN Benzoic acid, 4-[2-(4-pyridinylamino)-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 10 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2008:319382 CAPLUS AN
- 148:331703 DN
- Preparation of aminopyrimidinylbenzotriazoles as kinase modulators
- Goldstein, David Michael; Gong, Leyi; Michoud, Christophe; Palmer, Wylie IN Solang; Sidduri, Achyutharao
- PA F. Hoffmann-La Roche AG, Switz.
- SO PCT Int. Appl., 91pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.	CNT 1																		
	PATENT	KIN	D	DATE			APPL	ION I		DATE									
PI	WO 2008028860						20080313			WO 2	040		20070830						
	W:	ΑE,	AG,	AL,	AM,	AŢ,	AU,	AZ	ÆΑ,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,		
		CH,	CN,	CO,	CR,	CU,	~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~	-DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,		
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,		
		KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,		
		MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,		
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,		
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,		
		ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,		
		GH,	GM,	KE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,		
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM											
	US 20080103142						2008	0501	501 US 2007-899758						20070907				
PRAI	US 2006		P		2006	0908													
os	MARPAT	148:	3317	03															

AΒ Title compds. [I; R = alkyl, hydroxyalkyl, (substituted) triazolylalkyl, tetrazolylalkyl, dioxopyrrolidinylalkyl, etc.; R1 = H, halo, alkyl, amino; R2 = H, alkyl; R3 = halo, NO2, alkyl, cyano, amino, OH, alkoxy, etc.; m = 0-2], were prepared Thus, title compound (II) showed JNK kinase inhibitory activity with p(JNK1) = 0.0194.

1011529-79-5P 1011530-00-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of aminopyrimidinylbenzotriazoles as kinase modulators)

- RN 1011529-79-5 CAPLUS
- CN 2-Pyrimidinamine, 4-(1H-benzotriazol-1-yl)-N-4-piperidinyl- (CA INDEX NAME)

- RN 1011530-00-9 CAPLUS
- CN 1-Piperidineacetamide, 4-[[4-(1H-benzotriazol-1-y1)-2-pyrimidiny1]amino]-(CA INDEX NAME)

IT 1011530-73-6P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prophetic intermediate; preparation of aminopyrimidinylbenzotriazoles as kinase modulators)

RN 1011530-73-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(1H-benzotriazol-1-y1)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN

- AN 2008:163603 CAPLUS DN 148:230184 Compositions and methods for treating, reducing, ameliorating, or alleviating posterior-segment ophthalmic diseases Ward, Keith W.; Hu, Zhenze; Phillips, Gary; Kerppola, Raili PA USA U.S. Pat. Appl. Publ., 53pp. SO CODEN: USXXCO DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ---------US 20080031884 20080207 US 2007-832294 PT A1 20070801 A2 WO 2008021729 20080221 WO 2007-US74943 20070801 WO 2008021729 A3 20081009 W: AE, AG, AL, AM, AT, AU, AT, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, JI, IN, IS, JF, KE, KA, KN, KR, KE, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, MA, NG, MI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
  RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRAI US 2006-836078P P 20060807 MARPAT 148:230184 os AB A composition for treating, reducing, ameliorating, or alleviating a
  - back-of-the-eye condition or disorder that has an etiol. in inflammation comprises a dissociated glucocorticoid receptor agonist ("DIGRA"). The compns. also can include other anti-inflammatory agents, anti-angiogenic agents, or combinations thereof. The composition can be formulated for topical application, injection, or implantation. The composition can be administered alone or in combination with another procedure chosen to enhance the outcome of the treatment.
- II 496795-29-6, 4-(2-Phenyl-1H-imidazol-1-yl)-N-pyridin-4-ylpyrimidin2-amine
  RI: THU (Therapeutic use); BIOL (Blological study); USES (Uses)
  (compns. for treating, reducing, ameliorating, or alleviating

posterior-segment ophthalmic diseases)

RN 496795-25-6 CAPLUS

CN 2-Pyrimidinamine, 4-(2-phenyl-1H-imidazol-1-yl)-N-4-pyridinyl- (CA INDEX NAME)

- L17 ANSWER 12 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2008:9637 CAPLUS
- DN 148:121721
- TI Preparation of imidazolyl-pyrimidine derivatives as GSK3 inhibitors
- IN Burrows, Jeremy; Huerta, Fernando; Rein, Tobias; Rotticci, Didier; Staaf, Karin; Turek, Dominika
- PA Astrazeneca AB, Swed.
- SO PCT Int. Appl., 94pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1																		
PATENT NO.					KIND		DATE			APPLICATION NO.						DATE		
WO	2008002245				A2 / 20080103			WO 2007-SE621					20070626					
WO	2008002245				A3	A3 \ 20080214 /												
	W:	ΑE,	AG,	AL,	AM,	AT;	~AU.	AZV	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,	
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	
		KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	
		MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	
		RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	
		TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	
		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA						
US 20080188503				A1 200808			0807	US 2007-769113						20070627				
US	2006	P 20060627																
	PA: WO WO	PATENT	PATENT NO.  WO 20080022 WO 20080022 W: AB, CH, GB, KM, MK, RO, TT, RW: AT, 15, BJ, GH, BY, US 2008018	PATENT NO.  MO 2008002245 WO 2008002245 WI AE, AG, CH, CM, GH, CN, MK, NN, RO, RS, TI, TZ, RW: AT, BE, GH, GM, BY, KG, GUS 20080188903	PATENT NO.  MO 2008002245 MO 2008002245 M: AE, AG, AL, GH, CN, CO, GB, GD, GE, KM, KN, KN, KN, MN, MN, RO, RS, RU, TT, TZ, UA, RW: AT, BE, BG, IT, IT, IT, BJ, CF, CG, GH, GM, KE, BY, KG	PATENT NO.  MO 2008002245  A2 NO 2008002245  A2 NO 2008002245  A3 N: AE, AG, AL, AM, CH, CN, CO, C, GH, CN, CO, C, GH, CN, CO, CN, CN, CN, CN, CN, CN, CN, CN, CN, CN	PATENT NO.  MO 2008002245  MO 200800245  MO 2008002245  MO 2008002245  AN A	PATENT NO.  MO 2008002245  MO 2008002245  MI EAE, AG, AL, AM, AT, AU, CH, CN, CO, CR, CU, CZ, GB, GD, GE, GH, GM, GT, KM, KN, KP, KR, KZ, LA, MK, MN, MW, MM, MM, MM, RO, RS, RU, SC, SD, SE, TT, TZ, UA, UG, US, UZ, RW: AT, BE, BG, CH, CY, CZ, GH, GM, KE, LS, MW, GH, GH, GH, GH, GH, GH, GH, GH, GH, GH	PATENT NO. KIND DATE  NO 2008002245 A2 20080103  NO 2008002245 A3 20080103  NI AE, AG, AL, AM, AT, AU, AZ, AZ, AZ, AZ, AZ, AZ, AZ, AZ, AZ, AZ	PATENT NO. KIND DATE  NO 2008002245 A2 20080103  NO 2008002245 A3 200801214  N: AE, AG, AL, AM, AT, AU, AZ BA, CH, CN, CO, CR, CU, CZ, DE, DK, GB, GD, GE, GH, GM, GT, HN, HR, KM, KM, KM, KM, KM, MZ, MA, NG, RO, RS, RU, SC, SD, SE, SG, SK, TT, TZ, UA, UG, US, UZ, VC, VM, RN: AT, BE, BG, CH, CY, CZ, DE, DK, BJ, CF, CG, CI, CM, GA, GN, GQ, GH, GM, KE, LS, MM, MZ, NA, NA, CB, US 20080188503 A1 20080807	PATENT NO.	PATENT NO.   KIND   DATE   APPLICAT	PATENT NO.   KIND   DATE   APPLICATION	PATENT NO.	PATENT NO.	PATENT NO.   KIND   DATE   APPLICATION NO.   D.	PATENT NO.	

OS MARPAT 148:121721

- ARRARI Tels (1972).

  State Compds. I [ring A = (un)substituted heterocyclyl or carbocyclyl; R2 = halo, NO2, CF3, OCF3 or CN; R3 = Me, (un)substituted alkyl, alkenyl, alkynyl, 6-membered non-aromatic carbocyclyl or heterocyclyl; R4 = H, CN, (un)substituted alkyl or haloalkyl], and their pharmaceutically acceptable salts, are prepared and disclosed as glycogen synthase kinase 3 (GSK3) inhibitors. Thus, e.g., a multi-step synthesis was given to prepare II starting from 5-methyl-4-aminoisoxazole and tetrahydro-2H-pyran-4-one. All the exemplar compds. were evaluated for their GSK3 inhibitory activity in GSK3β inhibition assays with typical Ki values ranging from 0.001 to 10,000 nM. For instance, II exhibited a Ki value of 49 nM. I should prove useful in treatment and prevention of GSK3 associated diseases including Alzheimer's disease.
- IT 1000773-98-7P, [5-Fluoro-4-[2-methyl-3-(tetrahydropyran-4-yl)-3Himidazol-4-yl]pyrimidin-2-yl](pyridin-4-yl)anine 1000774-00-4P,
   tert-Butyl 4-[[5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1Himidazol-5-yl]pyrimidin-2-yl]amino|pjepridine-1-carboxylate
  RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
  preparation); THU (Therapeutic use); BIOL (Biological study); PREP
  (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of imidazolyl-pyrimidine derivs. as GSK3 inhibitors in treatment and prevention of GSK3 associated diseases including Alzheimer's disease.

- RN 1000773-98-7 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1Himidazol-5-yl]-N-4-pyridinyl- (CA INDEX NAME)

- RN 1000774-00-4 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-inidazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

1000774-02-6P, N-(1-Acetylpiperidin-4-vl)-5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]pyrimidin-2-amine 1000774-04-8P, N-(1-Benzylpiperidin-4-y1)-5-fluoro-4-[2-methy1-1-(tetrahydro-2H-pyran-4-vl)-1H-imidazol-5-vl]pyrimidin-2-amine 1000774-05-9P, N-(1-Benzovlpiperidin-4-v1)-5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-vl)-1H-imidazol-5-vl]pyrimidin-2-amine 1000774-06-0P, 5-Fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-N-[1-(phenylacetyl)piperidin-4-yl]pyrimidin-2-amine 1000774-07-1P, Benzyl 4-[[5-fluoro-4-[2-methyl-1-(tetrahydro-2Hpyran-4-yl)-1H-imidazol-5-yl]pyrimidin-2-yl]amino]piperidine-1-carboxylate 1000774-08-2P, 5-Fluoro-N-[1-(methylsulfonyl)piperidin-4-yl]-4-[2methyl-1-(tetrahydro-2H-pyran-4-v1)-1H-imidazol-5-v1]pyrimidin-2-amine 1000774-09-3P, 5-Fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-v1]-N-[1-(trifluoroacetyl)piperidin-4-v1]pyrimidin-2-amine 1000774-10-6P, 5-Fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-N-[1-(phenylsulfonyl)piperidin-4-yl]pyrimidin-2-amine 1000774-11-7P, N-[1-(Benzylsulfonyl)piperidin-4-yl]-5-fluoro-4-[2methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]pyrimidin-2-amine 1000774-17-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of imidazolyl-pyrimidine derivs. as GSK3 inhibitors in

treatment and prevention of GSK3 associated diseases including Alzheimer's disease)

- RN 1000774-02-6 CAPLUS
- CN Ethanone, 1-[4-[[5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 1000774-04-8 CAPLUS

CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{O} & & & \\ \mathsf{N} & \mathsf{N} & \mathsf{NH} & & \mathsf{N} \\ \mathsf{N} & \mathsf{NH} & & \mathsf{NH} & & \\ \mathsf{N} & & \mathsf{NH} & & \mathsf{NH} \\ \end{array}$$

RN 1000774-05-9 CAPLUS

CN Methanone, [4-[[5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]phenyl- (CA INDEX NAME)

RN 1000774-06-0 CAPLUS

CN Ethanone, 1-[4-[[5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-phenyl- (CA INDEX NAME)

RN 1000774-07-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, phenylmethyl ester (CA INDEX NAME)

- RN 1000774-08-2 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-N-[1-(methylsulfonyl)-4-piperidinyl]-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 1000774-09-3 CAPLUS
- CN Ethanone, 2,2,2-trifluoro-1-[4-[[5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 1000774-10-6 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-N-[1-(phenylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 1000774-11-7 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-N-[1-[(phenylmethyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{N} & & \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N}$$

- RN 1000774-17-3 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-5-yl]-N-4-pyridinyl-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

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L17 ANSWER 13 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
     2007:1396177 CAPLUS
AN
DN
     148:55085
     2-Heterocyclylamino-4-imidazolylpyrimidines as agents for the inhibition
     of cell proliferation and their preparation
     Jones, Clifford; Pass, Martin; Rudge, David
PA
     Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO
     PCT Int. Appl., 131pp.
     CODEN: PIXXD2
     Patent
LA
     English
FAN. CNT 1
     PATENT NO.
                          KIND
                                  DATE
                                             APPLICATION NO.
                          ----
                                             WO 2007-GB1906
     WO 2007138268
                                  20071206
PT
                           A1
                                                                      20070524
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB,
             GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
         TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2006-803283P
                          P
                               20060526
                           P
     US 2006-868540P
                                  20061204
os
     MARPAT 148:55085
     Compds. of formula I: which possess cell cycle inhibitory activity are
AB
     described. Compds. of formula I wherein ring A is 5- to 7-membered saturated
     heterocycle; R1 is H, C1-6 alkyl, C1-6 alkanoyl, carbamoyl, etc.; R2 is
     halo, NO2, CN, OH, amino, carboxyl, carbamoyl, etc.; m is 0 - 4; R3 is
     halo, CN and amino; n is 0 - 2; R4 is Et, n-Pr, i-Pr, Bu, i-Bu, s-Bu,
     t-Bu, cyclopropyl, etc.; R5 is Me, Et, i-Pr, CF3, CHF2, etc.; and their
     pharmaceutically acceptable salts, and in vivo hydrolyzable esters
     thereof, are claimed. Example compound II was prepared by a general procedure
     (procedure given). All the invention compds, were evaluated for their
     inhibitory activity of cell proliferation (some data given).
     959790-81-9P 959790-82-0P 959790-83-1P
     959791-15-2P 959791-49-2P 959791-50-5P
     959791-51-6P 959791-52-7P 959791-53-8P
     959791-54-9P 959791-60-7P 959791-98-1P
     959791-99-2P 959792-08-6P 959792-09-7P
     959792-11-1P 959792-13-3P 959792-26-8P
     959792-28-0P 959792-34-8P 959792-75-7P
     959792-76-8P 959792-79-1P 959792-80-4P
     959792-99-5P 959793-00-1P 959793-04-5P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (drug candidate and intermediate; preparation of
        (heterocyclylamino)imidazolylpyrimidines as cell proliferation
        inhibitors)
RN
     959790-81-9 CAPLUS
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1-Piperidinecarboxylic acid, 4-[[4-[2-methy1-1-(1-methy1ethy1)-1H-imidazol-Page 43 5-y1]-2-pyrimidiny1]amino]-, phenylmethyl ester (CA INDEX NAME)

RN 959790-82-0 CAPLUS
CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-4piperidinyl- (CA INDEX NAME)

- RN 959790-83-1 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(3-chloropropyl)sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959791-15-2 CAPLUS
- CN 2-Pyrimidinamine, N-[1-(ethenylsulfonyl)-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959791-49-2 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[2-[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} i-Pr & & & \\ N & N & NH & N & C-CH_2 & \\ \end{array}$$

- RN 959791-50-5 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[4-[2-methyl-1-(1-methylethyl)-1Himidazol-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]-3-oxopropyl]-,
  1,1-dimethylethyl ester (CA INDEX NAME)

- RN 959791-51-6 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[3-[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]maino]-1-piperidinyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} i\text{-Pr} & \text{N} & \text{C-OBu-t} \\ \text{Me} & \text{N} & \text{NH} & \text{NH} \end{array}$$

- RN 959791-52-7 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-methyl-4-[[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 959791-53-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 3-[2-[4-[[4-[2-methyl-1-(1-methylethyl)-1Himidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]-,
  1,1-dimethylethyl ester, (35)- (CA INDEX NNB)

Absolute stereochemistry.

- RN 959791-54-9 CAPLUS
- CN 1-Piperidinecarboxylic acid, 3-[2-[4-[[4-[2-methyl-1-(1-methylethyl)-1Himidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 959791-60-7 CAPLUS

- RN 959791-98-1 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 959791-99-2 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

RN 959792-08-6 CAPLUS

CN 2-Pyrimidinamine, 5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[(3-methyl-3-nitrobutyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 959792-09-7 CAPLUS

CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[(3-methyl-3-nitrobutyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 959792-11-1 CAPLUS

CN 2-Pyrimidinamine, N-[1-[(3-amino-3-methylbutyl)sulfonyl]-4-piperidinyl]-5chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959792-13-3 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(3-amino-3-methylbutyl)sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959792-26-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1Himidazol-5-yl]-2-pyrimidinyl]amino]-, phenylmethyl ester (CA INDEX NAME)

- RN 959792-28-0 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 959792-34-8 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(3-chloropropy1)sulfony1]-4-piperidiny1]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959792-75-7 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[[5-fluoro-4-[2-methyl-1-(1-methyl-thyl)-1H-inidazol-5-yl]-2-pyrimidinyl]amino[]-1-piperidinyl]sulfonyl]-, phenylmethyl ester (CA INDEX NAME)

- RN 959792-76-8 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-(4-piperidinylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-79-1 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid,  $6-[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, phenylmethyl ester, <math>(1\alpha,5\alpha,6\alpha)-(CA\ INDEX\ NAME)$

Relative stereochemistry.

- RN 959792-80-4 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexan-6-amine, N-[5-fluoro-4-[2-methyl-1-(1-methyl=thyl)-1H-inidazol-5-yl]-2-pyrimidinyl]-,  $(1\alpha, 5\alpha, 6\alpha)$  (CA INDEX NAME)

### Relative stereochemistry.

- RN 959792-99-5 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(1-cyclopenty1-2-methy1-1H-imidazo1-5-y1)-5-fluoro-2-pyrimidiny1]amino]-, phenylmethyl ester (CA INDEX NAME)

- RN 959793-00-1 CAPLUS
- CN 2-Pyrimidinamine, 4-(1-cyclopentyl-2-methyl-1H-imidazol-5-yl)-5-fluoro-N-4-piperidinyl- (CA INDEX NAME)

- RN 959793-04-5 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(3-chloropropyl)sulfonyl]-4-piperidinyl]-4-(1-cyclopentyl-2-methyl-1H-imidazol-5-yl)-5-fluoro- (CA INDEX NAME)

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ΙT
    959790-84-2P 959790-85-3P 959790-86-4P
    959790-87-5P 959790-88-6P 959790-89-7P
     959790-90-0P 959790-91-1P 959790-92-2P
     959790-93-3P 959790-94-4P 959790-95-5P
     959790-96-6P 959790-97-7P 959790-98-8P
     959790-99-9P 959791-00-5P 959791-01-6P
     959791-02-7P 959791-03-8P 959791-04-9P
     959791-05-0P 959791-06-1P 959791-07-2P
    959791-08-3P 959791-09-4P 959791-10-7P
     959791-11-8P 959791-12-9P 959791-13-0P
     959791-14-1P 959791-16-3P 959791-17-4P
     959791-18-5P 959791-19-6P 959791-20-9P
     959791-21-0P 959791-23-2P 959791-24-3P
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     959791-28-7P 959791-29-8P 959791-30-1P
     959791-31-2P 959791-32-3P 959791-33-4P
     959791-34-5P 959791-35-6P 959791-36-7P
     959791-37-8P 959791-38-9P 959791-39-0P
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     959791-43-6P 959791-44-7P 959791-45-8P
     959791-46-9P 959791-47-0P 959791-48-1P
    959791-55-0P 959791-56-1P 959791-57-2P
     959791-58-3P 959791-59-4P 959791-61-8P
     959791-62-9P 959791-63-0P 959791-64-1P
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959792-38-2P 959792-39-3P 959792-40-6P
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959792-74-6P 959792-77-9P 959792-78-0P
959792-81-5P 959792-82-6P 959792-83-7P
959792-85-9P 959792-87-1P 959792-89-3P
959792-91-7P 959792-93-9P 959792-95-1P
959792-97-3P 959793-01-2P 959793-02-3P
959793-03-4P 959793-05-6P 959793-06-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of (heterocyclylamino)imidazolylpyrimidines as
   cell proliferation inhibitors)
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RN 959790-84-2 CAPLUS
CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

$$\stackrel{\text{i-Pr}}{\underset{N}{\bigvee}} \stackrel{\text{CH}_2-\text{Ph}}{\underset{N}{\bigvee}}$$

RN 959790-85-3 CAPLUS

CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[3-(1-pyrrolidinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959790-86-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[3-(4-methyl-1-piperazinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959790-87-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[3-(4-morpholinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959790-88-6 CAPLUS
- CN 1-Piperazineethanol, 4-[3-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]propyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{i-Pr} & \text{N} & \text{O} & \text{CH}_2\text{-CH}_2\text{-O} \\ \text{N} & \text{N} & \text{N} & \text{N} & \text{O} \\ \text{N} & \text{N} & \text{N} & \text{N} & \text{O} \end{array}$$

- RN 959790-89-7 CAPLUS
- CN 1-Butanol, 2-[[3-[[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} i\text{-Pr} & 0 & \text{CH}_2\text{--}O \\ S & S - (\text{CH}_2)_3 - \text{NH} - \text{CH} - \text{Et} \\ N & 0 & O \end{array}$$

RN 959790-90-0 CAPLUS

CN 2-Pyrimidinamine, N-[1-[[3-[(2-methoxy-1-methylethyl)amino]propyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959790-91-1 CAPLUS
- CN 2-Propano1, 1-[[3-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazo1-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{i-Pr} & \text{O} & \text{OH} \\ \text{S-} & \text{(CH}_2)_3 - \text{NH-} \text{CH}_2 - \text{CH-} \text{Me} \\ \\ \text{N} & \text{NH-} & \text{O} \end{array}$$

- RN 959790-92-2 CAPLUS
- CN 1-Propanol, 2-[[3-[[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]propyl]amino]- (CA INDEX NAME)

- RN 959790-93-3 CAPLUS
- CN 1-Butanol, 3-methyl-2-[[3-[[4-[[4-[2-methyl-1-(1-methylethyl)-lH-imidazol-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]propyl]amino] (CA INDEX NAME)

- RN 959790-94-4 CAPLUS
- CN 1-Propanol, 2-methyl-2-[[3-[[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]propyl]amino]- (CA INDEX NAME)

- RN 959790-95-5 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-[(2-methoxyethy1)amino]propy1]sulfony1]-4-piperidiny1]-4-[2-methy1-1-(1-methy1ethy1)-1H-imidazo1-5-y1]- (CA INDEX NAME)

- RN 959790-96-6 CAPLUS
- CN 1-Propanol, 3-[[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 959790-97-7 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 959790-98-8 CAPLUS
- CN 1-Piperidinesulfonamide, N,N-dimethy1-4-[[4-[2-methy1-1-(1-methylethy1)-1H-imidazo1-5-y1]-2-pyrimidiny1]amino]- (CA INDEX NAME)

- RN 959790-99-9 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 959791-00-5 CAPLUS
- CN 1-Piperidinecarboxamide, N,N-dimethyl-4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 959791-01-6 CAPLUS
- CN 1-Piperidinecarboxamide, N-methyl-4-[[4-[2-methyl-1-(1-methylethyl)-1Himidazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 959791-02-7 CAPLUS
- CN Methanone, (hexahydro-4-methyl-1H-1, 4-diazepin-1-yl)[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 959791-03-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

- RN 959791-04-9 CAPLUS
- CN 1-Piperidinecarboxamide, N-[[(2S)-1-ethyl-2-pyrrolidinyl]methyl]-4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 959791-05-0 CAPLUS
- CN 1-Piperidinecarboxamide, N-[[(2R)-1-ethyl-2-pyrrolidinyl]methyl]-4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 959791-06-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[2-(dimethylamino)ethyl]-4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 959791-07-2 CAPLUS

CN Methanone, ((3S)-3-(dimethylamino)-1-pyrrolidinyl][4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

# Absolute stereochemistry.

RN 959791-08-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

- RN 959791-09-4 CAPLUS
- CN Ethanone, 1-[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 959791-10-7 CAPLUS
- CN 1-Butanone, 1-[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-4-(4-morpholinyl)- (CA INDEX NAME)

- RN 959791-11-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

- RN 959791-12-9 CAPLUS
- CN 2-Pyrimidinamine, N-[1-(1-methylethyl)-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959791-13-0 CAPLUS

RN 959791-14-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 959791-16-3 CAPLUS

CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[2-(4-methyl-1-piperazinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 959791-17-4 CAPLUS

CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[2-(1-pyrrolidinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 959791-18-5 CAPLUS

CN 2-Pyrimidinamine, N-[1-[[2-[(2-methoxyethyl)amino]ethyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

RN 959791-19-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazo1-5-yl]-N-[1-[[2(4-thiomorpholinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 959791-20-9 CAPLUS
CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-y1]-N-[1-[[2-(1-piperidinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 959791-21-0 CAPLUS

CN 2-Pyrimidinamine, N-[1-[[2-[methyl(1-methylethyl)amino]ethyl]sulfonyl]-4piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

RN 959791-23-2 CAPLUS

CN 2-Pyrimidinamine, N-[1-[[2-(1-azetidinyl)ethyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959791-24-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[2-(4-morpholinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959791-25-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[2-(4-methyl-1-piperidinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959791-26-5 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-(hexahydro-1H-azepin-1-y1)ethyl]sulfonyl]-4piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazo1-5-y1]- (CA INDEX NAME)

- RN 959791-27-6 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-(diethylamino)ethyl]sulfonyl]-4-piperidinyl]-4-

[2-methyl-1-(1-methylethyl)-1H-imidazo1-5-y1]- (CA INDEX NAME)

- RN 959791-28-7 CAPLUS
- CN 2-Piperazinone, 4-[2-[[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]ethyl]- (CA INDEX NAME)

- RN 959791-29-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[2-(tetrahydro-1,4-oxazepin-4(5H)-yl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959791-30-1 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-[(3R)-3-fluoro-1-pyrrolidinyl]ethyl]sulfonyl]-4piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazo1-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 959791-31-2 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-(4-fluoro-1-piperidiny1)ethy1]sulfony1]-4piperidiny1]-4-[2-methy1-1-(1-methy1ethy1)-1H-imidazo1-5-y1]- (CA INDEX NAME)

- RN 959791-32-3 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-(7-azabicyclo[2.2.1]hept-7-y1)ethyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-y1]- (CA INDEX NAME)

- RN 959791-33-4 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-(cyclopropylmethylamino)ethyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

RN 959791-34-5 CAPLUS

CN 2-Pyrimidinamine, N-[1-[[2-[(cyclopropylmethyl)methylamino]ethyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959791-35-6 CAPLUS
- CN 4-Piperidinecarboxamide, 1-[2-[[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]ethyl]- (CA INDEX NAME)

- RN 959791-36-7 CAPLUS
- CN Ethanone, 1-[4-[2-[[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-y1]-2 pyrimidinyl]amino]-1-piperidinyl]sulfonyl]ethyl]-1-piperazinyl]- (CA
   INDEX NAME)

- RN 959791-37-8 CAPLUS
- CN 5H-1,4-Diazepin-5-one, hexahydro-1-[2-[[4-[[4-[2-methyl-1-(1-methylethyl)-H-1midazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]ethyl]- (CA INDEX NAME)

- RN 959791-38-9 CAPLUS
- CN Ethanone, 1-[hexahydro-4-[2-[[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimiddiny]]amino]-1-piperidinyl]sulfonyl]ethyl]-1H-1,4-diazepin-1-yl]- (CA INDEX NAME)

- RN 959791-39-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[2-(4-propyl-1-piperidinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959791-40-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[2-(tetrahydro-1,4-thiazepin-4(5H)-yl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959791-41-4 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-(2-azabicyclo[2.2.2]oct-2-y1)ethy1]sulfony1]-4-

$$\label{eq:piperidiny1} \begin{split} & \texttt{piperidiny1}] - 4 - [2 - \texttt{methy1} - 1 - (1 - \texttt{methy1ethy1}) - 1 \\ & \texttt{H} - \texttt{imidazo1} - 5 - \texttt{y1}] - \end{split} \quad \text{(CA INDEX NAME)}$$

- RN 959791-42-5 CAPLUS
- CN 4-Piperidinecarbonitrile, 1-[2-[[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazo1-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]ethyl]- (CA INDEX NAME)

- RN 959791-43-6 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-[(3S)-3-fluoro-1-pyrrolidinyl]ethyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazo1-5-yl]- (CA INDEX NAME)

### Absolute stereochemistry.

- RN 959791-44-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 959791-45-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 959791-46-9 CAPLUS
  - N 8-Azabicyclo[3.2.1]octan-3-amine, 8-methyl-N-[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]-, (3-endo)- (CA INDEX NAME)

### Relative stereochemistry.

- RN 959791-47-0 CAPLUS
- CN 2-Pyrimidinamine, N-[1-(2-methoxyethyl)-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959791-48-1 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-(1-propyl-4-piperidinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} i\text{-Pr} & & & \\ & & & \\ \text{Me} & & & \\ N & & & NH & \\ \end{array} \begin{array}{c} \text{Pr-r} \\ \\ \text{N} & \\ \end{array}$$

RN 959791-55-0 CAPLUS

CN Ethanone, 2-(dimethylamino)-1-[4-[[4-[2-methyl-1-(1-methylethyl)-1Himidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN

CN imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 959791-57-2 CAPLUS

CN 1-Butanone, 4-(dimethylamino)-1-[4-[[4-[2-methyl-1-(1-methylethyl)-1Himidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 959791-58-3 CAPLUS

Methanone, [4-[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-v1]-2-CN pyrimidinyl]amino]-1-piperidinyl](1-methyl-3-piperidinyl)- (CA INDEX NAME)

RN 959791-59-4 CAPLUS

CN Methanone, [4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl][(2S)-1-methyl-2-pyrrolidinyl]- (CA INDEX NAME)

# Absolute stereochemistry.

RN 959791-61-8 CAPLUS

CN Ethanone, 1-[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-(4-piperidinyl)- (CA INDEX NAME)

RN 959791-62-9 CAPLUS

CN 1-Propanone, 1-[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-3-(1-piperazinyl)- (CA INDEX NAME)

RN 959791-63-0 CAPLUS

CN 1-Propanone, 1-[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-3-(4-piperidinyl)- (CA INDEX NAME)

- RN 959791-64-1 CAPLUS
- CN Methanone, [4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl] (4-methyl-4-piperidinyl)- (CA INDEX NAME)

- RN 959791-65-2 CAPLUS
- CN Ethanone, 1-[4-[(4-[(2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]]-2pyrimidinyl]amino]-1-piperidinyl]-2-[(3S)-3-piperidinyl]- (CA INDEX NAME)

## Absolute stereochemistry.

- RN 959791-66-3 CAPLUS
- CN Ethanone, 1-[4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-[(3R)-3-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 959791-67-4 CAPLUS
- CN Methanone, [4-[[4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-morpholinyl- (CA INDEX NAME)

- RN 959792-00-8 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-01-9 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[2-(4-morpholinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-02-0 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[2-(4-methyl-1-piperidinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-04-2 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-N-[1-[[2-(dimethylamino)ethyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959792-06-4 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- N-[1-[[2-(1-pyrrolidinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-15-5 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-N-[1-[[3-(dimethylamino)-3-methylbutyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959792-17-7 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[3-(dimethylamino)-3-methylbutyl]sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-(CA INDEX NAME)

- RN 959792-19-9 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-N-[1-[{3-(dimethylamino)propyl]sulfonyl]-4piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX
  NAME)

- RN 959792-21-3 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- N-[1-[[3-(1-pyrrolidinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-22-4 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-y1]-N-[1-[[3-(1-piperidinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-24-6 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[3-(4-methyl-1-piperazinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-25-7 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-[2-methyl-1-(1-methylethyl)-1H-imidazo1-5-yl]-N-[1-[[3-(4-morpholinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-27-9 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 959792-29-1 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-30-4 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-(dimethylamino)ethyl]sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959792-31-5 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- N-[1-[[2-(1-pyrrolidinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-32-6 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-(7-azabicyclo[2.2.1]hept-7-y1)ethy1]sulfony1]-4piperidiny1]-5-fluoro-4-[2-methy1-1-(1-methy1ethy1)-1H-imidazo1-5-y1](CA INDEX NAME)

- RN 959792-33-7 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[2-(2-azabicyclo[2.2.2]oct-2-y1)ethyl]sulfonyl]-4piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-y1](CA INDEX NAME)

RN 959792-35-9 CAPLUS

CN 2-Pyrimidinamine, N-[1-[[3-(dimethylamino)propyl]sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

RN 959792-36-0 CAPLUS

CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[3-(1-pyrrolidinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 959792-37-1 CAPLUS

CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[3-(1-piperidinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-38-2 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[3-(4-methyl-1-piperazinyl)propyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-39-3 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- N-[1-[[3-(4-morpholinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-40-6 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(2-azabicyclo[2.2.2]oct-2-y1)propy1]sulfony1]-4-piperidiny1]-5-fluoro-4-[2-methy1-1-(1-methy1ethy1)-1H-imidazo1-5-y1]-(CA INDEX NAME)

- RN 959792-41-7 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(7-azabicyclo[2.2.1]hept-7-y1)propyl]sulfonyl]4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-y1](CA INDEX NAME)

- RN 959792-42-8 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(cyclopropylamino)propyl]sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-(CA INDEX NAME)

- RN 959792-43-9 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(cyclopentylamino)propyl]sulfonyl]-4piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-(CA INDEX NAME)

- RN 959792-44-0 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(cyclobutylamino)propyl]sulfonyl]-4piperidinyl]-5-fluor-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-(CA INDEX NAME)

- RN 959792-45-1 CAPLUS

- RN 959792-46-2 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[[3-[(2-methylpropyl)amino]propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-47-3 CAPLUS
- CN Propanenitrile, 3-[[3-[[4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-lHimidazol-5-yl]-2-pyrimidinyl]amino]-1 piperidinyl]sulfonyl]propyl]methylamino]- (CA INDEX NAME)

- RN 959792-48-4 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(1-azetidiny1)propy1]sulfony1]-4-piperidiny1]-5-fluoro-4-[2-methy1-1-(1-methylethy1)-1H-imidazo1-5-y1]- (CA INDEX NAME)

- RN 959792-49-5 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(ethylmethylamino)propyl]sulfonyl]-4piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-(CA INDEX NAME)

- RN 959792-50-8 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(diethylamino)propyl]sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959792-51-9 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-[(cyclopropylmethyl)amino]propyl]sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-(CA INDEX NAME)

- RN 959792-52-0 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-N-[1-[[3-[(2-methoxyethyl]methylamino]propyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959792-53-1 CAPLUS
- CN Propanenitrile, 3-[ethyl[3-[[4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1Hinidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]propyl]amino]-(CA INDEX NAME)

- RN 959792-54-2 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(cyclopentylmethylamino)propyl]sulfonyl]-4-piperidinyl]-5-fluor-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-(CA INDEX NAME)

- RN 959792-55-3 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(cyclopropylmethylamino)propyl]sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-IH-imidazol-5-yl]-(CA INDEX NAME)

- RN 959792-56-4 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-(cyclobutylmethylamino)propyl]sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-(CA INDEX NAME)

- RN 959792-57-5 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3-[(cyclopropylmethyl)methylamino]propyl]sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- (CA INDEX NAME)

- RN 959792-58-6 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

- RN 959792-59-7 CAPLUS
- CN 1-Piperidinesulfonamide, N-[2-(diethylamino)ethyl]-4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 959792-60-0 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazo1-5-yl]-2-pyrimidinyl]amino]-N-[2-(4-morpholinyl)ethyl]- (CA INDEX NAME)

- RN 959792-61-1 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-[2-(4-methyl-1-piperazinyl)ethyl]-(CA INDEX NAME)

- RN 959792-62-2 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-[3-(1-pyrrolidinyl)propyl]- (CA INDEX NAME)

- RN 959792-63-3 CAPLUS
- CN 1-Piperidinesulfonamide, N-[3-(dimethylamino)-2,2-dimethylpropyl]-4-[[5-fluoro-4-[2-methyl-1-(1-methyl-thyl)-lH-imidazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 959792-64-4 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

- RN 959792-65-5 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-[3-[(3S)-3-fluoro-1-pyrrolidinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 959792-66-6 CAPLUS
- CN 1-Piperidinesulfonamide, N-[3-(dimethylamino)propyl]-4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-methyl-(CA INDEX NAME)

- RN 959792-67-7 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-(CA INDEX NAME)

- RN 959792-68-8 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

- RN 959792-69-9 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-[1-(1-methylethyl)-4-piperidinyl]-(CA INDEX NAME)

- RN 959792-70-2 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[(3R)-3-(dimethylamino)-1-pyrrolidinyl]sulfonyl]-4-piperidinyl]-5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-(CA INDEX NAME)

# Absolute stereochemistry.

- RN 959792-71-3 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-N-[1-[(hexahydro-4-methyl-1H-1,4-diazepin-1yl)sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5yl]- (CA INDEX NAME)

- RN 959792-72-4 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[1-[(4-methyl-1-piperazinyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-73-5 CAPLUS
- CN 1-Piperidinesulfonamide, N-[2-(dimethylamino)ethyl)-4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 959792-74-6 CAPLUS
- CN 1-Piperidinecarboxylic acid, 3-[[[4-[[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]methyl]-, phenylmethyl ester (CA INDEX NAME)

- RN 959792-77-9 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]- N-[1-[(1-methyl-4-piperidinyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959792-78-0 CAPLUS
- CN 2-Pyrimidinamine, 5-fluoro-N-[1-[[1-(1-methylethyl)-4-piperidinyl]sulfonyl]-4-piperidinyl]-4-[2-methyl-1-(1-methylethyl)-1H-inidazo1-5-yl]- (CA INDEX NAME)

- RN 959792-81-5 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexan-6-amine, N-[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]-3-(methylsulfonyl)-, (lo,  $\delta\alpha$ ,  $\delta\alpha$ ) (CA INDEX NAME)

- RN 959792-82-6 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexan-6-amine, N-[5-fluoro-4-[2-methyl-1-(1-methylethyl)-11-limidazol-5-yl]-2-pyrinidinyl]-3-[[2-(1-pyrrolidinyl)ethyl]sulfonyl]-,  $(1\alpha, 5\alpha, 6\alpha)$  (CA INDEX NME)

- RN 959792-83-7 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[[2-(dimethylamino)ethyl]sulfonyl]-N-[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]-,  $(\alpha, 5\alpha, 6\alpha)$  (CA INDEX NAME)

Relative stereochemistry.

- RN 959792-85-9 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[[2-(7-azabicyclo[2.2.1]hept-7-yl)ethyl]sulfonyl]-N-[5-fluoro-4-(2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]-, (1a, 5a, 6a)- (CA INDEX NAME)

Relative stereochemistry.

- RN 959792-87-1 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexan-6-amine, N-[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]-3-[[3-(1-pyrrolidinyl)propyl]sulfonyl]-, (1α,5α,6α)- (CA INDEX NAME)

- RN 959792-89-3 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexan-6-amine, N-[5-fluoro-4-[2-methyl-1-(1-methylethyl)-lH-imidazol-5-yl]-2-pyrimidinyl]-3-[[3-(1-piperidinyl)propyl]sulfonyl]-,  $(1\alpha,5\alpha,6\alpha)$  (CA INDEX NAME)

#### Relative stereochemistry.

- RN 959792-91-7 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[[3- (cyclopentylmethylamino)propyl]sulfonyl]-N-[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]-,  $(1\alpha, 5\alpha, 6\alpha)$  (CA INDEX NAME)

- RN 959792-93-9 CAPLUS
- CN 3-Azabicyclo[3,1.0]hexan-6-amine, 3-[[3-(2,5-dimethyl-1-pyrrolidinyl)propyl]sulfonyl]-N-[5-filoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]-, (la,5a,6a)- (CA INDEX NAKE)

Relative stereochemistry.

- RN 959792-95-1 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[[3-(dimethylamino)propyl]sulfonyl]-N-[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]-, (\alpha, \beta\_a, \textit{6a})- (CA INDEX NAME)

Relative stereochemistry.

- RN 959792-97-3 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[[3-(7-azabicyclo[2.2.1]hept-7-y1)propyl]sulfonyl]-N-[5-fluoro-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-y1]-2-pyrimidinyl]-, (1α, 5α, 6α) (CA INDEX NAME)

Relative stereochemistry.

Page 95

- RN 959793-01-2 CAPLUS
- CN 2-Pyrimidinamine, 4-(1-cyclopentyl-2-methyl-1H-imidazol-5-yl)-5-fluoro-N[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 959793-02-3 CAPLUS
- CN 2-Pyrimidinamine, 4-(1-cyclopentyl-2-methyl-1H-imidazol-5-yl)-5-fluoro-N[1-[[2-(1-pyrrolidinyl)ethyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 959793-03-4 CAPLUS
- CN 2-Pyrimidinamine, 4-(1-cyclopenty1-2-methy1-1H-imidazo1-5-y1)-N-[1-[[2-(dimethylamino)ethy1]sulfony1]-4-piperidiny1]-5-fluoro- (CA INDEX NAME)

RN 959793-05-6 CAPLUS
CN 2-Pyrimidinamine, 4-(1-cyclopentyl-2-methyl-1H-imidazol-5-yl)-N-[1-[{3-(dimethylamino)propyl]sulfonyl]-4-piperidinyl]-5-fluoro- (CA INDEX NAME)

RN 959793-06-7 CAPLUS
CN 2-Pyrimidinamine, 4-(1-cyclopentyl-2-methyl-1H-imidazol-5-yl)-5-fluoro-N[1-[[3-(1-pyrrolidinyl)propyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 14 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007:1303167 CAPLUS AN
- DN 147:541894
- TΙ 4-Pyrimidine-5-aminopyrazole compounds as JNK modulators and their preparation, pharmaceutical compositions and use in the treatment of
- Chen, Peng; Hong, Yufeng; Humphries, Paul Stuart; Johnson, Theodore Otto, Jr.; Lafontaine, Jennifer Anne; Liu, Song; Lunney, Elizabeht Ann
- Pfizer Products Inc., USA
- SO PCT Int. Appl., 196pp.
- CODEN: PIXXD2
- DT Patent

LA	English	1
FAN.	CNT 1	
	PATENT	

	PATENT NO.  WO 2007129195				KIND DATE		DATE			APPLICATION NO.						DATE		
							\											
PI					A2 (20071115)			)	WO 2007-IB1158					20070427				
		W:	ΑE,	AG,	AL,	AM,	AT,	and Tallan		ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,
			GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,
			KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
			GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
			BY,	KG,	KZ,	MD,	RU,	TJ,	TM									

20060504

PRAI US 2006-746464P

P OS MARPAT 147:541894

AB The invention relates to compds. with the formula I, or a pharmaceutically acceptable salt thereof. The invention also relates to pharmaceutical compns. comprising the compds. of formula I and methods of treating a condition that is mediated by the modulation of JNK, the method comprising administering to a mammal an effective amount of a compound of formula I. Compds. of formula I wherein Z is C and N; R1 is H and halo; R2 is H, CF3, CHF2, CH2F, CF3O, C1-6 alkoxy, etc.; R3 is H, C1-6 alkyl, CF3, CHF2, CH2F, CF30, etc.; R3 is C1-6 alkyl, (un)substituted C0-5alkyl-C3-10 cycloalkyl, (un) substituted CO-6 alkyl-C6-10 aryl, etc.; R7 is H and C16 alkyl; and their pharmaceutically acceptable salts thereof, are claimed. Example

compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their JNK modulatory activity. From the assay, it was determined that compound II exhibited Ki values of 7 nM and 40

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nM against JNK-1 and JNK-2, resp.
    956715-04-1P 956715-10-9P 956715-14-3P
тт
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956716-62-4P 956716-73-7P 956716-75-9P

956716-77-1P 956716-79-3P 956719-12-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

<sup>956715-26-7</sup>P 956715-36-9P 956715-52-9P 956715-53-0P 956715-86-9P 956715-87-0P 956715-98-3P 956716-43-1P 956716-47-5P

<sup>956716-48-6</sup>P 956716-49-7P 956716-50-0P 956716-51-1P 956716-53-3P 956716-54-4P 956716-56-6P 956716-58-8P 956716-60-2P

(Uses)

(drug candidate; preparation of (aminopyrazolyl)pyrimidinamines as JNK modulators useful in the treatment of diseases)

RN 956715-04-1 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, N-[4-(5-amino-1-methyl-1H-pyrazol-4-yl)-2-pyrimidinyl]-3-(methylsulfonyl)-,  $(1\alpha, 5\alpha, 6\alpha)$ - (CA INDEX NAME)

### Relative stereochemistry.

RN 956715-10-9 CAPLUS

CN Benzonitrile, 3-[[4-[[4-(5-amino-1-methyl-1H-pyrazol-4-y1)-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

RN 956715-14-3 CAPLUS

CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-(3-pyridinylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

RN 956715-26-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(5-amino-1-methyl-1H-pyrazo1-4-y1)-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 956715-36-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-(3-pyridazinyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 956715-52-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-(2-pyridinylmethyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 956715-53-0 CAPLUS
- CN Ethanone, 1-[4-[4-(5-amino-1-methyl-1H-pyrazol-4-y1)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 956715-86-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-[(tetrahydro-2H-pyran-4-yl)methyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 956715-87-0 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-(1-(2-methoxyethyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 956715-98-3 CAPLUS
- CN 2-Pyrimiddinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-(1-methylethyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 956716-43-1 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(5-amino-1-methyl-1H-pyrazol-4-yl)-2-pyrimidinyl]amino]-, 2-methylpropyl ester (CA INDEX NAME)

- RN 956716-47-5 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(5-amino-1-methyl-1H-pyrazol-4-yl)-2-pyrimidinyl]amino]-, phenylmethyl ester (CA INDEX NAME)

- RN 956716-48-6 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

RN 956716-49-7 CAPLUS
CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-(phenylsulfonyl)-4-piperidinyl)- (CA INDEX NAME)

- RN 956716-50-0 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-[(phenylmethyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 956716-51-1 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-[(4-methylphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 956716-53-3 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-[(2-methylpropyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 956716-54-4 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-[(2-methylphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 956716-56-6 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-[[4-(1-methylethyl)phenyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 956716-58-8 CAPLUS
CN Benzonitrile, 4-[[4-(5-amino-1-methyl-1H-pyrazol-4-y1)-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

RN 956716-60-2 CAPLUS

CN 2-Pyrimidinamine, 4-[5-amino-1-(phenylmethyl)-1H-pyrazol-4-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

RN 956716-62-4 CAPLUS

CN 2-Pyrimiddinamine, 4-[5-amino-1-(phenylmethyl)-1H-pyrazol-4-yl]-N-[1-(phenylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 956716-73-7 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-[1-(5-thiazolylmethyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 956716-75-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazo1-4-yl)-N-[1-(3-pyridinylmethyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 956716-77-1 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-(5-amino-1-methyl-1H-pyrazol-4-yl)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

RN 956716-79-3 CAPLUS

CN 1-Butanone, 1-[4-[[4-(5-amino-1-methyl-1H-pyrazol-4-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

RN 956719-12-3 CAPLUS

CN 2-Pyrimidinamine, 4-[1-methyl-5-(methylamino)-1H-pyrazol-4-y1]-N-(2-methyl-4-pyridinyl)- (CA INDEX NAME)

IT 956722-16-0P 956722-17-1P 956722-18-2P 956722-19-3P

FIGURE 19-38 (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (aminopyrazolyl)pyrimidinamines as JNK modulators useful in the treatment of diseases)

RN 956722-16-0 CAPLUS

CN 2-Pyrimidinamine, 4-(5-amino-1-methyl-1H-pyrazol-4-yl)-N-4-piperidinyl-(CA INDEX NAME)

- RN 956722-17-1 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(5-amino-1-methyl-1H-pyrazol-4-yl)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 956722-18-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-amino-1-(phenylmethyl)-1H-pyrazol-4-yl]-N-4piperidinyl- (CA INDEX NAME)

- RN 956722-19-3 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[5-amino-1-(phenylmethyl)-1H-pyrazol-4-y1]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- L17 ANSWER 15 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2007:1274821 CAPLUS
- DN 147:491698
- TI Combination therapy for diseases involving angiogenesis comprising agents inhibiting VEGF activity, agents blocking VEGF receptor, and agents reducing VEGF expression
- IN Ward, Keith W.; Tyle, Prayeen
- PA USA
- SO U.S. Pat. Appl. Publ., 14pp. CODEN: USXXCO
- DT Patient
- LA English
- FAN.CNT 1

	PATENT	KIND DATE				APPLICATION NO.						DATE							
PI	US 20070258976 WO 2007130842 WO 2007130842					A1 (20071108) A2 (20071115) A3 (20080529)				US 2007-733282 WO 2007-US67497						20070410 20070426			
	W:	AE, CH, GD, KN, MN, RS, TZ,	AG, CN, GE, KP, MW, RU, UA,	CO, GH, KR, MX, SC, UG,	AM, CR, GM, KZ, MY, SD, US,	AT, CU, GT, LA, MZ, SE, UZ,	CZ, HN, LC, NA, SG, VC,	DE, HR, LK, NG, SK, VN,	BA, DK, HU, LR, NI, SL, ZA,	BB, DM, ID, LS, NO, SM, ZM, EE,	DZ, IL, LT, NZ, SV, ZW	EC, IN, LU, OM, SY,	EE, IS, LY, PG, TJ,	EG, JP, MA, PH, TM,	ES, KE, MD, PL, TN,	FI, KG, MG, PT, TR,	GB, KM, MK, RO, TT,		
	RW:	IS, BJ, GH,	IT, CF, GM,	LT, CG, KE,	LU, CI, LS,	LV, CM, MW,	MC, GA, MZ,	MT, GN, NA,	NL, GQ, SD,	PL, GW, SL, EA,	PT, ML, SZ,	RO, MR, TZ,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,		

- PRAI US 2006-797608P P 20060504
- A composition useful for treating, preventing, or ameliorating a disease condition involving abnormal angiogenesis comprises at least two therapeutic agents selected from the group consisting of compds. that interact with and inhibit a downstream activity of extracellular VEGF, compds. that interact with at least a VEGF receptor and render it substantially unavailable for interacting with VEGF, and compds. that reduce a level of expression of VEGF. More particularly, the present invention relates to such compns. and methods that target two or more modes of action of VEGF in ocular diseases involving angiogenesis. The invention also includes a method for treating, preventing, or ameliorating a disease condition involving abnormal angiogenesis using such a composition Thus, a composition of the present invention comprised (in wt%): Macugen 0.2, trehalose 2, sodium accetate 0.24, 4-(2-phenyl-IH-imidazol-1-yl)-N-pyridin-4-ylpyrimidin-2-amine (a tyrosine kinase inhibitor) 0.3, normal saline 97.26.
- IT 496795-25-6, 4-(2-Phenyl-1H-imidazol-1-yl)-N-pyridin-4-ylpyrimidin-2-amine
  - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination therapy for diseases involving angiogenesis comprising agents inhibiting VEGF activity, agents blocking VEGF receptor, and agents reducing VEGF expression)
- RN 496795-25-6 CAPLUS
- CN 2-Pyrimidinamine, 4-(2-phenyl-1H-imidazol-1-yl)-N-4-pyridinyl- (CA INDEX NAME)

- L17 ANSWER 16 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2007:1237247 CAPLUS
- DN 147:502360
- TI Imidazoloxazole and imidazolothiazole compounds as RAF inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
- IN Lapierre, Jean-Marc; Namdev, Nivedita D.; Ashwell, Mark A.; France, Dennis S.; Wu, Hui; Hutchins, Patrick M.; Tandon, Manish; Liu, Yanbin; Link, Jeff S.; Ali, Syed M.; Brassard, Chris J.; Nicewonger, Robb B.; Filikov, Anton; Carazza, Rebecca J.
- PA Argule Inc., USA
- SO PCT Int. Appl., 195pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

PATENT NO.						KIND		DATE			APPLICATION NO.						DATE			
	PAIENI NO.					KIND		DATE			AFFIRMATION NO.						DAIL			
PI		2007123892			A2				1	WO 2	20070416									
	WO	2007123892			A3		2008		/											
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			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,		
			GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,		
			KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,		
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,		
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,		
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW								
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
			IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT.	RO,	SE,	SI,	SK,	TR.	BF,		
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,		
								MZ,												
								TJ.												
	US	20070281955				A1		2007				20070416								
PRAI	US	2006	-792	314P		P		2006	0417											

OS MARPAT 147:502360
AB The invention prov

The invention provides imidazoloxazole and imidazolothiazole compds. of formula I and their synthesis. Compds. of formula I are capable of inhibiting the activity of RAF kinase, such as B-RAFV600E. The compds. are useful for the treatment of cell proliferative disorders such as cancer. Compds. of formula I wherein X is O, SOO-2; E and F are independently (CH2)1-3; Z is H, bond, CO, CONH and derivs., SO2, CONHSO2, etc.; R1 is (CH2)0-3-CONH2 and derivs., NHCONH2 and derivs., NHCSNH2 and derivs., etc.; R2 is H, (CH2)0-3-CONH2 and derivs., NHCONH2 and derivs., NHCSNH2 and derivs., etc.; R3 and R4 are independently H, (un)substituted lower alkyl, etc.; R12 is (un)substituted lower alkyl, (un)substituted (hetero)arvl, and (un)substituted heterocyclyl; R13 is H, C1-8 (fluoro)alkyl, C3-8 (fluoro), cycloalkyl, (halo)aryl and (halo)heteroaryl; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All of the invention compds. were evaluated for their RAF inhibitory activity (some data given).

IT 81555-25-6P 815595-26-7P 815595-33-6P 815595-34-P 815595-34-P 815595-34-P 815595-57-4P 815595-61-0P 815595-66-3P 815595-61-0P 815595-66-3P 815595-64-3P 815595-662-1P 815595-66-3P 815596-92-0P 815596-93-1P 815596-93-P 815596-95-3P

815596-96-4P 815597-01-4P 885046-43-5P 885046-44-6P 885046-44-6P 885046-49-1P 885046-54-8P 885046-58-2P 885046-68-8P 885046-66-6P 885046-61-7P 885046-66-8P 885046-63-3P 885046-68-4P 885046-66-2P 885046-67-3P 885046-68-4P 885046-67-0-8P 885046-71-3P 885046-71-3P 885046-71-3P

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885046-75-3P 885046-76-4P 885046-77-5P
885046-79-7P 885046-80-0P 885046-81-1P
885046-82-2P 885046-83-3P 885046-84-4P
885046-85-5P 885046-86-6P 885046-87-7P
885046-88-8P 885046-89-9P 885046-90-2P
885046-91-3P 885046-92-4P 885046-93-5P
885046-94-6P 885047-07-4P 885047-08-5P
885047-09-6P 885047-10-9P 885047-11-0P
885047-24-5P 885047-25-6P 885047-26-7P
885047-27-8P 885047-28-9P 885047-29-0P
885047-30-3P 885047-34-7P 885047-35-8P
885047-36-9P 885047-37-0P 885047-38-1P
885047-39-2P 885047-40-5P 885047-41-6P
885047-42-7P 885047-43-8P 885047-44-9P
885047-45-0P 885047-46-1P 885047-47-2P
885047-48-3P 885047-49-4P 885047-50-7P
885047-51-8P 885047-52-9P 885047-53-0P
885047-54-1P 885047-55-2P 885047-56-3P
885047-57-4P 885047-59-6P 885047-60-9P
885047-61-0P 885047-62-1P 885047-63-2P
885047-64-3P 885047-65-4P 885047-66-5P
885047-83-6P 885047-84-7P 885047-85-8P
885047-95-0P 885048-01-1P 885048-02-2P
885048-06-6P 885048-12-4P 885048-13-5P
885048-15-7P 885048-32-8P 885048-34-0P
885048-35-1P 885048-36-2P 885048-38-4P
885048-41-9P 885048-43-1P 885048-44-2P
885048-49-7P 885048-52-2P 885048-58-8P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use): BIOL (Biological study): PREP (Preparation): USES
(Uses)
   (drug candidate; preparation of imidazoloxazole and imidazolothiazole
   compds. as RAF kinase inhibitors useful in treatment of diseases)
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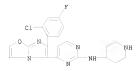
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CN Ethanone, 1-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 815595-26-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 815595-33-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2,4-diffluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 815595-34-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-chloro-4-fluorophenyl)imidazo[2,1-b]oxazol-5-y1]-N-4-piperidinyl- (CA INDEX NAME)



RN 815595-49-4 CAPLUS

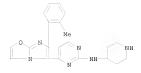
CN Ethanone, 1-[4-[[4-[6-(2-chlorophenyl)imidazo[2,1-b]oxazol-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 815595-53-0 CAPLUS

CN Ethanone, 1-[4-[[4-[6-(2-methylphenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 815595-56-3 CAPLUS

CN 2-Pyrimidinamine, 4-[6-(2-methylphenyl)imidazo[2,1-b]oxazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)



RN 815595-57-4 CAPLUS

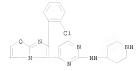
CN Ethanone, 1-[4-[[4-[6-(2-chloro-4-fluorophenyl)imidazo[2,1-b]oxazol-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 815595-61-0 CAPLUS

CN 2-Pyrimidinamine, N-4-piperidinyl-4-[6-[3-(trifluoromethyl)phenyl]imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

RN 815595-62-1 CAPLUS

CN 2-Pyrimidinamine, 4-[6-(2-chlorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)



RN 815595-63-2 CAPLUS

CN 2-Pyrimidinamine, N-[1-(methylsulfonyl)-4-piperidinyl]-4-[6-[3-(trifluoromethyl)phenyl]imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

RN 815595-64-3 CAPLUS

CN Ethanone, 1-[4-[6-(2,4-difluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

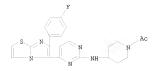
RN 815595-65-4 CAPLUS

CN 2-Pyrimidinamine, 4-[6-(2-chlorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 815595-66-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-chloro-4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 815596-92-0 CAPLUS
- CN Methanone, (4-fluorophenyl)[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 815596-93-1 CAPLUS
- CN Ethanone, 1-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)



- RN 815596-94-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]- (CA INDEX NAME)

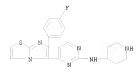
- RN 815596-95-3 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino] (CA INDEX NAME)

- RN 815596-96-4 CAPLUS
- CN 1-Piperidinecarboxamide, N-(4-fluorophenyl)-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 815597-01-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 885046-43-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885046-44-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)



- RN 885046-48-0 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-49-1 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885046-54-8 CAPLUS
- CN Methanone, [4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl](4-methoxyphenyl)- (CA INDEX NAME)

- RN 885046-56-0 CAPLUS
- CN Methanone, [4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]phenyl- (CA INDEX NAME)

- RN 885046-58-2 CAPLUS
- CN Ethanone, 2-(4-chlorophenoxy)-1-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-60-6 CAPLUS

- RN 885046-61-7 CAPLUS

- RN 885046-62-8 CAPLUS
- CN Ethanone, 1-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-methoxy- (CA INDEX NAME)

- RN 885046-63-9 CAPLUS
- CN Methanone, cyclohexyl[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-64-0 CAPLUS
- CN Methanone, [4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2pyrimidinyl]amino]-1-piperidinyl]-4-pyridinyl- (CA INDEX NAME)

- RN 885046-65-1 CAPLUS
- CN Methanone, [4-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]-2-furanyl- (CA INDEX NAME)

- RN 885046-66-2 CAPLUS
- CN 1-Piperidinecarboxamide, N-cyclohexyl-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-67-3 CAPLUS
- CN 1-Piperidinecarboxamide, N-buty1-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-68-4 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(2-phenylethyl)- (CA INDEX NAME)

- RN 885046-69-5 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)

- RN 885046-70-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)

- RN 885046-71-9 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(4-methylphenyl)- (CA INDEX NAME)

- RN 885046-72-0 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(4-methoxyphenyl)- (CA INDEX NAME)

- RN 885046-73-1 CAPLUS
- CN 1-Piperidinecarboxamide, N-(4-fluorophenyl)-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-74-2 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl]-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-75-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(2-furanylmethyl)- (CA INDEX NAME)

- RN 885046-76-4 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[ $\{4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(tetrahydro-2H-pyran-2-yl)- (CA INDEX NAME)$

- RN 885046-77-5 CAPLUS
- CN 1-Piperidinecarboxamide, N-(3,5-dimethyl-4-isoxazolyl)-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-79-7 CAPLUS
- CN Ethanone, 2-(4-fluorophenyl)-1-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-80-0 CAPLUS
- CN 1-Butanone, 1-[4-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2pyrimidinyl]amino]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

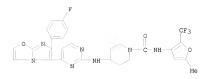
- RN 885046-81-1 CAPLUS
- CN Methanone, (4-fluorophenyl)[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-82-2 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 885046-83-3 CAPLUS
- CN 1-Piperidinecarboxamide, N-(cyclohexylmethyl)-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-84-4 CAPLUS
- CN 1-Piperidinecarboxamide, N-[2-(4-fluorophenyl)ethyl]-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-85-5 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-y1]-2-pyrimidinyl]amino]-N-[5-methyl-2-(trifluoromethyl)-3-furanyl]- (CA INDEX NAME)



- RN 885046-86-6 CAPLUS
- CN 1-Piperidinecarboxamide, N-(2-fluorophenyl)-4-[[4-[6-(4fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN
- 885046-87-7 CAPLUS 1-Propanone, 1-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-CN pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-88-8 CAPLUS
- CN 1-Propanone, 1-[4-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2pyrimidinyl]amino]-1-piperidinyl]-3-phenyl- (CA INDEX NAME)

- RN 885046-89-9 CAPLUS
- CN Ethanone, 2-amino-1-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-90-2 CAPLUS
- CN 1-Propanone, 2-amino-1-[4-[(4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-methyl- (CA INDEX NAME)

- RN 885046-91-3 CAPLUS
- CN Methanone, [4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-(2S)-2-pyrrolidinyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 885046-92-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,4-difluorophenyl)-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 885046-93-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-[(4-fluorophenyl)methyl]- (CA INDEX NAME)

RN 885046-94-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluoropheny1)imidazo[2,1-b]oxazo1-5-

yl]-2-pyrimidinyl]amino]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 885047-07-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-(phenylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-08-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(4-methylphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-09-6 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chloropheny1)sulfony1]-4-piperidiny1]-4-[6-(4-fluoropheny1)imidazo[2,1-b]oxazo1-5-y1]- (CA INDEX NAME)

- RN 885047-10-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(4-methoxyphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-11-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[[4-(1-methylethyl)phenyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-24-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[[3-(trifluoromethyl)phenyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-25-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[[4-(trifluoromethoxy)phenyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

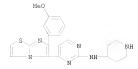
- RN 885047-26-7 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(3-chloro-4-fluorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885047-27-8 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(3,5-dichlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885047-28-9 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(3-chlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885047-29-0 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]-4piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-yl]- (CA INDEX NAME)

- RN 885047-30-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)



- RN 885047-34-7 CAPLUS
- CN Methanone, (4-amino-3,5,6-trichloro-2-pyridinyl)[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885047-35-8 CAPLUS
- CN Methanone, (2,6-dimethoxyphenyl)[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885047-36-9 CAPLUS
- CN Acetamide, N-[2-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

- RN 885047-37-0 CAPLUS
- CN Acetamide, N-[2-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]-1-(hydroxymethyl)-2-oxoethyl]- (CA
  INDEX NAME)

- RN 885047-38-1 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885047-39-2 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-fluoropheny1)sulfony1]-4-piperidiny1]-4-[6-(3-methoxypheny1)imidazo[2,1-b]thiazo1-5-y1]- (CA INDEX NAME)

- RN 885047-40-5 CAPLUS
- CN Ethanone, 1-[4-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]-2-[(4-methyl-2-pyrimidinyl)thio]- (CA
  INDEX NAME)

- RN 885047-41-6 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl]-4-[[4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885047-42-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-43-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 885047-44-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]-N-[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-45-0 CAPLUS
- $\begin{array}{lll} \text{CN} & 1-\text{Piperidinecarboxamide, N-ethyl-4-[\{4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-} & (\text{CA INDEX NAME}) \end{array}$

- RN 885047-46-1 CAPLUS
- CN Methanone, [4-[[4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl][4-(dimethylamino)phenyl]- (CA INDEX NAME)

- RN 885047-47-2 CAPLUS
- CN Methanone, [4-(dimethylamino)phenyl][4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885047-48-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 885047-49-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 885047-50-7 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl]-4-[4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-(CA INDEX NAME)

- RN 885047-51-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3,4-difluoropheny1)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-[4-(dimethylamino)phenyl]- (CA INDEX NAME)

- RN 885047-52-9 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(5-chloro-2-methoxyphenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885047-53-0 CAPLUS
- CN Acetamide, N-[5-[[4-[[4-[6-(4-fluorophenyl)]midazo[2,1-b]oxazol-5-yl]-2 pyrimidinyl]amino]-1-piperidinyl]sulfonyl]-4-methyl-2-thiazolyl]- (CA
   INDEX NAME)

- RN 885047-54-1 CAPLUS
- CN Benzoic acid, 4-[[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 885047-55-2 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(2,4-dimethyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

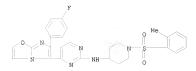
- RN 885047-56-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(3-methoxyphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-57-4 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 885047-59-6 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3-methoxyphenyl)]imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)

- RN 885047-60-9 CAPLUS
- CN 1-Piperidinecarboxamide, N-(2-furanylmethyl)-4-[[4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885047-61-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(2-methylphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)



- RN 885047-62-1 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(2,6-difluorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885047-63-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(3-fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-64-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(4-phenoxyphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-65-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[[4-(trifluoromethyl)phenyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

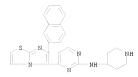
- RN 885047-66-5 CAPLUS
- CN Benzonitrile, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 885047-83-6 CAPLUS
- $\begin{array}{lll} \text{CN} & 1-\text{Piperidinecarboxamide,} & 4-\left[\left[4-\left[6-\left(4-\text{fluorophenyl}\right)-2-\text{methylimidazo}\left[2,1-\text{b}\right]\right]\right] \\ & b) \\ \text{thiazol} & 5-y1\right]-2-\text{pyrimidinyl} \\ \text{amino} & -N-\left(\text{phenylmethyl}\right)- \end{array} \\ & \text{(CA INDEX NAME)}$

- RN 885047-84-7 CAPLUS
- $\begin{array}{lll} \text{CN} & 1-\text{Piperidinecarboxamide, } 4-[[4-[6-(4-\text{fluorophenyl})-2-\text{methylimidazo}[2,1-b]] + b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-(2-\text{furanylmethyl})- & (CA INDEX NAME) \\ \end{array}$

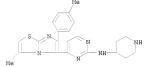
- RN 885047-85-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-(2-furanylmethyl)- (CA INDEX NAME)

- RN 885047-95-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-naphthalenyl)imidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

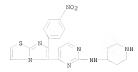


- RN 885048-01-1 CAPLUS
- CN Ethanone, 2-[4-(dimethylamino)phenyl]-1-[4-[4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl] (CA INDEX NAME)

- RN 885048-02-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[3-methyl-6-(4-methylphenyl)imidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)



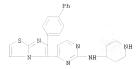
- RN 885048-06-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-nitrophenyl)imidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)



- RN 885048-12-4 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(1,2-dimethyl-1H-imidazol-4-yl) sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885048-13-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2,3-dihydro-1,4-benzodioxin-6-yl)imidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

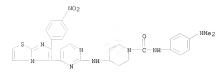
- RN 885048-15-7 CAPLUS
- CN 2-Pyrimidinamine, 4-(6-[1,1'-biphenyl]-4-ylimidazo[2,1-b]thiazol-5-yl)-N-4-piperidinyl- (CA INDEX NAME)



- RN 885048-32-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)

- RN 885048-34-0 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl]-4-[[4-[3-methyl-6-(4-methylphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885048-35-1 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl]-4-[[4-[6-(4-nitrophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)



- RN 885048-36-2 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-(6-[1,1'-biphenyl]-4-ylimidazo[2,1-b]thiazol-5-yl)-2-pyrimidinyl]amino]-N-[4-(dimethylamino)phenyl]- (CA INDEX NAME)

- RN 885048-38-4 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chloropheny1)sulfony1]-4-piperidiny1]-4-[6-(4-fluoropheny1)imidazo[2,1-b]thiazol-5-y1]- (CA INDEX NAME)

- RN 885048-41-9 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885048-43-1 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]- (CA INDEX NAME)

- RN 885048-44-2 CAPLUS
- CN Benzoic acid, 4-[[4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 885048-49-7 CAPLUS
- CN Acetamide, N-[4-[5-[2-[[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]thiazol-6-yl]phenyl]- (CA INDEX NAME)

- RN 885048-52-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2,3-dihydro-1,4-benzodioxin-6-yl)imidazo[2,1-b)thiazol-5-yl]-N-[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885048-58-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-N-4piperidinyl- (CA INDEX NAME)

- RN 885048-64-6 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]- (CA INDEX NAME)

- RN 885048-69-1 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]- (CA INDEX NAME)

- RN 885048-71-5 CAPLUS
- CN Phenol, 4-[5-[2-[[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]thiazo1-6-yl]- (CA INDEX NAME)

- RN 885048-76-0 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chloropheny1)sulfony1]-4-piperidiny1]-4-[6-(3,4-difluoropheny1)imidazo[2,1-b]thiazo1-5-y1]- (CA INDEX NAME)

- RN 885048-78-2 CAPLUS
- CN Phenol, 3-[5-[2-[[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]thiazo1-6-yl]- (CA INDEX NAME)

- RN 885048-80-6 CAPLUS
- CN Phenol, 3-[5-[2-[[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]thiazo1-6-yl]- (CA INDEX NAME)

- RN 956025-01-7 CAPLUS
- CN Phenol, 5-[5-[2-[[1-(cyclopropylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]thiazol-6-yl]-2-fluoro- (CA INDEX NAME)

- RN 956026-67-8 CAPLUS
- CN 2-Pyrimidinamine, N-(1-ethyl-4-piperidinyl)-4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazo1-5-yl]- (CA INDEX NAME)

- RN 956026-68-9 CAPLUS
- CN 1-Piperidinecarboxamide, N-(1,1-dimethylethyl)-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 956026-69-0 CAPLUS
- CN Butanoic acid, 4-amino-4-[[[4-[6-(4-fluoropheny1)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidiny1]amino]-1-piperidiny1]carbony1]amino]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 956026-70-3 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 956026-71-4 CAPLUS
- CN Benzonitrile, 3-[5-[2-[[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]amino]4-pyrimidinyl]imidazo[2,1-b]thiazol-6-yl]- (CA INDEX NAME)

- RN 956026-72-5 CAPLUS
- CN Benzenepropanoic acid, 4-[[4-[6-(3-methoxypheny1)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 956026-73-6 CAPLUS
- CN Benzonitrile, 3-[5-[2-(4-piperidinylamino)-4-pyrimidinyl]imidazo[2,1-b]thiazol-6-yl]- (CA INDEX NAME)

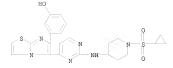
- RN 956026-74-7 CAPLUS
- CN Benzenepropanoic acid, 4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

- RN 956026-75-8 CAPLUS
- CN Benzenepropanoic acid, 4-[[4-[6-(3-hydroxyphenyl)]imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 956026-76-9 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(2-nitrophenyl)imidazo[2,1-b]thiazo1-5-yl]- (CA INDEX NAME)

- RN 956026-85-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-methylphenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 956026-88-3 CAPLUS
- CN Phenol, 3-[5-[2-[[1-(cyclopropylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]thiazol-6-yl]- (CA INDEX NAME)



- RN 956026-89-4 CAPLUS
- CN 1-Piperidineethanol, α-[(4-chlorophenoxy)methyl]-4-[[4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

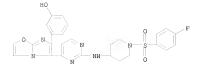
- RN 956026-90-7 CAPLUS
- CN Benzonitrile, 4-[[4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 956026-91-8 CAPLUS
- CN 1-Piperidineethanol, α-[(4-chlorophenoxy)methyl]-4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]thiazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 956026-92-9 CAPLUS CN Benzamide, 4-[[4-[[4-[6-(3-hvdroxyphenyl])im
- CN Benzamide, 4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 956026-94-1 CAPLUS
- CN Phenol, 3-[5-[2-[[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]oxazol-6-yl]- (CA INDEX NAME)

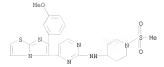
- RN 956026-95-2 CAPLUS
- CN Phenol, 3-[5-[2-[[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]oxazol-6-yl]- (CA INDEX NAME)



- RN 956026-96-3 CAPLUS
- CN 1-Piperidinecarboxamide, N-[(4-chlorophenyl)sulfonyl]-4-[(4-[6-(3-hydroxyphenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 956026-97-4 CAPLUS
- CN 1-Piperidinecarboxamide, N-[(4-chlorophenyl)sulfonyl]-4-[[4-[6-(3-methoxyphenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 956026-99-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)



RN 956027-05-7 CAPLUS

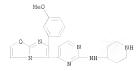
CN Phenol, 3-[5-[2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]thiazol-6-yl]- (CA INDEX NAME)

RN 956027-09-1 CAPLUS

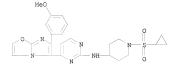
CN 1-Piperidinecarboxamide, N-[(4-chlorophenyl)sulfonyl]-4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 956027-10-4 CAPLUS

CN 2-Pyrimidinamine, 4-[6-(3-methoxyphenyl)] imidazo[2,1-b]oxazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

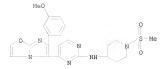


- RN 956027-11-5 CAPLUS
- CN 2-Pyrimidinamine, N-[1-(cyclopropylsulfonyl)-4-piperidinyl]-4-[6-(3-methoxyphenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)



- RN 956027-12-6 CAPLUS
- CN Phenol, 3-[5-[2-[[1-(cyclopropylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]oxazol-6-yl]- (CA INDEX NAME)

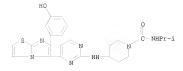
- RN 956027-13-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(3-methoxyphenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)



- RN 956027-15-9 CAPLUS
- CN Phenol, 3-[5-[2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]oxazol-6-yl]- (CA INDEX NAME)

- RN 956027-21-7 CAPLUS
- CN 2-Pyrimidinamine, N-[1-(cyclopropylsulfonyl)-4-piperidinyl]-4-[6-(4-fluoro-3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]- (CA INDEX NAME)

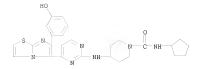
- RN 956027-22-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]thiazol-5-y1]-2-pyrimidinyl]amino]-N-(1-methylethyl)- (CA INDEX NAME)



- RN 956027-23-9 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3-hydroxypheny1)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 956027-24-0 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-propyl- (CA INDEX NAME)

- RN 956027-25-1 CAPLUS
- CN 1-Piperidinecarboxamide, N-cyclopentyl-4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]thiazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)



- RN 956027-26-2 CAPLUS
- CN 1-Piperidinecarboxamide, N-buty1-4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 956027-31-9 CAPLUS
- CN 1-Piperidinecarboxamide, N-cyclohexyl-4-[[4-[6-(3hydroxyphenyl)imidazo[2,1-b]thiazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 956027-54-6 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-, propyl ester (CA INDEX NAME)

- RN 956027-60-4 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(3-hydroxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

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              MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
              RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
              TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
              GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
              BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
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WO 2007-EP52571 W 20070319 CASREACT 147:406847; MARPAT 147:406847 O.S.

A2

A

Α

A

EP 2001867

PRAI EP 2006-111751

IN 2008DN08153

KR 2008104074

AB This invention is concerned with compds. of formula I, and pharmaceutically acceptable salts thereof. Compds. of formula I wherein A is O and NH; R1 is H, C1-7 alkoxy, and halo; R2 is C2-7 alkyl, C2-7 alkenyl, C1-7 (halo)alkyl, etc.; R3 is H, C1-7 alkyl, OH, C1-7 alkoxy, C2-7 alkenyloxy, halo, etc.; R4 is H, OH, C1-7 alkoxy, amino, nitro, etc.; R5 is H, halo, C1-7 alkoxy, and C1-7 alkoxy-C1-7 alkoxy; G is (un) substituted pyrimidine, (un) substituted quinazoline, (un) substituted pteridine, (un) substituted triazine, etc.; and their pharmaceutically acceptable salts thereof, are claimed. The invention further relates to pharmaceutical compns. containing such compds., to a process for their

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR

20081121 IN 2008-DN8153

preparation and to their use for the treatment and/or prevention of diseases which are

20081217

20081128

20060327

EP 2007-727049

KR 2008-726236

20070319

20080929

20081027

associated with the modulation of SST receptors subtype 5. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their SST-5 modulatory activity (some data given).

951000-57-0P 951000-72-9P IΤ

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrimidine, quinazoline, pteridine and triazine derivs. as SST receptor modulators)

RN 951000-57-0 CAPLUS

CN 2-Pyrimidinamine, N-[1-[(3-ethoxy-4-methoxyphenyl)methyl]-4-piperidinyl]-4-(2-thienyl)- (CA INDEX NAME)

RN 951000-72-9 CAPLUS

CN 2-Pyrimidinamine, N-[1-[(4-chloro-3-ethoxyphenyl)methyl]-4-piperidinyl]-4-(2-thienyl)- (CA INDEX NAME)

- L17 ANSWER 18 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007:1060802 CAPLUS AN
- DN 147:385972
- TΙ Pyrazole compounds as Raf inhibitors and their preparation, pharmaceutical compositions and use in the treatment of abnormal cell growth
- IN Bennett, Michael John; Cho-Schultz, Sujin; Deal, Judith Gail; King, Stephen Joseph; Marrone, Tami Jo; Palmer, Cynthia Louise; Romines, William Henry, III; Rui, Eugene Yuanjin; Sutton, Scott Channing; Zhender, Luke Raymond
- Pfizer Products Inc., USA
- SO PCT Int. Appl., 110pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1																			
	PATEN'	KIND DATE			***		APPL	ICAT		DATE									
							/												
PI	WO 2007105058				A2 / 20070920					WO 2007-IB561						20070305			
	WO 2007105058				A3	A3 20071221													
	W	ΑE,	AG,	AL,	AM,	ΑÀ	ΑU,	AZ/	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE	…ÐK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,		
		KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,	MN,		
		MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,		
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,		
		UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW									
	R	V: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,		
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,		
		BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,		
		GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,		
		BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑP,	EA,	EP,	OA							
PRA1	US 20	6-782	P		2006	0316													

20070125

P US 2007-886561P

OS MARPAT 147:385972

AB

The invention is directed to compds. of formula I, and to salts and solvates thereof, their synthesis, and their use as Raf inhibitors. Compds. of formula I wherein R1 is H, OH, C1-6 alkyl, C2-8 alkenyl, C2-8 alkynvl, CN, NH2 and derivs., etc.; each R2 is independently H, halo, C1-6 alkyl, C2-6 alkenyl, C2-8 alkynyl;, C2-8 alkoxy, and CN; R3 is H and NH(CH2)0-4R7; R4 is C1-6 alkylthio, C1-6 alkoxy, H, OH, C1-6 alkyl, C2-8 alkenyl, C2-8 alkynyl, CN, NH2 and derivs., etc.; R7 is H, C1-6 alkyl, C2-8 alkenyl, C2-8 alkynyl, NH2 and derivs., etc.; m is 0, 1, 2 and 3; n is 0, 1, 2, 3 and 4; X is N and CH; and their pharmaceutically acceptable salts thereof are claimed. Example compound II was prepared by addition of 4-picoline to Me 4-chloro-3-methylbenzoate; the resulting 1-(3-chloro-5-methoxyphenyl)-2-(pyridin-4-yl)ethanone underwent condensation with DMF di-Me acetal to give the corresponding dicarbonyl derivative, which underwent cyclization with hydrazine to give 4-[3-(3-chloro-5-methoxyphenyl)-1H-pyrazol-4-yl]pyridine, which underwent demethylation to give compound II. All the invention compds. were evaluated for their Raf kinase inhibitory activity. From the assay, it was determined that compound II exhibited IC50 values of  $0.448~\mu\text{M}$  against pMEK and 0.42uM against pERK. Compound II also exhibited a Ki value of 0.0147 uM and 91 % inhibition at 1 µM concentration of b-Raf. 950524-86-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazoles as Raf inhibitors useful in the treatment of abnormal cell growth)

RN 950524-86-4 CAPLUS

CN Phenol, 3-chloro-5-[1-ethyl-4-[2-[(1-methyl-4-piperidinyl)amino]-4-pyrimidinyl]-1H-pyrazol-3-yl]- (CA INDEX NAME)

- L17 ANSWER 19 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007:998153 CAPLUS AN
- DN 147:344090
- TΙ Preparation of multi-cyclic compounds useful in treatment of oncol.
- diseases related to kinase activity Cee, Victor J.; Deak, Holly L.; Geuns-Meyer, Stephanie D.; Hodous, Brian
- L.; Nguyen, Hanh Nho; Olivieri, Philip R.; Patel, Vinod F.; Romero, Karina PA Amgen Inc., USA
- SO PCT Int. Appl., 104pp.
- CODEN: PIXXD2
- DT Patent

LIM	Enio	1121
FAN	.CNT	1

	PATENT NO.						KIND DATE APPLICATION NO.									DATE				
PI	I WO 2007100646						A1 (20070907 )WO 2007-US4700							20070222						
		W:	AE,	AG,	AL,	AM,	AT,	~AU.	AZu	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,		
			KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,		
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,		
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,		
			TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	zw								
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,		
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
			GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
		KG, KZ, MD																		
	US	2007	0213	325		A1		2007	0913	13 US 2007-709994							20070221			
		2007						2007		AU 2007-221294						20070222				
	EP	₽ 1994030				A1 200			1126		EP 2007-751460				2	0070	222			
		R:									EE,									
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,		
				HR,																
PRAI	RAI US 2006-776507P					P		2006	0224											

US 2007-709994 20070221 WO 2007-US4700 TeT 20070222

OS

CASREACT 147:344090; MARPAT 147:344090 The title compds. I [A = (un)substituted benzimidazolvl, imidazolvl, triazolyl; B = phenylene, pyridylene, etc.; C1 = N or CR10; C2 = N or CH; D = (un)substituted pyrimidinyl, indazolyl, etc.; L1, L2 = NR3, O, S, C(O), S(O), SO2 or CR3R3; R3, R4 = H, halo, haloalkyl, etc.] which are capable of modulating various protein kinase receptor enzymes and, thereby, influencing various disease states and conditions related to the activities of such kinases, were prepared Thus, reacting 4-[2-(4-aminophenoxy)pyridin-3-vl]pyrimidin-2-amine with 2-chloro-5-phenyl-1,3,4-thiadiazole afforded II. The compds. I are capable of modulating Tie-2 and Aurora kinase enzymes thereby influencing angiogenesis and the process of cell cycle and cell proliferation, resp., to treat cancer and cancer-related diseases. For example, II was found to have IC50 of less than or equal to 5 µM in the Aurora kinase A HTRF assay. The invention also includes pharmaceutical compns. comprising compds. I, and methods of treating disease states related to the activity of various protein kinases.

948563-40-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel multicyclic compds. useful in treatment of oncol. diseases related to kinase activity)

RN 948563-40-4 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-[(1-methyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 20 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007:874444 CAPLUS AN
- DN 147:257789
- TΙ 4-Aryl-2-aminopyrimidines or 4-aryl-2-aminoalkylpyrimidines as JAK-2 modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
- Mann, Grace; Aav, Naing; Arcalas, Arlvn; Brown, S. David; Chan, Wai Ki Vicky; Chen, Jeff; Du, Hongwang; Epshteyn, Sergey; Forsyth, Timothy; Galan, Adam A.; Huynh, Tai Phat; Ibrahim, Mohamed Abdulkader; Johnson, Henry William Beecroft; Kane, Brian; Kearney, Patrick; Kim, Byung Gyu; Koltun, Elena; Leahy, James William; Lee, Matthew Sangyup; Lewis, Gary L.; Meyr, Lisa E.; Noguchi, Robin Tammie; Pack, Michael; Ridgway, Brian Hugh; Shi, Xian; Woolfrey, John; Zhou, Peiwen
- Exelixis, Inc., USA PA
- PCT Int. Appl., 586 pp. SO
- CODEN: PIXXD2
- DT Patent

LA	Eng	j⊥1SF	
	.CNT	1	

	PATENT NO.						KIND DATE				APPLICATION NO.						DATE			
							/													
PI	I WO 2007089768				A2	A2 (20070809) WO 2						WO 2007-US2515				20070130				
	WO 2007089768					A3	- (	2007	0920	/										
		W:	AE,	AG,	AL,	AM,	AT,	AU.	AZ	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,		
			KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,		
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,		
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,		
			TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	zw								
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,		
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,		
			GM,	KΕ,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
			KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA								
		2007				A1				AU 2007-209928										
		2640				A1				CA 2007-2640398						20070130				
	EΡ	1979				A2 20081015				EP 2007-717132						20070130				
		R:									EE,									
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,		
			BA,	HR,	MK,															
PRAI	US	2006	-763	426P		P	P 20060130													
		2006						2006												
		2006						2006												
	WO	WO 2007-US2515						2007	0130											

WO 2007-US2515

os MARPAT 147:257789 ΔR This invention relates to certain pyrimidine derivative inhibitors of JAK-2, having formula I, pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof, and methods of use thereof. Compds. of formula I wherein D and E are independently H, halo, CF3, heterocycloalkyl and alkyl; DE taken together to form 5- to 7-membered heteroaryl and 5- to 7-membered heterocycloalkyl; L is a bond, O and NH; Z is alkoxyl, cycloalkyl, (un) substituted heteroaryl, aryl, (un) substituted heterocycloalkyl; Z-R25 taken together to form 5- to 6-membered (hetero)cycloalkyl, and 5- to 6-membered heteroaryl; n is 0, 1, 2, 3, and 4; R1 is H; R2 is (un)substituted (hetero)aryl, (un)substituted alkylaryl; R25 is alkyl, alkenyl, halo, haloalkyl, amino, etc.; and their

## 10/552,317

pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cross-coupling of 2,4-dichloropyrimidine with

4-(acetylamino)phenylboronic acid; the resulting

N-[4-(2-chloropyrimidin-4-yl)phenyl]acetamide underwent amination with N-Boc-1,3-diaminobenzene to give compound II. All the invention compds.

were evaluated for their JAK-2 inhibitory activity. IT 945754-01-8P 945754-03-0P 945755-25-9P

945755-26-0P 945756-08-1P 945756-10-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

(drug candidate; preparation of aryl(amino)pyrimidines and aryl(aminoalkyl)pyrimidines as JAK-2 modulators useful in the treatment of diseases)

RN 945754-01-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[4-(acetylamino)phenyl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 945754-03-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[4-(acetylamino)phenyl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 945755-25-9 CAPLUS

CN Acetamide, N-[4-[2-(4-piperidinylamino)-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

- RN 945755-26-0 CAPLUS
- CN Acetamide, N-[4-[2-[[1-(2,6-dichlorobenzoy1)-4-piperidiny1]amino]-4pyrimidiny1]pheny1]- (CA INDEX NAME)

- RN 945756-08-1 CAPLUS
- CN Methanone, (2,6-dichlorophenyl)[4-[[4-(4-methyl-2-thienyl)-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- Me
- RN 945756-10-5 CAPLUS
- CN Methanone, (2,6-dichlorophenyl)[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-1piperidinyl]- (CA INDEX NAME)

- IT 945756-14-9P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
  - (intermediate; preparation of aryl(amino)pyrimidines and aryl(aminoalkyl)pyrimidines as JAK-2 modulators useful in the treatment of diseases)
- RN 945756-14-9 CAPLUS
- CN Methanone, (2,6-dichlorophenyl)[4-[[4-(5-methyl-2-thienyl)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

IT 945756-45-6

RL: RCT (Reactant); RACT (Reactant or reagent) (starting material; preparation of aryl(amino)pyrimidines and aryl(aminoalkyl)pyrimidines as JAK-2 modulators useful in the treatment of diseases)

- RN 945756-45-6 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-methyl-2-thienyl)-N-4-piperidinyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

#### 10/552,317

- L17 ANSWER 21 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007:640266 CAPLUS AN
- 147:52920 DN
- Preparation of pyrimidine compounds as p38 MAP kinase inhibitors
- Kubo, Akira; Nakane, Akira; Nakajima, Tatsuo; Murakami, Takaaki; Miyoshi, IN Hidetaka; Ogasawara, Akito
- PA Tanabe Seivaku Co., Ltd., Japan
- SO Jpn. Kokai Tokkvo Koho, 60pp.
- CODEN: JKXXAF
- Patent
- LA Japanese FAN.CNT 1

PAT	TENT NO.	KIND	DATE	APPLICATION NO.	DATE			
			/\					
PI JP	2007145819	A ,	20070614	JP 2006-290675	20061026			
PRAI JP	2005-313670	A	20051028					
OS MAE	PAT 147:52920	1	\ /					

- AB
  - Title compds. I [R1 = H, halo, nitro, etc.; p = 1, 2; Z = -0-, -N(R2)-; R2 = H, alkvl, alkanovl; ring A etc.; R3 = (CH2)n-RA; RA = H, (un) substituted alkvl, (un) substituted alkoxvalkvl, etc.; n = 0-4; O1 = H, halo, cvano, etc.; ring B = cvcloalkane, saturated hetero-monocycle containing nitrogen atom; X = CH, N; Y = single bond, SO2, CO; ring C = aromatic hydrocarbon ring, (un)substituted heterocycle] and their pharmaceutically acceptable salts were prepared For example, reaction of compound II [R = NH2] with 2-chloroethylisocyanate afforded compound II [R = 2-oxo-1-imidazolidinv1]. In TNFα production-inhibition assays, compound
  - II [R = 1,1-dioxo-2-isothiazolidinyl] showed 100% inhibitory activity.
- 869220-92-8P 869221-34-1P 869221-35-2P 869221-36-3P 869221-37-4P 869221-38-5P 869221-39-6P
  - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
- (preparation of pyrimidine compds. as p38 MAP kinase inhibitors)
- RN 869220-92-8 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[[1-(2-thienylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 869221-34-1 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[[1-[(1,3,5-trimethyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]amino]-4-

pyrimidiny1]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 869221-35-2 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[[1-[(1,2-dimethyl-lH-imidazol-5-yl)]sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

HCl

- RN 869221-36-3 CAPLUS
- NN 05221-93 CAFDOS (AFDOS )

  2H-Inidazol-2-0ne, 4-[2-[[1-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

# ● HCl

- RN 869221-37-4 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[1-(phenylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

## ■ HC1

- RN 869221-38-5 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[[1-(2-naphthalenylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

# ● HCl

- RN 869221-39-6 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[[1-(2-pyridinylcarbonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAMEY

### HC1

- IT 775575-82-1
  - RL: RCT (Reactant); RACT (Reactant or reagent)
    (preparation of pyrimidine compds. as p38 MAP kinase inhibitors)
- RN 775575-82-1 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-(4-piperidinylamino)-4-pyrimidinyl]- (CA INDEX NAME)

#### 10/552,317

- L17 ANSWER 22 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007:602630 CAPLUS AN
- 147:211828 DN
- Synthesis and SAR of aminopyrimidines as novel c-Jun N-terminal kinase (JNK) inhibitors
- AU Alam, Mahbub; Beevers, Rebekah E.; Ceska, Tom; Davenport, Richard J.; Dickson, Karen M.; Fortunato, Mara; Gowers, Lewis; Haughan, Alan F.; James, Lynwen A.; Jones, Mark W.; Kinsella, Natasha; Lowe, Christopher; Meissner, Johannes W. G.; Nicolas, Anne-Lise; Perry, Benjamin G.; Phillips, David J.; Pitt, William R.; Platt, Adam; Ratcliffe, Andrew J.; Sharpe, Andrew; Tait, Laura J.
- CS UCB, Granta Park, Great Abington, Cambridge, CB21 6GS, UK
- SO Bioorganic & Medicinal Chemistry Letters (2007), \$7(12), 3463-3467 CODEN: BMCLE8; ISSN: 0960-894X
- Elsevier Ltd. PR
- DT Journal
- LA English
- os CASREACT 147:211828
- AB The development of a series of aminopyrimidines, e.g., I, as inhibitors of c-Jun N-terminal kinases is described. The synthesis, in vitro inhibitory values for JNK1, JNK2 and CDK2, and the in vitro inhibitory value for a c-Jun cellular assav were discussed.
- 882563-01-1

RN

- RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
  - (preparation of aminopyrimidine derivs. using coupling of chloropyrimidines with indole derivs. or (bromo)imidazopyridine followed by substitution with aminopiperidines, and their antiinflammatory activity as JNK inhibitor and SAR)
- 882563-01-1 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-cyano-4-(6-fluoro-1H-indo1-3-y1)-2pyrimidinyllaminol-N-ethyl- (CA INDEX NAME)

- 882563-40-8P 882565-39-1P
  - RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
    - (preparation of aminopyrimidine derivs, using coupling of chloropyrimidines with indole derivs, or (bromo)imidazopyridine followed by substitution with aminopiperidines, and their antiinflammatory activity as JNK
- inhibitor and SAR) RN 882563-40-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[(5-chloro-4-imidazo[1,2-a]pyridin-3-y1-2pyrimidinyl)amino]-N-ethyl- (CA INDEX NAME)

RN 882565-39-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-1-y1)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

IT 882562-75-6P 882562-82-5P 882562-91-6P

882562-98-3P 882563-10-2P 882563-12-4P

882563-14-6P 882564-23-0P 882564-31-0P

882564-35-4P 882564-39-8P 882565-41-5P

882566-85-0P 945016-58-0P 945016-59-1P

945016-60-4P 945016-61-5P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of aminopyrimidine derivs. using coupling of chloropyrimidines with indule derivs. or (bromotividayonyridine followed by substitution

with indole derivs. or (bromo)imidazopyridine followed by substitution with aminopiperidines, and their antiinflammatory activity as JNK inhibitor and SAR)

RN 882562-75-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 882562-82-5 CAPLUS

CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-(1H-indol-3-yl)-5-methyl-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 882562-91-6 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]- (CA INDEX NAME)

- RN 882562-98-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 882563-10-2 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N-methyl- (CA INDEX NAME)

- RN 882563-12-4 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

- RN 882563-14-6 CAPLUS
- CN Acetamide, N-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-oxoethy1]- (CA INDEX NAME)

- RN 882564-23-0 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-y1)-N-[1-[(4-methyl-1-piperazinyl)carbonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 882564-31-0 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-(1H-indol-3-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 882564-35-4 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-(dimethylamino)- (CA INDEX NAME)

RN 882564-39-8 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-(methylamino)- (CA INDEX NAME)

RN 882565-41-5 CAPLUS

CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-(1H-indol-1-yl)-2pyrimidinyl]amino]- (CA INDEX NAME)

RN 882566-85-0 CAPLUS

CN 1-Piperidinecarboxamide, N-ethyl-4-[(4-pyrazolo[1,5-a]pyridin-3-yl-2-pyrimidinyl)amino]- (CA INDEX NAME)

RN 945016-58-0 CAPLUS

CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-y1)-N-[1-[(4-methy1-1-piperidiny1)carbony1]-4-piperidiny1]- (CA INDEX NAME)

RN 945016-59-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-N-(4-methyl-1-piperidinyl)- (CA INDEX NAME)

RN 945016-60-4 CAPLUS

CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[2-(1-methylethyl)pyrazolo[1,5a]pyridin-3-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 945016-61-5 CAPLUS

CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-(2-phenylpyrazolo[1,5-a]pyridin-3-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

IT 882562-77-8P 882563-09-9P 882563-38-4P

1004524-90-6P 1004526-40-2P 1004527-41-6P

1004529-44-5P 1004529-53-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of aminopyrimidine derivs. using coupling of chloropyrimidines with indole derivs. or (bromo)imidazopyridine followed by substitution with aminopiperidines, and their antiinflammatory activity as JNK

inhibitor and SAR)

RN 882562-77-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 882563-09-9 CAPLUS

CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-yl)-N-4-piperidinyl- (CA INDEX NAME)

RN 882563-38-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1004524-90-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(1H-indol-3-yl)-5-methyl-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1004526-40-2 CAPLUS

CN 2-Pyrimidinamine, 4-(1H-indol-3-yl)-5-methyl-N-4-piperidinyl- (CA INDEX NAME)

RN 1004527-41-6 CAPLUS

CN 2-Pyrimidinamine, 4-(1H-indol-3-yl)-N-4-piperidinyl- (CA INDEX NAME)

RN 1004529-44-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-chloro-4-(1H-indol-1-y1)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1004529-53-6 CAPLUS

CN 2-Pyrimidinamine, 4-(1H-indol-1-yl)-N-4-piperidinyl- (CA INDEX NAME)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 23 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007:510085 CAPLUS AN
- DN 146:501070
- TI Pyrimidinyl-thiophenes as kinase modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases mediated by kinase activity
- Arnold, William D.; Chen, Chixu; Gradl, Stefan N.; Hopkins, Stephanie A.; Steensma, Ruo W.; Tomimoto, Masaki; Wilson, Mark E.
- SGX Pharmaceuticals, Inc., USA
- SO PCT Int. Appl., 144pp.
- CODEN: PIXXD2 DT Patent
- T.A English FAN. CNT 1

	PATENT NO.					KIND DATE					APPLICATION NO.						DATE			
							/													
PI	WO	2007	0537	76		A1		2007	0510	)	WO 2006-US43047						20061102			
		W:	ΑE,	AG,	AL,	AM,	AT>	AU.	"AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,		
			KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,		
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			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,		
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW								
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,		
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
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			KG,	KZ,	MD,	RU,	TJ,	TM												
	CA	2628	474			A1 20070510 CA 2006-2628474								20061102						
	US	2007	0117	800		A1 20070524					US 2006-556033					20061102				
	EP	1948	647			A1		2008	0730		EP 2006-836919					20061102				
		R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,		
			BA,	HR,	MK,	RS														
PRAI	US	2005	-733	585P		P		2005	1103											
	WO	2006	-US4	3047		W		2006	1102											

OS MARPAT 146:501070

The invention provides pyrimidinyl-thiophene kinase modulators v of formula I which can be used to treat diseases mediated by kinase activity. Compds. of formula I wherein A is (un)substituted (hetero)arvl; R1 is H. F, Br, OH and derivs., (CH2) nNH2 and derivs., CN, NO2, CF3, (un) substituted alkyl, etc.; R2, R3 and R4 are independently H, halo, OH and derivs., NH2 and derivs., CN, NO2, CF3, (un)substituted alkyl, etc.; n is 0 to 5; are claimed. Example compound II was prepared by amidation of 5-(2-methylsulfanylpyrimidin-4-vl)thiophene-2-sulfonyl chloride with dimethylamine; the resulting 5-(2-methylsulfanylpyrimidin-4-yl)thiophene-2-

sulfonic acid dimethylamide underwent oxidation to 5-(2-methylsulfonylpyrimidin-4-yl)thiophene-2-sulfonic acid dimethylamide, which underwent nucleophilic aromatic substitution with

1-(3-aminophenvl)ethanol. All the invention compds, were evaluated for their kinase inhibitory activity (data given). 936134-72-4P 936137-13-2P 936137-14-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrimidinylthiophenes as kinase modulators

useful in treatment of diseases - mediated by kinase activity)

RN 936134-72-4 CAPLUS

CN 2-Pyrimidinamine, 4-[5-(1-piperidinylsulfonyl)-2-thienyl]-N-4-pyridinyl-(CA INDEX NAME)

RN 936137-13-2 CAPLUS

CN 2-Thiophenecarboxamide, N-(2-cyanoethyl)-N-ethyl-5-[2-(4-pyridinylamino)-4-pyrimidinyl]- (CA INDEX NAME)

RN 936137-14-3 CAPLUS

CN 2-Thiophenecarboxamide, N-[(1R)-1-phenylethyl]-5-[2-(4-pyridinylamino)-4-pyrimidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 24 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007:259691 CAPLUS AN
- 146:316944 DN
- TΙ Novel imidazo based heterocycles as kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of inflammatory and proliferative diseases
- Brienlinger, Eric C.; Calderwood, David J.; Frank, Kristine E.; Betschmann, Patrick; Hirst, Gavin C.; Morvtko, Michael J.; Dixon, Richard W.
- Abbott Laboratories, USA
- SO PCT Int. Appl., 150 pp. CODEN: PIXXD2
- DT Patent
- English T.A

EAN ONT 1

FAN	PATENT NO.	KIND DAT	TE.	APPLICATION NO.	DATE		
PI	WO 2007028051 WO 2007028051		70308	WO 2006-US34275	20060901		
	W: AE, AG, AL	. AM. AT. AI	I. AZ. BA	, BB, BG, BR, BW, BY,	BZ. CA. CH.		
				, DZ, EC, EE, EG, ES,			
				, IN, IS, JP, KE, KG,			
				LU, LV, LY, MA, MD,			
				, NZ, OM, PG, PH, PL,			
				I, SV, SY, TJ, TM, TN,			
		, UZ, VC, VN					
				, EE, ES, FI, FR, GB,	GR, HU, IE,		
				, PT, RO, SE, SI, SK,			
	CF, CG, CI	, CM, GA, GN	I, GO, GW	, ML, MR, NE, SN, TD,	TG, BW, GH,		
	GM, KE, LS	, MW, MZ, NA	A, SD, SL	, SZ, TZ, UG, ZM, ZW,	AM, AZ, BY,		
	KG, KZ, MD	, RU, TJ, Th	AP, EA	A, EP, OA			
	CA 2620223	A1 200	70308	CA 2006-2620223	20060901		
	US 20070099925	A1 200	70503	US 2006-514626	20060901		
	EP 1928237	A2 200	080611	EP 2006-824879	20060901		
	R: AT, BE, BG	, CH, CY, CZ	Z, DE, DE	K, EE, ES, FI, FR, GB,	GR, HU, IE,		
	IS, IT, LI	, LT, LU, LV	, MC, NL	, PL, PT, RO, SE, SI,	SK, TR, BA,		
	HR, MK, RS						
	MX 200802979	A 200	080512	MX 2008-2979	20080229		
	CN 101291582		81022	CN 2006-80038658	20080417		
PRA	I US 2005-714016P		050902				
	US 2006-837560P		060814				
	WO 2006-US34275	W 200	060901				
os	MARPAT 146:316944						

AB The invention is directed to imidazopyrazine and imidazopyrimidine compds. of formula I, wherein the variables are as defined herein. The compds. of formula I are useful as kinase inhibitors and as such would be useful in treating certain conditions and diseases, especially inflammatory conditions and

diseases and proliferative disorders and conditions, for example, cancers. Compds. of formula I wherein Z is (un)substituted (hetero)aryl; X and Y are independently N, CR4 and N-oxide, provided that X and Y cannot both be CR4 or both cannot be N-oxide; A is N, CR4 and N-oxide; R1, R4 and R10 are independently H, OH, F, Cl, Br, I, CF3, CN, NO2, NH2, (un) substituted aryloxy, etc.; Q is NH and derivs., O, S or a bond; L is a bond, C1-6 alkyl, CO, CO2, CONH, SO, or SO2; R3 is H, CONH2 and derivs., NHCHO and derivs., NHCO2H and derivs., CO2H and derivs., (un) substituted aryl, etc.; and their pharmaceutically acceptable salts, metabolites, isomers and

# 10/552,317

prodrugs thereof, are claimed. Example compound II was prepared by amination fo 2-(4-fluorophenyl)-3-[2-(methanesulfonyl)pyrimidin-4-yl]imidazo[1,2-a]pyrazine with tert-Bu 4-aminopiperidine-1-carboxylate. All the

invention compds. were evaluated for their kinase inhibitory activity. IT 928315-08-6P 928316-07-8P 928316-23-8P

928316-51-2P 928318-77-8P 928318-80-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate and intermediate; preparation of imidazopyrimidine and imidazopyrazine derivs. as kinase inhibitors useful in the treatment of inflammatory and proliferative diseases)

RN 928315-08-6 CAPLUS

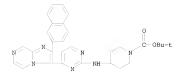
CN 1-Piperidinecarboxylic acid, 4-[[4-[2-(4-fluorophenyl)imidazo[1,2-a]pyrazin-3-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 928316-07-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(2-phenylimidazo[1,2-a]pyrazin-3-yl)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 928316-23-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[2-(2-naphthalenyl)imidazo[1,2-a]pyrazin-3-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



- RN 928316-51-2 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[2-(4-fluorophenyl)-8-methylimidazo[1,2-a]pyraxin-3-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 928318-77-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-(4-fluoropheny1)imidazo[1,2-a]pyrazin-3-y1]-N-4-piperidinyl- (CA INDEX NAME)

- RN 928318-80-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-(2-naphthalenyl)imidazo[1,2-a]pyrazin-3-yl]-N-4-piperidinyl- (CA INDEX NAME)

IT 928315-41-7P 928315-56-4P 928315-65-5P 928315-68-8P 928316-09-P 928316-12-5P 928316-21-6P 928316-24-9P 928316-25-0P 928316-35-2P 928316-40-9P 928316-44-3P 928316-47-6P 928316-54-5P 928317-09-3P 928318-78-9P 928318-81-4P 928318-86-1P 928318-88-1P 928318-89-72P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazopyrimidine and imidazopyrazine derivs. as kinase inhibitors useful in the treatment of inflammatory and proliferative diseases)

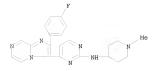
RN 928315-41-7 CAPLUS

CN

8-Azabicyclo[3.2.1]octan-3-amine, N-[4-[2-(4-fluoropheny1)imidazo[1,2-a]pyrazin-3-y1]-2-pyrimidiny1]-8-methy1- (CA INDEX NAME)

RN 928315-56-4 CAPLUS

CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)imidazo[1,2-a]pyrazin-3-yl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



RN 928315-65-5 CAPLUS

CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)imidazo[1,2-a]pyrazin-3-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 928315-68-8 CAPLUS

CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)imidazo[1,2-a]pyrazin-3-yl]-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (CA INDEX NAME)

RN 928316-09-0 CAPLUS

CN 2-Pyrimidinamine, N-[1-(methylsulfonyl)-4-piperidinyl]-4-(2-phenylimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)

RN 928316-12-5 CAPLUS

CN Ethanone, 1-[4-[4-(2-phenylimidazo[1,2-a]pyrazin-3-y1)-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 928316-21-6 CAPLUS

CN 2-Pyrimidinamine, N-[1-(methylsulfonyl)-4-piperidinyl]-4-[2-(2-naphthalenyl)imidazo[1,2-a]pyrazin-3-yl]- (CA INDEX NAME)

RN 928316-24-9 CAPLUS

CN 2-Pyrimidinamine, N-(1-methyl-4-piperidinyl)-4-[2-(2-naphthalenyl)imidazo[1,2-a]pyrazin-3-yl]- (CA INDEX NAME)

RN 928316-25-0 CAPLUS

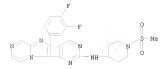
CN Ethanone, 1-[4-[4-[2-(2-naphthaleny1)imidazo[1,2-a]pyrazin-3-y1]-2-

pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 928316-35-2 CAPLUS
- CN 2-Pyrimidinamine, N-[1-(methylsulfonyl)-4-piperidinyl]-4-[2-[3-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrazin-3-yl]- (CA INDEX NAME)

- RN 928316-40-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-(3-methylphenyl)imidazo[1,2-a]pyrazin-3-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 928316-44-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-(3,4-difluorophenyl)imidazo[1,2-a]pyrazin-3-yl]-N[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)



RN 928316-47-6 CAPLUS

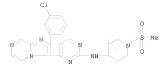
CN 2-Pyrimidinamine, 4-[2-(4-chlorophenyl)imidazo[1,2-a]pyrazin-3-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

RN 928316-54-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-amine, N-[4-[2-(4-fluorophenyl)-8methylimidzo[1,2-a]pyrazin-3-yl]-2-pyrimidinyl]-8-methyl- (CA INDEX NAME)

RN 928317-09-3 CAPLUS

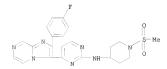
CN 2-Pyrimidinamine, 4-[2-(3-chlorophenyl)] imidazo[1,2-a]pyrazin-3-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)



- RN 928318-78-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-8-methylimidazo[1,2-a]pyrazin-3-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 928318-81-4 CAPLUS
- CN 2-Pyrimidinamine, 4-(2-phenylimidazo[1,2-a]pyrazin-3-yl)-N-4-piperidinyl-(CA INDEX NAME)

- RN 928318-86-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)imidazo[1,2-a]pyrazin-3-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)



RN 928318-88-1 CAPLUS

CN Ethanone, 1-[4-[4-[2-(4-fluoropheny1)-8-methylimidazo[1,2-a]pyrazin-3-y1]-2-pyrimidinyl]amino]-1-piperidinyl]-2-hydroxy- (CA INDEX NAME)

- RN 928318-89-2 CAPLUS
- CN Ethanone, 2-hydroxy-1-[4-[4-[2-(2-naphthalenyl)imidazo[1,2-a]pyrazin-3-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 928318-97-2 CAPLUS
- CN Ethanone, 1-[4-[[4-[2-(4-fluorophenyl)imidazo[1,2-a]pyrazin-3-yl]-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

#### 10/552,317

- L17 ANSWER 25 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2007:61837 CAPLUS
- 146:156236 DN
- TI Cellular cholesterol absorption modifiers, and their therapeutic use
- IN Gardiner, Elisabeth M.; Duron, Sergio G.; Massari, Mark E.; Severance, Daniel L.; Semple, Joseph E.
- PA Kalvpsvs, Inc., USA
- SO PCT Int. Appl., 300pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.	CMI I																		
	PATENT NO.					KIND DATE					APPLICATION NO.					DATE			
PΙ	WO 2	WO 2007008541					A2 ( 20070118 )					WO 2006-US26242					20060705		
	WO 2	2007008541					A3 \ 20070726 /												
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			GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	
			KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	
			MW,	MX,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,	
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			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
			KG,	ΚZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA							
PRAI	US 2	005-	6976	59P		P		2005	0708										
	US 2	005-	6976	86P		P		2005	0708										
	TTC 2006_607014D							2006	0700										

- US 2005-697814P P US 2005-727646P P 20050708 20051017 US 2006-782303P P 20060313 MARPAT 146:156236
  - OS
  - AB The invention discloses compds. and methods useful as inhibitors of cholesterol absorption for the treatment or prevention of vascular disease and atherosclerosis. 920527-98-6 920528-07-0
    - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  - (cholesterol absorption modifiers and therapeutic use)
  - RN 920527-98-6 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(2,5-dimethoxyphenyl)-2pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 920528-07-0 CAPLUS
- CN 2-Pyrimidinamine, 4-(2,4-difluorophenyl)-6-methyl-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

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L17 ANSWER 26 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
AN
     2006:1226019 CAPLUS
DN
     146:7975
TΙ
     Preparation of pyrrolopyridines as protein kinase inhibitors
IN
     Okram, Barun; Ren, Pingda; Gray, Nathanael S.
     IRM LLC, Bermuda; The Scripps Research Institute
SO
     PCT Int. Appl., 51pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                           KIND
                                    DATE
                                                 APPLICATION NO.
                                                                           DATE
                           ----
                                                WO 2006-US18868
     WO 2006124863
                            A2
                                    20061123
                                                                            20060515
PT
     WO 2006124863
                                    20070125
                             A3
          W: AE, AG, AL, AM, AT, AU, AZ BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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              MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
               VN. YU. ZA. ZM. ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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     AU 2006247322
                             A1
                                    20061123
                                                AU 2006-247322
                                                                            20060515
     CA 2608333
                             A1
                                    20061123
                                                CA 2006-2608333
                                                                            20060515
                                    20080312
     EP 1896470
                             A2
                                                 EP 2006-759904
                                                                            20060515
          R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
               IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     JP 2008540664
                            T
                                  20081120
                                                JP 2008-512430
                                                                            20060515
     MX 200714327
                            A
                                   20080211 MX 2007-14327
                                                                            20071115
     KR 2008016643
                           A
                                  20080221 KR 2007-729309
                                                                            20071214
IN 2007DN09783 A 20080118 IN 2007-DM9783 CN 101218241 A 20080709 CN 2006-80025008 US 2008-091824 US 2008-914210 PRAI US 2005-681853P P 20050516
                                                                           20071217
                                               CN 2006-80025008
                                                                           20080108
                                                US 2008-914210
     WO 2006-US18868
                           W
                                   20060515
OS
     MARPAT 146:7975
AB
     The title compds. I-III [n = 0-2; R1 = halo, (halo)alkyl, (halo)alkoxy; R2
     = (un)substituted arylalkyl or heteroaryl; X = CR7 or N (wherein R7 = H,
     alkyl)], useful in treating or preventing diseases or disorders associated
     with abnormal or deregulated kinase activity, particularly diseases or
     disorders that involve abnormal activation of the CDKs, Aurora, Jak2,
     Rock, CAMKII, FLT3, Tie2, TrkB, FGFR3 and KDR kinases, were prepared E.g.,
     a multi-step synthesis of IV, starting from 7-azaindole, was given.
     Compds. I-III showed IC50's in the range of 10 nM to 2 µM when tested
```

are disclosed. IT 915414-31-2P 915414-32-3P 915414-33-4P 915414-35-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

in FGFR3 enzymic assay. Pharmaceutical compns. comprising compds. I-III

(preparation of pyrrolopyridines as novel protein kinase inhibitors useful

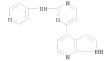
in treatment and prevention of diseases associated with abnormal or deregulated protein kinase activity)

- RN 915414-31-2 CAPLUS
- CN 2-Pyrimidinamine, N-(2-methyl-4-pyridinyl)-4-(1H-pyrrolo[2,3-b]pyridin-4-yl)- (CA INDEX NAME)

- RN 915414-32-3 CAPLUS
- CN 2-Pyrimidinamine, N-(2-chloro-4-pyridinyl)-4-(1H-pyrrolo[2,3-b]pyridin-4-yl)- (CA INDEX NAME)

- RN 915414-33-4 CAPLUS
- CN 2-Pyrimidinamine, N-(2-methoxy-4-pyridinyl)-4-(1H-pyrrolo[2,3-b]pyridin-4-yl)- (CA INDEX NAME)

- RN 915414-35-6 CAPLUS
- CN 2-Pyrimidinamine, N-4-pyridinyl-4-(1H-pyrrolo[2,3-b]pyridin-4-yl)- (CA INDEX NAME)



L17 ANSWER 27 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN

```
2006:796732 CAPLUS
AN
DN
      145:211069
      Preparation of phenyl-substituted pyrimidines as kinase inhibitors for
      treating an inflammatory disorder and/or cancer
      Wrobelski, Stephen T.; Lin, Shugun; Leftheris, Katerina; He, Ligi; Seitz,
      Steven, P.; Lin, Tai-An; Vaccaro, Wavne
PA
      Bristol-Myers Squibb Company, USA
SO
      PCT Int. Appl., 216pp.
      CODEN: PIXXD2
DT
      Patent
T.A
      English
FAN.CNT 1
                                 KIND
                                            DATE
                                                           APPLICATION NO.
      PATENT NO.
                                                                                           DATE
                                           _____
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                                   A2
                                                           WO 2006-US3659
PΙ
      WO 2006084017
                                            20060810
                                                                                           20060202
      WO 2006084017
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                                           20061214
           AS A QUOINTY AS A AU, AM, A AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DET, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MZ, NAA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
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                 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
                 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
                 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
                 KG, KZ, MD, RU, TJ, TM
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JP 2008530012 T 20080807 PRAI US 2005-650077P P 20050204 US 2006-344881 A 20060201 WO 2006-US3659 W 20060202

A1

A2

20060810

20071031

OS MARPAT 145:211069

AB

US 20060178388

EP 1848714

The title compds. I [two of X1, X2, and X3 are N, and the remaining one of X1, X2, and X3 is CR1; R1 = H, CN; n = 0-3; R2 = alkyl, cycloalkyl, alkenyl, etc.; G = (un)substituted monocyclic 5-6 membered heteroaryl; Z = H, alkyl, cycloalkyl, etc.; with provisos], useful for inhibiting p38 kinase, L1M kinase 1, and/or L1M kinase 2 (no specific data given), were prepared E.g., a multi-step synthesis of II, starting from n-propylthiourea, was given. Also disclosed are pharmaceutical compns. containing compds. I, and methods of treating conditions associated with the activity of p38 kinase and/or conditions associated with the activity of LIM kinase.

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

US 2006-344881

EP 2006-734200

JP 2007-554206

20060201

20060202

T 905296-27-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PACT (Reactant or reagent); USES (Uses)

(preparation of phenyl-substituted pyrimidines as p38 kinase and LIM kinases inhibitors for treating an inflammatory disorder and cancer)

RN 905296-27-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(2-chlorophenyl)-6-[2-(propylamino)-5-thiazolyl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

IT 905296-26-6P 905296-37-9P 905296-51-7P 905296-76-6P 905299-23-2P 905299-60-7P

905299-61-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenyl-substituted pyrimidines as p38 kinase and LIM kinases inhibitors for treating an inflammatory disorder and cancer)

RN 905296-26-6 CAPLUS CN 2-Pyrimidinamine, 4

2-Pyrimidinamine, 4-(2-chlorophenyl)-N-4-piperidinyl-6-[2-(propylamino)-5-thiazolyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM

CRN 905296-25-5 CMF C21 H25 C1 N6 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 905296-37-9 CAPLUS

CN 2-Pyrimidinamine, 4-(2-chloro-4-fluoropheny1)-6-[2-[(1-methylethyl)amino]-5-thiazolyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

RN 905296-51-7 CAPLUS

CN 2-Pyrimidinamine, 4-(2-chlorophenyl)-6-[2-[(1-methylethyl)amino]-5-thiazolyl]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

RN 905296-76-6 CAPLUS

CN 2-Pyrimidinamine, 4-(2-chlorophenyl)-6-[2-[(1-methylethyl)amino]-5-thiazolyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 905299-23-2 CAPLUS
- CN 2-Pyrimidinamine, 4-(2-chloro-4-fluorophenyl)-6-[2-[(1-methylethyl)amino]-5-thiazolyl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 905299-60-7 CAPLUS
- CN Urea, N-ethyl-N'-[[2-[6-[2-((1-methylethyl)amino]-5-thiazolyl]-2-[[1-(1-methylethyl)-4-piperidinyl]amino]-4-pyrimidinyl]phenyl]methyl]- (CA INDEX NAME)

i-Pr

- RN 905299-61-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[2-
  - [[[(ethylamino)carbonyl]amino]methyl]phenyl]-6-[2-[(1-methylethyl)amino]-5-thiazolyl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

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L17 ANSWER 28 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
AN
     2006:655649 CAPLUS
DN
     145:124591
     Preparation of pyrimidine derivatives as protein kinase inhibitors
IN
     Sun, Piaoyang; Lv, Aifeng; Yang, Baohai; Hu, Chunyong
PA
     Peop. Rep. China
     PCT Int. Appl., 45 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     Chinese
FAN.CNT 1
     PATENT NO.
                           KIND
                                  DATE
                                               APPLICATION NO.
                                                                        DATE
                                             ) WO 2005-CN2308
         2006069525 A1 (20060706) WO 2005-CN2308 20051226
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              KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
              SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
              VN, YU, ZA, ZM, ZW
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     CN 1939910
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                                                                        20051226
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     EP 1840122
                            A1
                                               EP 2005-822296
                                                                        20051226
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              IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     JP 2008526692
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                                  20080724 JP 2007-548675
                                                                        20051226
     US 20080312251
                           A1
                                 20081218
                                              US 2008-794250
                                                                        20080219
PRAI CN 2004-10103077
                          A
                                 20041231
     CN 2005-10107402
                          A
                                 20050930
     WO 2005-CN2308
                            TeT
                                  20051226
os
     MARPAT 145:124591
     The title pyrimidine derivs. I [wherein R1 = (un)substituted (hetero)aryl
AB
     or heterocycle; R2 and R3 = independently H, halo, NH2, CN, etc.; R4 = H,
     halo, NH2, alkyl, etc.; R5 = H, halo, NO2, CN, alkoxy, etc.; R6 = H,
     (un) substituted (cyclo) alkyl, (hetero) aryl, heterocycle, etc.; Q and Z =
     independently (hetero) aryl or heterocycle; L = (un) substituted -NHCO-,
     -CONH-, -NHSO2-, -NHCO2-, etc.; m and n = independently 0-3] or
     pharmaceutically acceptable salts thereof were prepared as protein kinase
     inhibitors. For example, II-CH3SO3H was prepared in a multi-step
     synthesis. II-CH3SO3H showed inhibitory activity with IC50 of 0.008
     μM against K562 human cell. The title compds. are useful for treatment
     of proliferative disease (no data). Formulation of II-CH3SO3H as
     tablet was described.
     895519-94-5P 895519-95-6P 895519-96-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
         (drug candidate; preparation of pyrimidine derivs. as protein kinase
        inhibitors)
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Page 217

RN

895519-94-5 CAPLUS

CN Benzamide, 4-[(4-methyl-1-piperazinyl)methyl]-N-[5-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-2-pyridinyl]-3-(trifluoromethyl)- (CA INDEX NAME)

- RN 895519-95-6 CAPLUS
- CN 2-Pyridinecarboxamide, 5-methyl-N-[4-[(4-methyl-1-piperazinyl)methyl]-3-(trifluoromethyl)phenyl]-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 895519-96-7 CAPLUS
- CN 2-Pyridinecarboxamide, 5-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- IT 895520-02-2
  - RL: RCT (Reactant); RACT (Reactant or reagent)
    (preparation of pyrimidine derivs. as protein kinase inhibitors)
- RN 895520-02-2 CAPLUS
- CN 2-Pyridinecarboxylic acid, 5-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L17 ANSWER 29 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
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AN 2006:627482 CAPLUS

DN 145:103701

TI Preparation of pyrimidinyl based heterocycles and their use in the

treatment of inflammation, and as antiviral and therapeutic agents

Nuria A.

Nuria A.

PA Amgen Inc. USA

SO PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DT Patent LA English

LA English FAN.CNT 1

	PATENT NO.	The state of the s	CATION NO. DATE						
PI			05-US46652 20051220						
			BG, BR, BW, BY, BZ, CA, CH,						
			EC, EE, EG, ES, FI, GB, GD,						
			JP, KE, KG, KM, KN, KP, KR,						
			MA, MD, MG, MK, MN, MW, MX,						
			PL, PT, RO, RU, SC, SD, SE,						
	SG, SK, SL,	SM, SY, TJ, TM, TN, TR,	TT, TZ, UA, UG, US, UZ, VC,						
	VN, YU, ZA,	ZM, ZW							
			ES, FI, FR, GB, GR, HU, IE,						
	IS, IT, LT,	LU, LV, MC, NL, PL, PT,	RO, SE, SI, SK, TR, BF, BJ,						
	CF, CG, CI,	CM, GA, GN, GQ, GW, ML, I	MR, NE, SN, TD, TG, BW, GH,						
	GM, KE, LS,	MW, MZ, NA, SD, SL, SZ,	TZ, UG, ZM, ZW, AM, AZ, BY,						
		RU, TJ, TM							
	US 20060161001		05-312292 20051219						
	AU 2005319137	A1 20060629 AU 20	05-319137 20051220						
			05-2591946 20051220						
	EP 1833831	A1 20070919 EP 20	05-855245 20051220						
	R: AT, BE, BG,	CH, CY, CZ, DE, DK, EE,	ES, FI, FR, GB, GR, HU, IE,						
	IS, IT, LI,	LT, LU, LV, MC, NL, PL, 1	PT, RO, SE, SI, SK, TR, AL,						
	BA, HR, MK,	YU							
PRAI	US 2004-637845P	P 20041220							
	US 2005-312292	A 20051219							
	WO 2005-US46652	W 20051220							
OS	MARPAT 145:103701								
AB	Nitrogen-containing heterocycles such as I-III are prepared and u								

prodrugs
in the treatment of inflammation disorders, as well as, but not limited

in the treatment of inflammation disorders, as well as, but not limited to antiviral agents and therapeutic agents. Thus, I-III can be used to inhibit the production of TNF- $\alpha$  or IL-1- $\beta$ , in glucagon binding assays, as COX-1 and/or COX-2 inhibitors, or in a Raf-kinase inhibition assay (no data). Finally, said compds, are also useful therapeutic prodrugs in the treatment of inflammation, acute or chronic myelogenous leukemia, type I and II diabetes, Alzheimer's disease, stroke, myocardial infarction, atherosclerosis, brain trauma, multiple sclerosis, cerebral malaria, septic shock, toxic shock syndrome, fever, myalgias due to HTV-1, HTV-2, HTV-3, cytomegalovirus, influenza, adenovirus, and the herpes viruses.

IT 894791-80-1P 894791-81-2P 894791-82-3P 894792-73-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidinyl based heterocycles and their use in the treatment of inflammation, and as antiviral and therapeutic agents)

- RN 894791-80-1 CAPLUS
- CN 1-Propanone, 1-[4-[[4-(3,4-dihydro-")-phenyl-1,6-naphthyridin-1(2H)-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-3-[(1-methylethyl)amino]- (CA INDEX NAME)

- RN 894791-81-2 CAPLUS
- CN 1-Propanone, 1-[4-[4-(3,4-dihydro-7-phenyl-1,6-naphthyridin-1(2H)-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-3-(methylamino)- (CA INDEX NAME)

- RN 894791-82-3 CAPLUS
- CN 1-Propanone, 1-[4-[[4-(3,4-dihydro-7-phenyl-1,6-naphthyridin-1(2H)-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-3-(ethylamino)- (CA INDEX NAME)

- RN 894792-73-5 CAPLUS
- CN Ethanone, 1-[4-[4-(6,7-dihydro-2-phenyl-8H-pyrimido[5,4-b][1,4]oxazin-8-yl)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

IT 894791-70-9P 894791-71-0P 894791-72-1P 894791-78-TP 894791-79-P 894791-79-9P 894791-91-0P 894791-91-0P 894791-92-5P 894791-97-0P 894791-98-1P 894792-28-0P 894792-24-2P 894792-28-0P 894792-24-2P 894792-71-3P

894792-72-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of pyrimidinyl based heterocycles and their use in the
treatment of inflammation, and as antiviral and theraceutic agents)

RN 894791-70-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(3,4-dihydro-7-phenyl-1,6-naphthyridin-1(2H)-yl)-2-pyrimidinyl]amino], 1,1-dimethylethyl ester (CA INDEX NAME)

RN 894791-71-0 CAPLUS

CN 2-Pyrimidinamine, 4-(3,4-dihydro-7-phenyl-1,6-naphthyridin-1(2H)-yl)-N-4-piperidinyl- (CA INDEX NAME)

RN 894791-72-1 CAPLUS

CN Ethanone, 1-[4-[[4-(3,4-dihydro-7-phenyl-1,6-naphthyridin-1(2H)-y1)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 894791-78-7 CAPLUS
- CM Ethanone, 2-amino-1-[4-[[4-(3,4-dihydro-7-phenyl-1,6-naphthyridin-1(2H)y1)-2-pyrimidiny1]amino]-1-piperidiny1]- (CA INDEX NAME)

- RN
- 894791-79-8 CAPLUS 1-Propanone, 3-bromo-1-[4-[[4-(3,4-dihydro-7-phenyl-1,6-naphthyridin-1(2H)-CN v1)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 894791-91-4 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(3,4-dihydro-7-phenyl-1,6-naphthyridin-1(2H)-yl)-5-fluoro-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 894791-92-5 CAPLUS
- CN 2-Pyrimidinamine, 4-(3,4-dihydro-7-phenyl-1,6-naphthyridin-1(2H)-yl)-5fluoro-N-4-piperidinyl- (CA INDEX NAME)

- RN 894791-97-0 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(3,4-dihydro-7-phenyl-1,5-naphthyridin-1(2H)-yl)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 894791-98-1 CAPLUS
- CN 2-Pyrimidinamine, 4-(3,4-dihydro-7-phenyl-1,5-naphthyridin-1(2H)-yl)-N-4-piperidinyl- (CA INDEX NAME)

- RN 894791-99-2 CAPLUS
- CN Ethanone, 1-[4-[[4-(3,4-dihydro-7-phenyl-1,5-naphthyridin-1(2H)-yl)-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 894792-21-3 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(3,4-dihydro-7-phenyl-1,8-naphthyridin-1(2H)-yl)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 894792-28-0 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(3,4-dihydro-7-phenyl-1,8-naphthyridin-1(2H)-yl)-6-methyl-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 894792-42-8 CAPLUS

CN 1,8-Maphthyridine-3-carboxylic acid, 8-[2-[[1-([1,1-dimethylethoxy)carbonyl]-4-piperidinyl]amino]-4pyrimidinyl]-5,67,8-tetrahydro-2-phenyl-, ethyl ester (CA INDEX NAME)

RN 894792-55-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(3,4-dihydro-7-phenyl-1,8-naphthyridin-1(2H)-yl)-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 894792-71-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(6,7-dihydro-2-phenyl-8H-pyrimido[5,4-b][1,4]oxazin-8-yl)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 894792-72-4 CAPLUS
- CN 2-Pyrimidinamine, 4-(6,7-dihydro-2-phenyl-8H-pyrimido[5,4-b][1,4]oxazin-8yl)-N-4-piperidinyl- (CA INDEX NAME)

- IT 894791-93-6P
  - RL: SPN (Synthetic preparation); PREP (Preparation)
    (preparation of pyrimidinyl based heterocycles and their use in the
  - treatment of inflammation, and as antiviral and therapeutic agents)
- RN 894791-93-6 CAPLUS
- CN Ethanone, 1-[4-[[4-(3,4-dihydro-7-phenyl-1,6-naphthyridin-1(2H)-yl)-5fluoro-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 30 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2006:608560 CAPLUS AN
- DN 145:83228
- TΙ Preparation of pyrid-2-ones useful as inhibitors of Tec family protein kinases for the treatment of inflammatory, proliferative and immunologically-mediated diseases
- Charrier, Jean-Damien; Durrant, Steven; Ramava, Sharn; Jimenez, IN Juan-Miguel: Rutherford, Alistair
- Vertex Pharmaceuticals Incorporated, USA
- PCT Int. Appl., 130 pp. SO
- CODEN: PIXXD2 DT Patent
- T.A
- English FAN.CNT 1

PAN.	PATENT NO.			KIND DATE				APPLICATION NO.									
PT	PI WO 2006065946						1										
	W:										BG,						
		CN.	co.	CR.	CU.	CZ.	DE	-DK	DM.	DZ	EC.	EE.	EG.	ES.	FI.	GB,	GD,
											JP.						
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY	, MA,	MD,	MG,	MK,	MN,	MW,	MX,
											I, PL,						
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TF	, TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EF	E, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
											, RO,						
											, MR,						
								SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
					RU,												
	AU 2005																
	CA 2591										2005-						
	EP 1831168						US 2005-304057										
								EP 2005-854119 DK, EE, ES, FI, FR, GB									
	R:																
						LU,	LV,	PIC,	ML,	PL	, PT,	RO,	SE,	51,	SK,	IK,	AL,
	BA, HR, MK, JP 2008524233 MX 200707330 IN 2007KN02260					2000	0710		TD	2007-	5160	70		2	0051	215	
										20051215 20070618							
	NO 200																
	KR 2007095952																
	CN 1011						2008				2005-						
PRAI	US 2004						2004			011					_		
	US 2005																
	WO 2005				W		2005										
os	MARPAT	145:8	3322	8													

The title compds. I [R3, R4 = H, halo or alkyl optionally substituted with AB halo, alkyl, OCH3, NO2, NH2, CN, NHCH3, SCH3, or N(CH)2; R2 = 3-8 membered saturated, partially unsatd., or fully unsatd. monocyclic ring having 0-3 heteroatoms independently selected from N, O, or S, or 8-12 membered saturated, partially unsatd., or fully unsatd. bicyclic ring system having 0-5 heteroatoms independently selected from N, O, or S; X1, X2 = C(O), NR, or SO2 (wherein one of X1 or X2 = NR and other of X1 or X2 = C(O) or SO2); R1

= TQ (T = a bond or alkylene wherein up tp 3 methylene units are optionally replaced by O, S, CS, etc.; Q = H, alkyl, 3-8 membered saturated, partially unsatd., or fully unsatd. monocyclic ring having 0-3 heteroatoms independently selected from N, O, or S, or 8-12 membered saturated, partially unsatd., or fully unsatd. bicyclic ring system having 0-5 heteroatoms independently selected from N, O, or S)] which are effective as inhibitors of Tec family (e.g., Tec, Btk, Itk/Emt/Tsk, Bmx, Txk/Rlk) protein kinases, were prepared Thus, reacting amrinone with 4-tert-butylbenzoyl chloride afforded 9% II which showed Ki between 0.1  $\mu M$  and 1  $\mu M$  against ITK. The compds. I and their pharmaceutically acceptable compns. are useful for treating or preventing a variety of diseases, disorders or conditions, including, but not limited to, an autoimmune, inflammatory, proliferative, or hyperproliferative disease or an immunol.-mediated disease.

IT 893436-12-9P 893436-30-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Herapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridones as inhibitors of Tec family protein kinases useful for treating and preventing inflammatory, proliferative,

hyperproliferative, autoimmune or immunol.-mediated disease)

RN 893436-12-9 CAPLUS CN 1-Piperidinecarboxy

1-Piperidinecarboxylic acid, 4-[[4-[5-[[4-(1,1-dimethylethyl)benzoyl]]amino]-1,6-dihydro-6-oxo-3-pyridinyl]-2-pyrimidinyllamino]-, ethyl ester (CA INDEX NAME)

RN 893436-30-1 CAPLUS

CN Benzamide, N-[1,2-dihydro-2-oxo-5-[2-(4-piperidinylamino)-4-pyrimidinyl]-3-pyridinyl]-4-(1,1-dimethylethyl)- (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L17 ANSWER 31 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
AN
    2006:439442 CAPLUS
DN
    144:468188
    Preparation of pyrimidinyl-substituted fused pyrroles for treatment of
    kinase disorders
    Huang, Shenlin; Lin, Ronghui; Connolly, Peter J.; Emanuel, Stuart L.;
    Middleton, Steven A.
PΑ
    Janssen Pharmaceutica, N.V., Belg.
SO
    PCT Int. Appl., 133 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                               DATE
                                          APPLICATION NO.
                        KIND
                                                                 DATE
PΙ
    WO 2006050076
                         A1
                              20060511
                                         WO 2005-US38905
                                                                20051028
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
            CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
            GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
    US 20060183900
                        A1
                              20060817
                                         US 2005-260986
                                                                 20051028
PRAI US 2004-623654P
                        P
                              20041029
    CASREACT 144:468188; MARPAT 144:468188
OS
    Title compds. [I; A, B, C = CH, N; D = N, CR4; R1 = H, halo, OH, cyano,
AB
    NO2, 1-3 of (substituted) alkyl, alkenyl, alkynyl, alkoxy, amino,
    sulfonylamino, alkylthio, carboxamide, etc.; R2 = (substituted)
    cycloalkyl, aryl, heteroaryl, heterocyclyl, alkyl; R3 = H, alkyl,
    alkoxyalkyl, haloalkyl hydroxyalkyl, CO2H, alkoxycarbonyl, CHO, CONH2,
    SO2NH2, etc.; R4 = H, alkyl, alkoxy, alkoxyalkyl, hydroxyalkyl,
    hydroxyalkoxy, CO3H, alkoxycarbonyl, etc.], were prepared Thus,
    2-[4-[4-(1H-pyrrolo[2,3-b]pyridin-3-vl)pyrimidin-2-vlamino]phenvll]ethanol
     [preparation from 7-azaindole, 2,4-dichloropyrimidine, and
    2-(4-aminophenyl)ethanol given inhibited CDK1 with IC50 = 0.019 uM.
    886547-58-6P 886547-63-3P 886547-65-5P
TT
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
```

(preparation of pyrimidinyl-substituted fused pyrroles for treatment of kinase disorders)

RN 886547-58-6 CAPLUS

CN 2-Pyrimidinamine, N-(1-methyl-4-piperidinyl)-4-(1H-pyrrolo[2,3-b]pyridin-3-yl)- (CA INDEX NAME)

RN 886547-63-3 CAPLUS

CN Ethanone, 1-[4-[[4-(1H-pyrrolo[2,3-b]pyridin-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]- (CA INDEX NAME)

RN 886547-65-5 CAPLUS

CN 2-Pyrimidinamine, N-4-piperidinyl-4-(1H-pyrrolo[2,3-b]pyridin-3-yl)- (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L17 ANSWER 32 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
    2006:380989 CAPLUS
AN
DN
    144:432824
    Preparation of pyrimidinyl imidazooxazoles and imidazothiazoles as
     inhibitors of p38 MAP kinase
     Ashwell, Mark; Tandon, Manish; Lapierre, Jean-Marc
PA
    Argule, Inc., USA
     PCT Int. Appl., 229 pp.
SO
     CODEN: PIXXD2
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                        KIND
                                DATE
                                           APPLICATION NO.
                         ----
                         A1 (20060427) WO 2005-US37390
    WO 2006044869
PT
                                                                   20051019
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
             NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
             SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
             YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     CA 2584368
                          A1
                                20060427
                                          CA 2005-2584368
                                                                    20051019
     EP 1809636
                          A1
                                20070725
                                            EP 2005-815645
                                                                    20051019
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     JP 2008517064
                         т
                                20080522
                                            JP 2007-537967
PRAI US 2004-619876P
                          P
                                20041019
     WO 2005-US37390
                         W
                                20051019
os
    CASREACT 144:432824; MARPAT 144:432824
AB
    The title compds. I [wherein X = 0, S, SO, or SO2; Ar =
     2,3-dihydrobenzo[1,4]dioxin-6-yl, benzo[1,3]dioxol-5-yl, or
     (un) substituted aryl; R1 = H, CN, CO2H, halo, alkyl, etc.; R2 =
     (un) substituted alkyl, cycloalkyl, heterocyclyl, or aryl; R3 = H,
     (un) substituted alkyl, cycloalkyl, aryl, or heteroaryl] or
     pharmaceutically acceptable salts or prodrugs thereof are prepared as
     inhibitors of the p38 MAP kinase. For example, the compound II was prepared
     in a multi-step synthesis. I are useful for the treatment of inflammation
     and autoimmune disease (no data).
     815595-31-4P 815595-32-5P 815595-35-8P
     815595-36-9P 815595-59-6P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (drug candidate; preparation of pyrimidinyl imidazooxazoles and
        imidazothiazoles as inhibitors of p38 MAP kinase)
     815595-31-4 CAPLUS
     1-Piperidinecarboxylic acid, 4-[[4-[6-(2,4-difluorophenyl)imidazo[2,1-
     b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX
     NAME)
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- RN 815595-32-5 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(2-chloro-4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 815595-35-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(2-chlorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 815595-36-9 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(2-methylphenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 815595-59-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[6-[3-(trifluoromethyl)phenyl]imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

IT 815595-27-8P 815595-44-9P 815595-45-0P 815595-58-5P 815595-60-9P 815596-95-3P 885046-43-5P 885046-44-6P 885046-48-0P 885046-49-1P 885046-54-8P 885046-56-0P 885046-58-2P 885046-60-6P 885046-61-7P 885046-62-8P 885046-63-9P 885046-64-0P 885046-65-1P 885046-66-2P 885046-67-3P 885046-68-4P 885046-69-5P 885046-70-8P 885046-71-9P 885046-72-0P 885046-73-1P 885046-74-2P 885046-75-3P 885046-76-4P 885046-77-5P 885046-79-7P 885046-80-0P 885046-81-1P 885046-82-2P 885046-83-3P 885046-84-4P 885046-85-5P 885046-86-6P 885046-87-7P 885046-88-8P 885046-89-9P 885046-90-2P 885046-91-3P 885046-92-4P 885046-93-5P 885046-94-6P 885046-95-7P 885047-07-4P 885047-08-5P 885047-09-6P 885047-10-9P 885047-11-0P 885047-24-5P 885047-25-6P 885047-26-7P 885047-27-8P 885047-28-9P 885047-29-0P 885047-30-3P 885047-34-7P 885047-35-8P 885047-36-9P 885047-37-0P 885047-38-1P 885047-39-2P 885047-40-5P 885047-41-6P 885047-42-7P 885047-43-8P 885047-44-9P 885047-45-0P 885047-46-1P 885047-47-2P 885047-48-3P 885047-49-4P 885047-50-7P 885047-51-8P 885047-52-9P 885047-53-0P 885047-54-1P 885047-55-2P 885047-56-3P 885047-57-4P

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885047-84-7P 885047-85-8P 885047-95-0P
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885048-12-4P 885048-13-5P 885048-15-7P
885048-32-8P 885048-34-0P 885048-35-1P
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885048-86-2P 885048-87-3P 885048-88-4P
885048-89-5P 885048-90-8P 885048-91-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
```

(drug candidate; preparation of pyrimidinyl imidazooxazoles and imidazothiazoles as inhibitors of p38 MAP kinase)

- RN 815595-27-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 815595-44-9 CAPLUS
- CN 8-Azabicyclo(3.2.1)octan-3-amine, N-[4-[6-(2-chloro-4fluorophenyl)imidazo(2,1-b)oxazol-5-yl]-2-pyrimidinyl]-8-methyl-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

- RN 815595-45-0 CAPLUS
- CN 8-Azabicyclo[3.2.1]octan-3-amine, N-[4-[6-(2-chlorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]-8-methyl-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

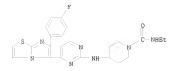
- RN 815595-58-5 CAPLUS
- CN 8-Azabicyclo[3.2.1]octan-3-amine, 8-methyl-N-[4-[6-[3-(trif1uoromethyl)]bhenyl]imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

- RN 815595-60-9 CAPLUS
- CN 8-Azabicyclo[3.2.1]octan-3-amine, N-[4-[6-(2,4-difluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]-8-methyl-, (3-endo)- (CA INDEX NAME)

## Relative stereochemistry.

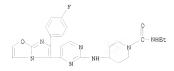
- RN 815596-95-3 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)



- RN 885046-43-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885046-44-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-4piperidinyl- (CA INDEX NAME)

- RN 885046-48-0 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)



- RN 885046-49-1 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(4fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

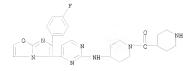
- RN 885046-54-8 CAPLUS
- CN Methanone, [4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl](4-methoxyphenyl)- (CA INDEX NAME)

- RN 885046-56-0 CAPLUS
- CN Methanone, [4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]phenyl- (CA INDEX NAME)

- RN 885046-58-2 CAPLUS
- CN Ethanone, 2-(4-chlorophenoxy)-1-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-60-6 CAPLUS
- CN Methanone, [4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-8-quinolinyl (CA INDEX NAME)

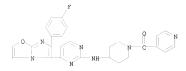
- RN 885046-61-7 CAPLUS
- CN Methanone, [4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]-4-piperidinyl- (CA INDEX NAME)



- RN 885046-62-8 CAPLUS
- CN Ethanone, 1-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]-2-methoxy- (CA INDEX NAME)

- RN 885046-63-9 CAPLUS
- CN Methanone, cyclohexyl[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

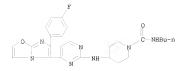
- RN 885046-64-0 CAPLUS
- CN Methanone, [4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]-4-pyridinyl- (CA INDEX NAME)



- RN 885046-65-1 CAPLUS
- CN Methanone, [4-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2pyrimidinyl]amino]-1-piperidinyl]-2-furanyl- (CA INDEX NAME)

- RN 885046-66-2 CAPLUS
- CN 1-Piperidinecarboxamide, N-cyclohexyl-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

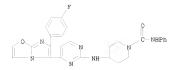
- RN 885046-67-3 CAPLUS
- CN 1-Piperidinecarboxamide, N-butyl-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)



- RN 885046-68-4 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(2-phenylethyl)- (CA INDEX NAME)

- RN 885046-69-5 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)

- RN 885046-70-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5yl]-2-pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)



- RN 885046-71-9 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(4-methylphenyl)- (CA INDEX NAME)

- RN 885046-72-0 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(4-methoxyphenyl)- (CA INDEX NAME)

- RN 885046-73-1 CAPLUS
- CN 1-Piperidinecarboxamide, N-(4-fluorophenyl)-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-74-2 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl]-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

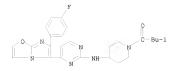
- RN 885046-75-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-(2-furanylmethyl)- (CA INDEX NAME)

- RN 885046-76-4 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluoropheny1)imidazo[2,1-b]oxazo1-5-y1]-2-pyrimidiny1]amino]-N-(tetrahydro-2H-pyran-2-y1)- (CA INDEX NAME)

- RN 885046-77-5 CAPLUS
- CN 1-Piperidinecarboxamide, N-(3,5-dimethyl-4-isoxazolyl)-4-[{4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-79-7 CAPLUS
- CN Ethanone, 2-(4-fluorophenyl)-1-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-80-0 CAPLUS
- CN 1-Butanone, 1-[4-[6-(4-fluorophenyl)] imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-3-methyl- (CA INDEX NAME)



- RN 885046-81-1 CAPLUS
- CN Methanone, (4-fluorophenyl)[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-82-2 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 885046-83-3 CAPLUS
- CN 1-Piperidinecarboxamide, N-(cyclohexylmethyl)-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-84-4 CAPLUS
- CN 1-Piperidinecarboxamide, N-[2-(4-fluorophenyl)ethyl]-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-85-5 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluoropheny1)imidazo[2,1-b]oxazol-5-y1]-2-pyrimidiny1]amino]-N-[5-methyl-2-(trifluoromethy1)-3-furany1]- (CA INDEX NAME)

- RN 885046-86-6 CAPLUS
- CN 1-Piperidinecarboxamide, N-(2-fluorophenyl)-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885046-87-7 CAPLUS
- CN 1-Propanone, 1-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-88-8 CAPLUS
- CN 1-Propanone, 1-[4-[(4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-3-phenyl- (CA INDEX NAME)

- RN 885046-89-9 CAPLUS
- CN Ethanone, 2-amino-1-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885046-90-2 CAPLUS
- CN 1-Propanone, 2-amino-1-[4-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]-2-methyl- (CA INDEX NAME)

- RN 885046-91-3 CAPLUS
- CN Methanone, [4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]-(2S)-2-pyrrolidinyl- (CA INDEX NAME)

## Absolute stereochemistry.

- RN 885046-92-4 CAPLUS
- CN 1-Piperidinecarboxamide, N-(3,4-difluoropheny1)-4-[[4-[6-(4-

- RN 885046-93-5 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-[(4-fluorophenyl)methyl]- (CA INDEX NAME)

- RN 885046-94-6 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 885046-95-7 CAPLUS
- CN 1-Piperidinepentanoic acid,  $\gamma$ -amino-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2-pyrimidinyl]amino]- $\delta$ -oxo-,  $(\gamma S)$  (CA INDEX NAME)

Absolute stereochemistry.

- RN 885047-07-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-(phenylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-08-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(4-methylphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-09-6 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

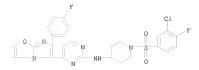
- RN 885047-10-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(4-methoxyphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-11-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[[4-(1-methylethyl)phenyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-24-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[[3-(trifluoromethyl)phenyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-25-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-yl]-N-[1-[[4-(trifluoromethoxy)phenyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-26-7 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(3-chloro-4-fluorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)



- RN 885047-27-8 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(3,5-dichlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885047-28-9 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(3-chlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885047-29-0 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazo1-5-yl]- (CA INDEX NAME)

- RN 885047-30-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-N-4piperidinyl- (CA INDEX NAME)

- RN 885047-34-7 CAPLUS
- CN Methanone, (4-amino-3,5,6-trichloro-2-pyridinyl)[4-[[4-[6-(4-fluorophenyl)lmidao]2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885047-35-8 CAPLUS
- CN Methanone, (2,6-dimethoxyphenyl) [4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885047-36-9 CAPLUS
- CN Acetamide, N-[2-[4-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

- RN 885047-37-0 CAPLUS
- CN Acetamide, N-[2-[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]-1-(hydroxymethyl)-2-oxoethyl)- (CA
  INDEX NAME)

- RN 885047-38-1 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885047-39-2 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]- (CA INDEX NAME)

- RN 885047-40-5 CAPLUS
- CN Ethanone, 1-[4-[[4-[6-(4-fluoropheny1)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidiny1]amino]-1-piperidiny1]-2-[(4-methyl-2-pyrimidiny1)thio]- (CA INDEX NAME)

- RN 885047-41-6 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl]-4-[[4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885047-42-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-43-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 885047-44-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]-N-[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-45-0 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885047-46-1 CAPLUS
- CN Methanone, [4-[[4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2 pyrimidinyl]amino]-1-piperidinyl][4-(dimethylamino)phenyl]- (CA INDEX NAME)

- RN 885047-47-2 CAPLUS
- CN Methanone, [4-(dimethylamino)phenyl][4-[6-(4-fluorophenyl)imidazo[2,1b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 885047-48-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-4piperidinyl- (CA INDEX NAME)

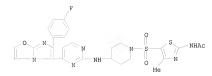
- RN 885047-49-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 885047-50-7 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl)-4-[4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-(CA INDEX NAME)

- RN 885047-51-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-[4-(dimethylamino)phenyl]- (CA INDEX NAME)

- RN 885047-52-9 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(5-chloro-2-methoxyphenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yll- (CA INDEX NAME)

- RN 885047-53-0 CAPLUS
- CN Acetamide, N-[5-[[4-[[4-[6-(4-fluoropheny1)imidazo[2,1-b]oxazol-5-y1]-2-pyrimidiny1]amino]-1-piperidiny1]sulfony1]-4-methy1-2-thiazoly1]- (CA INDEX NAME)



- RN 885047-54-1 CAPLUS
- CN Benzoic acid, 4-[[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 885047-55-2 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(2,4-dimethyl-5-thiazolyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885047-56-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(3-methoxyphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-57-4 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 885047-59-6 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)

- RN 885047-60-9 CAPLUS
- CN 1-Piperidinecarboxamide, N-(2-furanylmethyl)-4-[[4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885047-61-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(2methylphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-62-1 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(2,6-difluorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885047-63-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(3fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-64-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[(4phenoxyphenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-65-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-[[4-(trifluoromethyl)phenyl]sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885047-66-5 CAPLUS
- CN Benzonitrile, 4-[[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 885047-83-6 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluoropheny1)-2-methylimidazo[2,1-b]thiazol-5-y1]-2-pyrimidinyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)

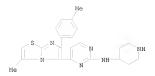
- RN 885047-84-7 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-(2-furanylmethyl)- (CA INDEX NAME)

- RN 885047-85-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-(2-furanylmethyl)- (CA INDEX NAME)

- RN 885047-95-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-naphthalenyl)] imidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 885048-01-1 CAPLUS
- CN Ethanone, 2-[4-(dimethylamino)phenyl]-1-[4-[6-(3-methoxyphenyl)inidazo[2,1-b]thiazo1-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

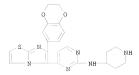
- RN 885048-02-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[3-methyl-6-(4-methylphenyl)imidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)



- RN 885048-06-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-nitrophenyl)imidazo[2,1-b]thiazol-5-yl]-N-4piperidinyl- (CA INDEX NAME)

- RN 885048-12-4 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(1,2-dimethyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 885048-13-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2,3-dihydro-1,4-benzodioxin-6-y1)imidazo[2,1-b]thiazol-5-y1]-N-4-piperidinyl- (CA INDEX NAME)



- RN 885048-15-7 CAPLUS
- CN 2-Pyrimidinamine, 4-(6-[1,1'-bipheny1]-4-ylimidazo[2,1-b]thiazol-5-yl)-N-4-piperidinyl- (CA INDEX NAME)

- RN 885048-32-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(3,4-difluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)

- RN 885048-34-0 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl]-4-[[4-[3-methyl-6-(4-methylphenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885048-35-1 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl]-4-[[4-[6-(4-nitrophenyl)imidazo[2,1-b]thiazo1-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885048-36-2 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-(6-[1,1'-biphenyl]-4-ylimidazo[2,1-b]thiazo[-5-yl]-2-pyrimidinyl]amino]-N-[4-(dimethylamino)phenyl]- (CA INDEX NAME)

- RN 885048-38-4 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]- (CA INDEX NAME)

- RN 885048-41-9 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 885048-43-1 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]- (CA INDEX NAME)

- RN 885048-44-2 CAPLUS
- CN Benzoic acid, 4-[[4-[6-(4-fluoropheny1)-2-methylimidazo[2,1-b]thiazol-5-y1]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 885048-45-3 CAPLUS
- CN Benzenepropanoic acid, 4-[[4-[6-(4-fluorophenyl)-2-methylimidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

- RN 885048-49-7 CAPLUS
- CN Acetamide, N-[4-[5-[2-[[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]thiazol-6-yl]phenyl]- (CA INDEX NAME)

- RN 885048-52-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2,3-dihydro-1,4-benzodioxin-6-y1)imidazo[2,1-b]thiazol-5-y1]-N-[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 885048-58-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 885048-64-6 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chloropheny1)sulfony1]-4-piperidiny1]-4-[6-(4-methoxypheny1)imidazo[2,1-b]thiazo1-5-y1]- (CA INDEX NAME)

- RN 885048-69-1 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]-4-[6-(3-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]- (CA INDEX NAME)

- RN 885048-71-5 CAPLUS
- CN Phenol, 4-[5-[2-[[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]thiazol-6-yl]- (CA INDEX NAME)

- RN 885048-76-0 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(4-chloropheny1)sulfony1]-4-piperidiny1]-4-[6-(3,4-difluoropheny1)imidazo[2,1-b]thiazol-5-yl]- (CA INDEX NAME)

- RN 885048-78-2 CAPLUS
- CN Phenol, 3-[5-[2-[[1-[(4-fluorophenyl)sulfonyl]-4-piperidinyl]amino]-4pyrimidinyl]imidazo[2,1-b]thiazo1-6-yl]- (CA INDEX NAME)

- RN 885048-80-6 CAPLUS
- CN Phenol, 3-[5-[2-[[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]imidazo[2,1-b]thiazo1-6-yl]- (CA INDEX NAME)

- RN 885048-86-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-chlorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-4piperidinyl-, hydrochloride (1:1) (CA INDEX NAME)

## HC1

- RN 885048-87-3 CAPLUS CN 2-Pyrimidinamine, 4
  - 2-Pyrimidinamine, 4-[6-(2,4-difluorophenyl)] imidazo[2,1-b] oxazo[2,1-b] -N-4-piperidinyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 885048-88-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-chloro-4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-4-piperidinyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 885048-89-5 CAPLUS
- CN 8-Azabicyclo[3.2.1]octan-3-amine, 8-methyl-N-[4-[6-(2methylphenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

- RN 885048-90-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-methylphenyl)imidazo[2,1-b]oxazol-5-yl]-N-4-piperidinyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 885048-91-9 CAPLUS
- CN 2-Pyrimidinamine, N-4-piperidinyl-4-[6-[3-(rrifluoromethyl)phenyl]imidazo[2,1-b]oxazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

IT 935431-17-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrimidinyl imidazooxazoles and imidazothiazoles as inhibitors of p38 MAP kinase)

RN 935431-17-7 CAPLUS

CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-4piperidinyl-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 33 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2006:343042 CAPLUS AN
- DN 144:390934
- TΙ Preparation of aminopyrimidines as JNK inhibitors
- Ratcliffe, Andrew James; Alam, Mahbub; Beevers, Rebekah Elisabeth; IN Davenport, Richard John; Davies, Natasha; Haughan, Alan Findlay; Jones, Mark William; Lowe, Christopher; Perry, Benjamin Garfield; Phillips, David Jonathan; Pitt, William Ross; Sharpe, Andrew
- Celltech R & D Limited, UK
- PCT Int. Appl., 153 pp. CODEN: PIXXD2
- DT Patent
- I.A English

SO

FAN. CNT 1

	PATENT NO.				D	DATE			APPLICATION NO.					DATE			
PI	WO 2006	A1	A1 (20060413)			) '	WO 2005-GB3827					20051004					
	W:	AE,	AG, A	L, AM,	ΑÌ	AU,	AZ.	βA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	co, c	R, CU,	CZ,	DEy	-DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH, G	M, HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KΖ,	
		LC,	LK, L	R, LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	
		NA,	NG, N	I, NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	
		SK,	SL, S	M, SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	
		YU,	ZA, Z	M, ZW													
	RW:	AT,	BE, B	G, CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT, L	T, LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	
		CF,	CG, C	I, CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE, L	S, MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KZ, M	D, RU,	TJ,	TM											

PRAI GB 2004-22284 GB 2005-9642

20041006 Α 20050511

- O.S CASREACT 144:390934; MARPAT 144:390934
- Title compds. I [A = pyrrole, pyrazole, imidazole or triazole ring; B = AB benzene, pyridine or pyrimidine ring; M = residue of an azetidine, pyrrolidine or piperidine ring; E = a covalent bond or (un)substituted straight or branched alkylene; Z = H, CHO, CONH2 and derivs., CO2H and derivs., (un) substituted Ph, heteroaryl, heterocycloalkyl, etc.; R1, R2 = independently H, halo, CN, NO2, OCF3, alkyl, alkoxy, etc.; R3 = H, alkyl, SO2H and derivs., etc.; R4 = H, alkoxy, oxo, CO2H and derivs., etc.; and their pharmaceutically acceptable salts, solvates or N-oxides) were prepared as JNK inhibitors. Thus, coupling 2,4,5-trichloropyrimidine with [1-(phenylsulfonyl)-1H-indol-3-yl]boronic acid, and amination of the 2-chloropyrimidine intermediate with Et 4-amino-1-piperidinecarboxylate gave aminopyrimidine II.HCO2H. I possessed IC50 values for inhibition of human JNK1 and/or JNK2 and/or JNK3 enzyme activity of 5 µM or better. I are useful for treating autoimmune and inflammatory disorders, vascular, neurodegenerative, metabolic and ophthalmic disorders, neoplasm and pain.
- 882565-17-5P, 2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2vl]amino]piperidin-1-vl]-N-methyl-2-phenylacetamide RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
- (drug candidate; preparation of aminopyrimidines as JNK inhibitors) RN 882565-17-5 CAPLUS
- 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-v1)-2-pyrimidinyl]amino]-

N-methy1-\alpha-pheny1- (CA INDEX NAME)

IT 882566-86-1P 882566-87-2P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminopyrimidines as JNK inhibitors)

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-methyl-α-phenyl-, (+)- (CA INDEX NAME)

Rotation (+).

RN 882566-87-2 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N-methyl-α-phenyl-, (-)- (CA INDEX NAME)

Rotation (-).

methylacetamide

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882562-76-7P 882562-81-4P.
N-Ethyl-4-[[5-methyl-4-[1-(phenylsulfonyl)-1H-indol-3-yl]pyrimidin-2-
yl]amino]piperidine-1-carboxamide 882562-86-9P, tert-Butyl
4-[[5-cyano-4-(6-fluoro-1H-indol-3-yl)pyrimidin-2-yl]amino]piperidine-1-
carboxylate 882562-93-8P, tert-Butyl
4-[[4-(1H-benzimidazol-1-v1)-5-chloropyrimidin-2-v1]amino]piperidine-1-
carboxvlate 882562-97-2P.
4-(6-Fluoro-1H-indol-3-v1)-2-[(piperidin-4-v1)aminolpyrimidine-5-
carbonitrile 882562-98-3P,
4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]-N-ethylpiperidine-1-
carboxamide 882563-03-3P 882563-09-9P,
5-Chloro-4-(1H-indol-3-vl)-N-(piperidin-4-vl)pyrimidin-2-amine
882563-12-4P, 4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]-
N, N-dimethylpiperidine-1-carboxamide 882563-41-9P, tert-Butyl
4-[[5-chloro-4-[1-[(4-methylphenyl)sulfonyl]-1H-pyrrolo[2,3-b]pyridin-3-
yl]pyrimidin-2-yl]amino]piperidine-1-carboxylate 882563-54-4P
882563-56-6P, 3-[[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-
vl]amino|piperidin-1-vl|carbonvl|cvclopentanone 882563-60-2P,
Methyl (3R)-4-[4-[[5-chloro-4-(1H-indol-3-v1)pvrimidin-2-
vllamino|piperidin-1-vll-3-methvl-4-oxobutanoate 882563-80-6P.
N-[1-[(1,2,3-Benzotriazo1-2-v1)ethanov1]piperidin-4-v1]-5-chloro-4-(1H-
indol-3-yl)pyrimidin-2-amine 882563-93-1P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(piperidin-4-yl)carbonyl]piperidin-4-
vl]pvrimidin-2-amine 882563-97-5P, tert-Butvl
4-[[4-(imidazo[1,2-a]pyridin-3-v1)pyrimidin-2-v1]amino[piperidine-1-
carboxvlate 882563-99-7P 882564-05-8P
882564-19-4P 882564-40-1P 882565-18-6P.
[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-
yl](tetrahydrofuran-3-yl)acetonitrile 882565-19-7P, tert-Butyl
4-[[4-[[5-chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-
vl](cvano)methvl]piperidine-1-carboxvlate 882565-33-5P,
tert-Butyl 4-[[4-(imidazo[1,2-a]pyridin-3-yl)-5-methylpyrimidin-2-
vllamino|piperidine-1-carboxvlate 882565-39-1P.
4-[[5-Chloro-4-(1H-indol-1-y1)pyrimidin-2-y1]amino]-N-ethylpiperidine-1-
carboxamide 882565-40-4P,
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2-[4-[5-Chloro-4-(1H-indol-1-y1)pyrimidin-2-y1]aminoppiperidin-1-y1]-N-

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of aminopyrimidines as JNK inhibitors)

RN 882562-76-7 CAPLUS

N 1-Piperidinecarboxylic acid, 4-[[5-chloro-4-(1H-indol-3-yl)-2-pvrimidinvl]amino]-, ethyl ester, monoformate (9CI) (CA INDEX NAME)

CM

1

CRN 882562-75-6 CMF C20 H22 C1 N5 O2

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 882562-81-4 CAPLUS

CN 1-Piperidinecarboxamide, N-ethyl-4-[[5-methyl-4-[1-(phenylsulfonyl)-1H-indol-3-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 882562-86-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-cyano-4-(6-fluoro-1H-indo1-3-y1)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882562-93-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(1H-benzimidazol-1-y1)-5-chloro-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882562-97-2 CAPLUS
- CN 5-Pyrimidinecarbonitrile, 4-(6-fluoro-1H-indol-3-y1)-2-(4-piperidinylamino)- (CA INDEX NAME)

- RN 882562-98-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 882563-03-3 CAPLUS
- CN Glycine, N-[[4-[[5-cyano-4-(6-fluoro-1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

- RN 882563-09-9 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-yl)-N-4-piperidinyl- (CA INDEX NAME)

- RN 882563-12-4 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

- RN 882563-41-9 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-chloro-4-[1-[(4-methylphenyl)sulfonyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882563-54-4 CAPLUS
- CN 1-Pyrrolidinecarboxylic acid, 2-[[4-[[5-chloro-4-(1H-indol-3-y1)-2 pyrimidinyl]amino]-1-piperidinyl]carbonyl]-4-hydroxy-, 1,1-dimethylethyl
   ester, (2S)- (CA INDEX NAME)

## Absolute stereochemistry.

- RN 882563-56-6 CAPLUS
- CN Cyclopentanone, 3-[[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]carbonyl]- (CA INDEX NAME)

- RN 882563-60-2 CAPLUS
- CN 1-Piperidinebutanoic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-β-methyl-γ-oxo-, methyl ester, (βR)-(CA INDEX NAME)

- RN 882563-80-6 CAPLUS
- CN Ethanone, 2-(2H-benzotriazol-2-yl)-1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 882563-93-1 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-4-piperidinyl- (CA INDEX NAME)

RN 882563-97-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidinyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882563-99-7 CAPLUS
- CN 2-Pyrimidinamine, 4-imidazo[1,2-a]pyridin-3-yl-N-4-piperidinyl-, hydrochloride (1:3) (CA INDEX NAME)

### ●3 HC1

- RN 882564-05-8 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-imidazo[1,2-a]pyridin-3-yl-N-4-piperidinyl-, hydrochloride (1:3) (CA INDEX NAME)

## ●3 HC1

RN 882564-19-4 CAPLUS

CN 1-Piperidineacetic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-α-oxo-, hydrochloride (1:?) (CA INDEX NAME)

## ●x HCl

RN 882564-40-1 CAPLUS

CN Formic acid, compd. with 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-(methylamino)ethanone (1:1) (CA INDEX NAME)

CM

CRN 882564-39-8

CMF C20 H23 C1 N6 O

CM 2

CRN 64-18-6

CMF C H2 O2

О== СН- ОН

RN 882565-18-6 CAPLUS

CN 1-Piperidineacetonitrile, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-α-(tetrahydro-3-furanyl)- (CA INDEX NAME)

- RN 882565-19-7 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]cyanomethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882565-33-5 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[(4-imidazo[1,2-a]pyridin-3-y1-5-methy1-2-pyrimidiny1)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882565-39-1 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-1-yl)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 882565-40-4 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-1-y1)-2-pyrimidiny1]amino]-N-methyl- (CA INDEX NAME)

882562-77-8P, tert-Butvl 4-[[5-chloro-4-(1H-indol-3-vl)pvrimidin-2yl]amino]piperidine-1-carboxylate 882562-82-5P, N-Ethyl-4-[[4-(1H-indol-3-yl)-5-methylpyrimidin-2-yl]amino]piperidine-1carboxamide 882562-83-6P, Ethyl 4-[[5-cyano-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidine-1-carboxylate 882562-87-0P, 2-[4-[[5-Cyano-4-(6-fluoro-1H-indol-3-yl)pyrimidin-2yl]amino]piperidin-1-yl]-N, N-dimethylacetamide 882562-88-1P, 2-[4-[[5-Cyano-4-(6-fluoro-1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1yl]acetamide 882562-89-2P, 2-[4-[[5-Cyano-4-(6-fluoro-1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1vl]-N-methylacetamide 882562-90-5P, N-[2-[4-[[5-Cyano-4-(6-fluoro-lH-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-vllethvllacetamide 882562-91-6P. N-Ethyl-4-[[4-(imidazo[1,2-a]pyridin-3-yl)pyrimidin-2-yl]amino]piperidine-1-carboxamide 882562-92-7P. 2-[4-[[4-(Imidazo[1,2-a]pyridin-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-N-methylacetamide 882562-94-9P, 4-[[4-(1H-Benzimidazol-1-v1)pyrimidin-2-v1]amino]-N-ethylpiperidine-1carboxamide 882562-95-0P, 2-[4-[4-(1H-Benzimidazol-1-v1)pvrimidin-2-v1]amino]piperidin-1vllacetamide 882562-98-3P. 4-[[5-Chloro-4-(1H-pyrrolo[2,3-b]pyridin-3-yl)pyrimidin-2-yl]amino]-Nethylpiperidine-1-carboxamide 882563-01-1P, 4-[[5-Cyano-4-(6-fluoro-1H-indol-3-yl)pyrimidin-2-yl]amino]-Nethylpiperidine-1-carboxamide 882563-02-2P 882563-04-4P , 4-[[5-Cyano-4-(6-fluoro-1H-indol-3-yl)pyrimidin-2-yl]amino]piperidine-1carboxamide 882563-05-5P. 4-(6-Fluoro-1H-indol-3-y1)-2-[[1-[(1H-imidazol-2-y1)methy1]piperidin-4-

N-[[4-[[5-Cyano-4-(6-fluoro-1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-

yl]amino]pyrimidine-5-carbonitrile 882563-06-6P,

yl]carbonyl]glycine 882563-07-7P,

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4-(6-Fluoro-1H-indol-3-v1)-2-[[1-(propylsulfonvl)piperidin-4-
vllamino|pvrimidine-5-carbonitrile 882563-08-8P.
4-(6-Fluoro-1H-indol-3-yl)-2-[[1-[(1H-imidazol-4-yl)methyl]piperidin-4-
yl]amino]pyrimidine-5-carbonitrile 882563-10-2P,
2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-N-
methylacetamide 882563-11-3P,
4-[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]-N-methoxypiperidine-1-
carboxamide 882563-13-5P.
5-Chloro-4-(1H-indol-3-vl)-N-[1-[(morpholin-4-vl)carbonvl]piperidin-4-
yl]pyrimidin-2-amine 882563-14-6P.
N-[2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-
oxoethyllacetamide 882563-15-7P,
N-(1-Acetylpiperidin-4-v1)-5-chloro-4-(1H-indol-3-v1)pyrimidin-2-amine
882563-16-8P, 5-Chloro-4-(1H-indol-3-yl)-N-[1-
(methoxyacetyl)piperidin-4-yl]pyrimidin-2-amine 882563-17-9P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(tetrahydrofuran-3-yl)carbonyl]piperidin-
4-y1]pyrimidin-2-amine 882563-18-0P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(tetrahydro-2H-pyran-4-
yl)carbonyl]piperidin-4-yl]pyrimidin-2-amine 882563-19-1P,
5-Chloro-N-[1-(3-furanylcarbonyl)piperidin-4-vl]-4-(1H-indol-3-
vl)pvrimidin-2-amine 882563-20-4P,
5-Chloro-4-(1H-indol-3-vl)-N-(1-isonicotinovlpiperidin-4-vl)pyrimidin-2-
amine 882563-21-5P, N-[4-[[4-[[5-Chloro-4-(1H-indol-3-
yl)pyrimidin-2-yl]amino]piperidin-1-yl]carbonyl]phenyl]acetamide
882563-22-6P, 5-Chloro-N-[1-[4-(dimethylamino)benzoyl]piperidin-4-
v1]-4-(1H-indol-3-v1)pvrimidin-2-amine 882563-23-7P
882563-24-8P, 5-Chloro-4-(1H-indol-3-v1)-N-[1-[(1-methyl-1H-pyrrol-
2-yl)carbonyl]piperidin-4-yl]pyrimidin-2-amine 882563-25-9P,
5-[2-[4-[[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-2-
oxoethyl]imidazolidine-2,4-dione 882563-26-0P,
N-[1-[(1-Acetylpiperidin-4-yl)carbonyl]piperidin-4-yl]-5-chloro-4-(1H-
indol-3-v1)pvrimidin-2-amine 882563-27-1P,
[3-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-3-
oxopropyl]urea 882563-28-2P,
5-[[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-
vl]carbonvl]pyrrolidin-2-one 882563-29-3P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(5-methylpyrazin-2-yl)carbonyl]piperidin-
4-v1]pvrimidin-2-amine 882563-30-6P,
5-Chloro-4-(1H-indol-3-v1)-N-[1-[(3-methylisoxazol-5-v1)acetyl]piperidin-4-
vllpvrimidin-2-amine 882563-31-7P.
4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]-N-[3-(4-
methylpiperazin-1-y1)propyl]piperidine-1-carboxamide 882563-33-9P
882563-34-0P, 4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]-
N-methoxy-N-methylpiperidine-1-carboxamide 882563-35-1P,
2-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-N-
methylbutanamide 882563-36-2P.
2-[4-[15-Chloro-4-[1-[2-(methylamino)-2-oxoethyl]-1H-indol-3-yl]pyrimidin-
2-yl]amino]piperidin-1-yl]-N-methylacetamide 882563-37-3P, Ethyl
(3R, 4S)-4-[[5-chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]-3-
methoxypiperidine-1-carboxylate 882563-38-4P, tert-Butyl
4-[[4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidine-1-carboxylate
882563-39-5P, N-Ethyl-4-[[5-fluoro-4-(1H-indol-3-yl)pyrimidin-2-
vllaminolpiperidine-1-carboxamide 882563-40-8P.
4-[[5-Chloro-4-(imidazo[1,2-a]pyridin-3-yl)pyrimidin-2-yl]amino]-N-
ethylpiperidine-1-carboxamide 882563-42-0P,
4-[[5-Chloro-4-(1H-pyrrolo[2,3-b]pyridin-3-y1)pyrimidin-2-y1]amino]-N,N-
dimethylpiperidine-1-carboxamide 882563-43-1P, tert-Butyl
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[[4-[[5-chloro-4-[1-[(4-methylphenyl)sulfonyl]-1H-pyrrolo[2,3-b]pyridin-3-
vl|pvrimidin-2-vl|amino|piperidin-1-vl|sulfonvl|carbamate
882563-44-2P, tert-Butyl 4-[[4-(1H-benzimidazol-1-yl)pyrimidin-2-
yl]amino]piperidine-1-carboxylate 882563-45-3P,
4-[[4-(5-Chloro-1H-benzimidazol-1-yl)pyrimidin-2-yl]amino]-N-
ethylpiperidine-1-carboxamide 882563-46-4P,
4-[[4-(6-Chloro-1H-benzimidazol-1-v1)pvrimidin-2-v1]amino]-N-
ethylpiperidine-1-carboxamide 882563-48-6P 882563-49-7P
, 5-Chloro-N-[1-[(1H-imidazol-4-yl)carbonyl]piperidin-4-yl]-4-(1H-indol-3-
v1)pvrimidin-2-amine 882563-50-0P.
N-[(S)-2-[4-[(S-Chloro-4-(1H-indol-3-v1))pyrimidin-2-v1]amino]piperidin-1-
v1]-1-[(1H-imidazol-4-v1)methv1]-2-oxoethv1]acetamide 882563-51-1P
, 5-Chloro-N-[1-[(1H-imidazol-2-yl)carbonyl]piperidin-4-yl]-4-(1H-indol-3-
yl)pyrimidin-2-amine 882563-52-2P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(pyridin-2-yl)acetyl]piperidin-4-
v1]pyrimidin-2-amine 882563-53-3P,
(5R)-5-[[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-
yl]carbonyl]pyrrolidin-2-one 882563-55-5P 882563-57-7P
, (5S)-5-[[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-
vllcarbonvllpvrrolidin-2-one 882563-58-8P.
4-[[4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-
vllcarbonvllcvclohexanol 882563-59-9P.
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(4-methoxycyclohexyl)carbonyl]piperidin-4-
vl]pyrimidin-2-amine 882563-61-3P,
4-[[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-
vl]carbonvl]-1,3-oxazolidin-2-one 882563-62-4P,
5-Chloro-4-(1H-indol-3-v1)-N-[1-[(3-thienv1)carbonv1]piperidin-4-
vllpvrimidin-2-amine 882563-63-5P.
5-Chloro-4-(1H-indol-3-v1)-N-[1-[(2-thienv1)carbonv1]piperidin-4-
vl]pyrimidin-2-amine 882563-64-6P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(1,3-thiazol-4-yl)carbonyl]piperidin-4-
vllpvrimidin-2-amine 882563-65-7P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(2-methyl-1,3-thiazol-4-
yl)carbonyl]piperidin-4-yl]pyrimidin-2-amine 882563-66-8P,
6-[[4-[[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-
vl]carbonvl]-4,5-dihydropyridazin-3(2H)-one 882563-67-9P,
5-Chloro-N-[1-(cyclopentylcarbonyl)piperidin-4-yl]-4-(1H-indol-3-
vl)pyrimidin-2-amine 882563-68-0P,
N-(1-Benzovlpiperidin-4-v1)-5-chloro-4-(1H-indol-3-v1)pvrimidin-2-amine
882563-69-1P, 5-Chloro-N-[1-(cvclopropvlcarbonvl)piperidin-4-v1]-4-
(1H-indol-3-v1)pvrimidin-2-amine 882563-70-4P.
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(pyridin-3-yl)carbonyl]piperidin-4-
vl]pyrimidin-2-amine 882563-71-5P,
N-[1-[3-(1H-Benzimidazo1-2-v1)propanov1]piperidin-4-v1]-5-chloro-4-(1H-
indol-3-v1)pyrimidin-2-amine 882563-72-6P,
5-Chloro-4-(1H-indol-3-yl)-N-(1-propionylpiperidin-4-yl)pyrimidin-2-amine
882563-73-7P, 5-Chloro-N-[1-(2,2-dimethylpropanoy1)piperidin-4-y1]-
4-(1H-indol-3-yl)pyrimidin-2-amine 882563-74-8P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(1,8-naphthyridin-2-yl)carbonyl]piperidin-
4-v1]pvrimidin-2-amine 882563-75-9P,
5-Chloro-4-(1H-indol-3-v1)-N-[1-(2-methylbenzov1)piperidin-4-v1]pyrimidin-
2-amine 882563-76-0P, 4-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-
2-yl]amino]piperidin-1-yl]-4-oxobutanamide 882563-77-1P,
5-Chloro-N-[1-[(cinnolin-4-y1)carbony1]piperidin-4-y1]-4-(1H-indol-3-
yl)pyrimidin-2-amine 882563-78-2P,
5-Chloro-4-(1H-indol-3-yl)-N-(1-isobutanoylpiperidin-4-yl)pyrimidin-2-
amine 882563-79-3P, 5-Chloro-4-(1H-indol-3-v1)-N-[1-(3-
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methylbenzov1)piperidin-4-v1]pyrimidin-2-amine 882563-81-7P,

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3-[[4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-
v1]carbonv1]-5,6-dimethylpyridin-2(1H)-one 882563-82-8P.
N-[1-[(1H-Benzimidazol-5-yl)carbonyl]piperidin-4-yl]-5-chloro-4-(1H-indol-
3-y1)pyrimidin-2-amine 882563-83-9P,
N-[1-](1H-Benzimidazol-2-yl)carbonyl]piperidin-4-yl]-5-chloro-4-(1H-indol-
3-v1)pvrimidin-2-amine 882563-84-0P 882563-85-1P,
5-Chloro-4-(1H-indol-3-v1)-N-(1-(2-phenylpropanov1)piperidin-4-
vllpvrimidin-2-amine 882563-87-3P.
5-Chloro-4-(1H-indol-3-yl)-N-[1-(tetrahydrothiophene-3-ylacetyl)piperidin-
4-v1]pyrimidin-2-amine 882563-88-4P,
3-[[4-][5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino|piperidin-1-
vl]carbonvl]cvclopentanol 882563-89-5P,
(3R)-4-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-
3-methyl-4-oxobutanoic acid 882563-90-8P,
(3R)-4-[4-[[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-
3-methyl-4-oxobutan-1-ol 882563-92-0P 882563-94-2P,
4-[[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-
v1]carbonv1]-N-ethv1piperidine-1-carboxamide 882563-95-3P,
5-Chloro-4-(1H-indol-3-v1)-N-[1-[[1-(methylsulfonv1)piperidin-4-
vl]carbonvl]piperidin-4-vl]pvrimidin-2-amine 882563-96-4P.
2-[4-[14-[15-Chloro-4-(1H-indol-3-vl)pvrimidin-2-vl]amino]piperidin-1-
yl]carbonyl]piperidin-1-yl]-N-methylacetamide 882564-00-3P,
4-(Imidazo[1,2-a]pyridin-3-yl)-N-[1-[(tetrahydro-2H-pyran-4-
vl)carbonvl|piperidin-4-vl|pvrimidin-2-amine 882564-01-4P,
(5S)-5-[[4-[[4-(Imidazo[1,2-a]pyridin-3-yl)pyrimidin-2-yl]amino]piperidin-
1-v1]carbonv1]pvrrolidin-2-one 882564-03-6P 882564-04-7P
, 4-(Imidazo[1,2-a]pyridin-3-yl)-N-[1-[(tetrahydrofuran-3-
yl)carbonyl]piperidin-4-yl]pyrimidin-2-amine 882564-06-9P,
N-[2-[4-[[5-Chloro-4-(imidazo[1,2-a]pyridin-3-yl)pyrimidin-2-
yl]amino]piperidin-1-yl]-2-oxoethyl]acetamide 882564-07-0P,
5-Chloro-4-(imidazo[1,2-a]pyridin-3-yl)-N-[1-[(tetrahydro-2H-pyran-4-
yl)carbonyl]piperidin-4-yl]pyrimidin-2-amine 882564-08-1P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[[(pyridin-2-yl)methyl]sulfonyl]piperidin-
4-y1]pyrimidin-2-amine 882564-10-5P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[[(pyridin-4-yl)methyl]sulfonyl]piperidin-
4-y1]pyrimidin-2-amine 882564-11-6P,
5-Chloro-4-(1H-indol-3-vl)-N-[1-(isopropylsulfonyl)piperidin-4-
vl]pvrimidin-2-amine 882564-12-7P,
5-Chloro-4-(1H-indol-3-vl)-N-[1-[(1-methyl-1H-imidazol-4-
yl)sulfonyl]piperidin-4-yl]pyrimidin-2-amine 882564-13-8P,
4-(Imidazo[1,2-a]pyridin-3-yl)-N-[1-(isopropylsulfonyl)piperidin-4-
vl]pyrimidin-2-amine 882564-14-9P,
4-(Îmidazo[1,2-a]pyridin-3-yl)-N-[1-(methylsulfonyl)piperidin-4-
vllpvrimidin-2-amine 882564-15-0P,
4-(Imidazo(1,2-a)pyridin-3-v1)-N-(1-((1-methyl-1H-imidazol-4-
yl)sulfonyl]piperidin-4-yl]pyrimidin-2-amine 882564-16-1P,
5-Chloro-4-(imidazo[1,2-a]pyridin-3-yl)-N-[1-(isopropylsulfonyl)piperidin-
4-y1]pyrimidin-2-amine 882564-17-2P, Ethy1
[4-[[5-chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-
vl](oxo)acetate 882564-18-3P, Methvl
[4-[[5-chloro-4-(1H-indol-3-vl)pyrimidin-2-vl]amino]piperidin-1-
vl](oxo)acetate 882564-20-7P,
2-[4-[[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-N-
methv1-2-(oxo)acetamide 882564-21-8P,
2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-N,N-
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dimethyl-2-(oxo)acetamide 882564-22-9P,

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4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]-N-[2-(morpholin-4-
v1)ethv1|piperidine-1-carboxamide 882564-23-0P,
5-Chloro-4-(1H-indol-3-vl)-N-[1-[(4-methylpiperazin-1-
yl)carbonyl]piperidin-4-yl]pyrimidin-2-amine 882564-24-1P.
4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]-N-[(pyridin-2-
vl)methyl]piperidine-1-carboxamide 882564-25-2P
882564-27-4P 882564-29-6P,
4-[[5-Chloro-4-(1H-indol-3-vl)pvrimidin-2-vl]amino]-N-(piperidin-4-
vl)piperidine-1-carboxamide 882564-30-9P.
4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]-N-(piperidin-3-
vl)piperidine-1-carboxamide 882564-32-1P 882564-33-2P,
5-Chloro-4-(1H-indol-3-v1)-N-[1-[(morpholin-4-v1)acety1]piperidin-4-
vl]pvrimidin-2-amine 882564-34-3P 882564-36-5P
882564-38-7P 882564-41-2P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[[(pyridin-3-yl)amino]acetyl]piperidin-4-
v1]pyrimidin-2-amine 882564-42-3P,
3-[2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-
oxoethyl]-1,1-dimethylurea 882564-43-4P, Morpholine-4-carboxylic
acid [2-[4-[[5-chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-
vll-2-oxoethvllamide 882564-44-5P,
5-Chloro-N-[1-[(1H-imidazol-1-vl)acetvl]piperidin-4-vl]-4-(1H-indol-3-
vl)pvrimidin-2-amine 882564-45-6P.
N-[(3R)-1-[2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-
1-v1]-2-oxoethyl]pyrrolidin-3-v1]acetamide 882564-46-7P,
1-[4-[5-Chloro-4-(1H-indol-3-yl)]pyrimidin-2-yl]amino]piperidin-1-yl]-2-(4-
hydroxycyclohexylamino)ethanone 882564-47-8P,
1-[4-[(5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-
[cvclohexv1(2-hvdroxvethv1)aminolethanone 882564-48-9P.
1-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-(2-
hydroxypropylamino)ethanone 882564-49-0P,
[1-[2-[4-[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]
2-oxoethvllpiperidin-3-vllmethanol 882564-50-3P,
1-[4-[[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-2-
[(1-hydroxymethylcyclopentyl)amino]ethanone 882564-51-4P,
1-[2-[4-[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-
oxoethyl]pyrrolidin-3-ol 882564-52-5P,
1-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-(4-
hydroxybutylamino)ethanone 882564-53-6P,
1-[4-[5-Chloro-4-(1H-indol-3-vl)pvrimidin-2-vl]aminoppiperidin-1-vl]-2-(2-
hydroxy-1-methylethylamino)ethanone 882564-54-7P.
1-[4-[[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-2-
[(1-hydroxymethyl-3-methylbutyl)amino]ethanone 882564-55-8P,
1-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-2-
[[1-(hydroxymethyl)propyl]amino]ethanone 882564-56-9P,
1-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-2-(2-
hydroxy-1,1-dimethylethylamino)ethanone 882564-57-0P.
1-[4-[[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-2-
[(1-hydroxymethyl-2-methylpropyl)amino]ethanone 882564-58-1P,
1-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-(2-
hydroxy-1-phenylethylamino)ethanone 882564-59-2P,
1-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-2-(2-
hydroxyethylamino)ethanone 882564-60-5P.
1-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-
[[(1-hydroxycyclohexyl)methyl]amino]ethanone 882564-62-7P,
1-[4-[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-(3-
hydroxypropylamino)ethanone 882564-63-8P,
2-[1-[2-[4-[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-
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v1]-2-oxoethv1|piperidin-2-v1|ethanol 882564-64-9P,
2-[1-[2-[4-[[5-Chloro-4-(1H-indol-3-vl)pvrimidin-2-vl]amino]piperidin-1-
v11-2-oxoethv11piperidin-4-v11ethanol 882564-65-0P.
1-[2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-
oxoethyl]piperidin-4-ol 882564-66-1P,
1-[2-[4-[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-2-
oxoethvllpiperidine-4-carboxamide 882564-67-2P,
1-[4-[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]aminopperidin-1-v1]-2-(2-
hydroxybutylamino)ethanone 882564-68-3P.
1-[4-[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-2-(3-
hydroxy-2,2-dimethylpropylamino)ethanone 882564-69-4P
882564-70-7P, (3R)-1-[2-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-
yl]amino]piperidin-1-yl]-2-oxoethyl]piperidin-3-ol 882564-71-8P,
(3R)-1-[2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-
yl]-2-oxoethyl]pyrrolidin-3-ol 882564-72-9P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[[[2-(1H-indol-3-
yl)ethyl]amino]acetyl]piperidin-4-yl]pyrimidin-2-amine
882564-73-0P, 5-Chloro-N-[1-[[(1,3-
dimethylbutyl)aminolacetyl]piperidin-4-yl]-4-(1H-indol-3-yl)pyrimidin-2-
amine 882564-74-1P, 5-Chloro-4-(1H-indol-3-vl)-N-[1-[[(pvrimidin-
4-v1)aminolacetv1|piperidin-4-v1|pvrimidin-2-amine 882564-75-2P.
5-Chloro-4-(1H-indol-3-v1)-N-[1-[(pvrrolidin-1-v1)acetv1]piperidin-4-
vllpvrimidin-2-amine 882564-76-3P.
5-Chloro-4-(1H-indol-3-vl)-N-[1-[[[2-(morpholin-4-
vl)ethyl]amino]acetyl]piperidin-4-vl]pyrimidin-2-amine
882564-77-4P, 1-[2-[4-][5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-
vllaminolpiperidin-1-vll-2-oxoethvll-N,N-diethvlpiperidine-3-carboxamide
882564-78-5P, 5-Chloro-4-(1H-indol-3-yl)-N-[1-[[[2-methyl-2-
(morpholin-4-y1)propy1]amino]acety1]piperidin-4-y1]pyrimidin-2-amine
882564-79-6P, 5-Chloro-4-(1H-indol-3-yl)-N-[1-[[methyl](1-methyl-
1H-imidazol-2-v1)methyl]amino]acetyl]piperidin-4-yl]pyrimidin-2-amine
882564-80-9P, 3-[[2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-
yl]amino]piperidin-1-yl]-2-oxoethyl]amino]benzenesulfonamide
882564-81-0P, 5-Chloro-4-(1H-indol-3-yl)-N-[1-[[[2-(pyrrolidin-1-
yl)ethyl]amino]acetyl]piperidin-4-yl]pyrimidin-2-amine
882564-82-1P, 5-Chloro-4-(1H-indol-3-yl)-N-[1-[[[2-(1-
methylpyrrolidin-2-y1)ethyl]amino]acetyl]piperidin-4-y1]pyrimidin-2-amine
882564-83-2P, 5-Chloro-4-(1H-indol-3-vl)-N-[1-[[(1,3-thiazol-2-
v1)aminolacetv1]piperidin-4-v1]pvrimidin-2-amine 882564-84-3P.
5-Chloro-4-(1H-indol-3-vl)-N-[1-[2-(methylamino)propanovl]piperidin-4-
vllpvrimidin-2-amine 882564-86-5P 882564-87-6P.
[2-[4-[[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-2-
oxoethyl] (methyl) carbamic acid tert-butyl ester 882564-88-7P,
N-[2-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-2-
oxoethyl]-N-methylacetamide 882564-89-8P 882564-90-1P,
5-Chloro-4-(1H-indol-3-vl)-N-[1-[(1,2,4-oxadiazol-3-vl)methyl]piperidin-4-
vllpvrimidin-2-amine 882564-91-2P.
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(pyridin-3-yl)methyl]piperidin-4-
vl]pyrimidin-2-amine 882564-93-4P 882564-95-6P
882564-97-8P
882564-98-9P, 1-[4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-
vllaminolpiperidin-1-vllacetone 882564-99-0P, Methyl
2-[4-[[5-chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-
yl]acetate 882565-01-7P 882565-02-8P,
2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-N-(2-
fluorophenyl)acetamide 882565-03-9P,
2-[4-[5-Chloro-4-(1H-indol-3-v1)]pyrimidin-2-v1]amino]piperidin-1-v1]-N-[2-
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(1H-indol-3-v1)ethv1|acetamide 882565-04-0P,
2-[4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-v1]-N-
(pyrimidin-4-v1)acetamide 882565-05-1P.
2-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-N-
(pyridin-3-yl)acetamide 882565-06-2P,
2-[4-[15-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-N-
[(pvridin-3-v1)methv1]acetamide 882565-07-3P,
2-14-[15-Chloro-4-(1H-indol-3-vl)pyrimidin-2-vl]amino|piperidin-1-vl]-N-[2-
(morpholin-4-vl)ethvllacetamide 882565-08-4P,
2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-N-[2-
(piperidin-1-yl)ethyl]acetamide 882565-09-5P,
2-[4-[[5-Chloro-4-(1H-indol-3-vl)pyrimidin-2-vl]amino]piperidin-1-vl]-N-[3-
(1H-imidazol-1-yl)propyl]acetamide 882565-10-8P,
2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-N-
methyl-N-[(1-methyl-1H-imidazol-2-yl)methyl]acetamide 882565-11-9P
, 5-Chloro-4-(1H-indol-3-yl)-N-[1-[2-(4-methylpiperazin-1-yl)-2-
oxoethyl]piperidin-4-yl]pyrimidin-2-amine 882565-12-0P,
2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-N-
(cyclopropylmethyl)acetamide 882565-13-1P,
2-[4-[5-Chloro-4-(1H-indol-3-vl)pvrimidin-2-vl]amino[piperidin-1-vl]-N-
(1.3-thiazol-2-vl)acetamide 882565-15-3P 882565-16-4P.
2-[4-[15-Chloro-4-(1H-indol-3-vl)pvrimidin-2-vl]amino[piperidin-1-vl]-N-
methylpropanamide 882565-20-0P.
2-[4-[15-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-3-
phenylpropionitrile 882565-21-1P 882565-22-2P,
2-[4-[15-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino|piperidin-1-v1]-2-
(piperidin-4-vl)acetamide 882565-23-3P,
3-[4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-
yl]dihydrofuran-2(3H)-one 882565-24-4P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-(5-nitro-1,3-thiazol-2-yl)piperidin-4-
v1]pvrimidin-2-amine 882565-25-5P,
6-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-
vllnicotinonitrile 882565-26-6P.
5-Chloro-4-(1H-indol-3-yl)-N-[1-(pyridin-2-yl)piperidin-4-yl]pyrimidin-2-
amine 882565-27-7P, N-[1-(5-Aminopyridin-2-yl)piperidin-4-yl]-5-
chloro-4-(1H-indol-3-yl)pyrimidin-2-amine 882565-28-8P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-(pyrimidin-2-yl)piperidin-4-yl]pyrimidin-2-
amine 882565-29-9P, 5-Chloro-4-(1H-indol-3-v1)-N-[1-(pyrazin-2-
v1)piperidin-4-v11pvrimidin-2-amine 882565-30-2P.
2-[4-[[5-Chloro-4-(7-cvano-1H-indol-3-vl)pyrimidin-2-vl]aminoppiperidin-1-
vll-N-methylacetamide 882565-31-3P, tert-Butyl
4-[[5-chloro-4-(7-cyano-1H-indol-3-yl)pyrimidin-2-yl]amino]piperidine-1-
carboxylate 882565-32-4P,
4-[[5-Chloro-4-(6-cvano-1H-indol-3-vl)pvrimidin-2-vl]amino]-N-
ethylpiperidine-1-carboxamide 882565-34-6P,
N-Ethyl-4-[[4-(imidazo[1,2-a]pyridin-3-yl)-5-methylpyrimidin-2-
vllaminolpiperidine-1-carboxamide 882565-35-7P.
4-[[5-Chloro-4-(1H-pyrrolo[3,2-c]pyridin-3-yl)pyrimidin-2-yl]amino]-N-
ethylpiperidine-1-carboxamide 882565-36-8P,
4-[[5-Chloro-4-(imidazo[1,2-a]pyrimidin-3-yl)pyrimidin-2-yl]amino]-N-
ethylpiperidine-1-carboxamide 882565-42-6P 882565-44-8P
882565-45-9P, 4-(Imidazo[1,2-a]pyridin-3-yl)-N-[1-[(4-
methylpiperazin-1-yl)carbonyl]piperidin-4-yl]pyrimidin-2-amine
882565-46-0P 882565-47-1P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-[(1-methylpiperidin-4-
yl)carbonyl]piperidin-4-yl]pyrimidin-2-amine 882565-48-2P,
4-[4-[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-3-
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methyl-4-oxobutanamide 882565-49-3P,
4-[4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-v1]-N-
methyl-3-methyl-4-oxobutanamide 882565-50-6P.
4-[4-[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-N.N-
dimethyl-3-methyl-4-oxobutanamide 882565-51-7P,
5-Chloro-4-(1H-indol-3-yl)-N-[1-(3-methylbutanoyl)piperidin-4-yl]pyrimidin-
2-amine 882565-52-8P 882565-53-9P,
5-Chloro-4-(1H-indol-3-vl)-N-[1-[(1-methyl-1H-imidazol-4-
v1)carbonv11piperidin-4-v11pvrimidin-2-amine 882565-54-0P.
2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-3-
phenylpropanamide 882565-55-1P,
1-[2-[4-[5-Chloro-4-(1H-indol-3-v1)]]pyrimidin-2-v1]amino]piperidin-1-v1]-2-
oxoethyl]-1,3,3-trimethylurea 882565-56-2P,
4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]-N-(1-methylpiperidin-4-
yl)piperidine-1-carboxamide 882565-57-3P,
4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]-N-isopropylpiperidine-
1-carboxamide 882565-58-4P,
N-[2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-1-
(hydroxymethyl)-2-oxoethyl]acetamide 882565-59-5P
882565-60-8P, 4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]-
N-(1-methylpiperidin-3-v1)piperidine-1-carboxamide 882565-61-9P.
4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]-N-
cyclopropylpiperidine-1-carboxamide 882565-62-0P
882565-63-1P, N-[1-[2-(Azetidin-1-v1)-2-oxoethyl]piperidin-4-v1]-5-
chloro-4-(1H-indol-3-vl)pyrimidin-2-amine 882565-64-2P,
5-Chloro-4-(1H-indol-3-v1)-N-[1-[[(tetrahydrofuran-3-
vl)aminolacetvl]piperidin-4-vl]pvrimidin-2-amine 882565-65-3P.
1-[[4-[[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-
vl]carbonvl]pyrrolidin-3-ol 882565-66-4P,
3-[[2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-
2-oxoethyl]amino]dihydrofuran-2(3H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of aminopyrimidines as JNK inhibitors)
882562-77-8 CAPLUS
1-Piperidinecarboxylic acid, 4-[[5-chloro-4-(1H-indol-3-yl)-2-
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RN 882562-82-5 CAPLUS

RN

CN

CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-(1H-indol-3-yl)-5-methyl-2-pyrimidinyllaminol- (CA INDEX NAME)

pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882562-83-6 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-cyano-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 882562-87-0 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-cyano-4-(6-fluoro-1H-indol-3-y1)-2-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

- RN 882562-88-1 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-cyano-4-(6-fluoro-1H-indol-3-yl)-2pyrimidinyl]amino]- (CA INDEX NAME)

- RN 882562-89-2 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-cyano-4-(6-fluoro-1H-indol-3-y1)-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 882562-90-5 CAPLUS
- CN Acetamide, N-[2-[4-[[5-cyano-4-(6-fluoro-1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]ethyl]- (CA INDEX NAME)

- RN 882562-91-6 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]- (CA INDEX NAME)

- RN 882562-92-7 CAPLUS
- CN 1-Piperidineacetamide, 4-[(4-imidazo[1,2-a]pyridin-3-y1-2-

pyrimidinyl)amino]-N-methyl- (CA INDEX NAME)

- RN 882562-94-9 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-(1H-benzimidazol-1-y1)-2-pyrimidiny1]amino]-N-ethyl- (CA INDEX NAME)

- RN 882562-95-0 CAPLUS
- CN 1-Piperidineacetamide, 4-[[4-(1H-benzimidazol-1-y1)-2-pyrimidiny1]amino]-(CA INDEX NAME)

- RN 882562-98-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 882563-01-1 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-cyano-4-(6-fluoro-1H-indol-3-y1)-2pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

RN 882563-02-2 CAPLUS

CN β-Alanine, N-[[4-[[5-cyano-4-(6-fluoro-1H-indol-3-y1)-2pyrimidinyl]amino]-1-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

RN 882563-04-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-cyano-4-(6-fluoro-1H-indol-3-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 882563-05-5 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(6-fluoro-1H-indol-3-yl)-2-[[1-(1H-imidazol-2ylmethyl)-4-piperidinyl]amino]- (CA INDEX NAME)

- RN 882563-06-6 CAPLUS
- CN Glycine, N-[[4-[[5-cyano-4-(6-fluoro-lH-indol-3-y1)-2-pyrimidinyl]amino]-lpiperidinyl]carbonyl]- (CA INDEX NAME)

- RN 882563-07-7 CAPLUS
- CN 5-Pyrimidinecarbonitrile, 4-(6-fluoro-1H-indol-3-y1)-2-[[1-(propylsulfonyl)-4-piperidinyl]amino]- (CA INDEX NAME)

- RN 882563-08-8 CAPLUS
- CN 5-Pyrimidinecarbonitrile, 4-(6-fluoro-1H-indol-3-yl)-2-[[1-(1H-imidazol-5-ylmethyl)-4-piperidinyl]amino]- (CA INDEX NAME)

- RN 882563-10-2 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N-methyl- (CA INDEX NAME)

- RN 882563-11-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-methoxy- (CA INDEX NAME)

- RN 882563-13-5 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-4-morpholinyl- (CA INDEX NAME)

- RN 882563-14-6 CAPLUS
- CN Acetamide, N-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

- RN 882563-15-7 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]- (CA INDEX NAME)

- RN 882563-16-8 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-methoxy- (CA INDEX NAME)

- RN 882563-17-9 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl](tetrahydro-3-furanyl)- (CA INDEX NAME)

- RN 882563-18-0 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl](tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 882563-19-1 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]-3-furanyl- (CA INDEX NAME)

- RN 882563-20-4 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-4-pyridiny1- (CA INDEX NAME)

- RN 882563-21-5 CAPLUS
- CN Acetamide, N-[4-[[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]carbonyl]phenyl]- (CA INDEX NAME)

RN 882563-22-6 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1][4-(dimethylamino)phenyl]- (CA INDEX NAME)

RN 882563-23-7 CAPLUS

CN 1-Piperidinebutanoic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]- $\gamma$ -oxo-, 2,2-dimethylhydrazide (CA INDEX NAME)

RN 882563-24-8 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1](1-methy1-1H-pyrro1-2-y1)- (CA INDEX NAME)

- RN 882563-25-9 CAPLUS
- CN 2,4-Imidazolidinedione, 5-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

- RN 882563-26-0 CAPLUS
- CN Ethanone, 1-[4-[[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]carbonyl]-1-piperidinyl]- (CA INDEX NAME)

- RN 882563-27-1 CAPLUS
- CN Urea, N-[3-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-3-oxopropyl]- (CA INDEX NAME)

- RN 882563-28-2 CAPLUS
- CN 2-Pyrrolidinone, 5-[[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]carbony1]- (CA INDEX NAME)

- RN 882563-29-3 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1](5-methy1-2-pyraziny1)- (CA INDEX NAME)

- RN 882563-30-6 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-(3-methy1-5-isoxazoly1)- (CA INDEX NAME)

- RN 882563-31-7 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-N-[3-(4-methyl-1-piperazinyl)propyl]- (CA INDEX NAME)

RN 882563-33-9 CAPLUS

CN Formic acid, compd. with 4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-N-[2-(dimethylamino)ethyl]-1-piperidinecarboxamide (2:1) (CA INDEX NAME)

CM 1

CRN 882563-32-8 CMF C22 H28 C1 N7 O

CM :

CRN 64-18-6 CMF C H2 O2

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RN 882563-34-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-methoxy-N-methyl- (CA INDEX NAME)

RN 882563-35-1 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-  $\alpha$ -ethyl-N-methyl- (CA INDEX NAME)

- RN 882563-36-2 CAPLUS
- CN 1H-Indole-1-acetamide, 3-[5-chloro-2-[[1-[2-(methylamino)-2-oxoethyl]-4-piperidinyl]amino]-4-pyrimidinyl]-N-methyl- (CA INDEX NAME)

- RN 882563-37-3 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-3-methoxy-, ethyl ester, (3R,4S)- (CA INDEX NAME)

- RN 882563-38-4 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882563-39-5 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[5-fluoro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 882563-40-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[(5-chloro-4-imidazo[1,2-a]pyridin-3-y1-2pyrimidinyl)amino]-N-ethyl- (CA INDEX NAME)

- RN 882563-42-0 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-pyrrolo[2,3-b]pyridin-3-y1)-2-pyrimidiny1]amino]-N,N-dimethy1- (CA INDEX NAME)

- RN 882563-43-1 CAPLUS
- CN Carbamic acid, [[4-[5-chloro-4-[1-[(4-methylphenyl)sulfonyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-2-pyrimidinyl]amino]-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 882563-44-2 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(1H-benzimidazol-1-y1)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882563-45-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-(5-chloro-1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

RN 882563-46-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-(6-chloro-1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

RN 882563-48-6 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1,2,4-triazolo[4,3-a]pyridin-3-y1)-2-pyrimidinyl]amino]-N-methyl-, acetate (1:?) (CA INDEX NAME)

CM 1

CRN 882563-47-5 CMF C18 H21 C1 N8 O

CM 2

CRN 64-19-7 CMF C2 H4 O2

HO- C- CH3

RN 882563-49-7 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-1H-imidazol-5-y1- (CA INDEX NAME)

- RN 882563-50-0 CAPLUS
- CN Acetamide, N-[(1S)-2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-1-(1H-imidazol-5-ylmethy1)-2-oxoethy1]- (CA INDEX NAME)

- RN 882563-51-1 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]-1H-imidazol-2-yl- (CA INDEX NAME)

- RN 882563-52-2 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-(2-pyridinyl)- (CA INDEX NAME)

RN 882563-53-3 CAPLUS

CN 2-Pyrrolidinone, 5-[[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]carbonyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 882563-55-5 CAPLUS

CN 2-Imidazolidinone, 4-[[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]1-piperidinyl]carbonyl]-, (4S)- (CA INDEX NAME)

- RN 882563-57-7 CAPLUS
- CN 2-Pyrrolidinone, 5-[[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]carbonyl]-, (5S)- (CA INDEX NAME)

- RN 882563-58-8 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl](4-hydroxycyclohexyl)- (CA INDEX NAME)

RN 882563-59-9 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl](4-methoxycyclohexyl)- (CA INDEX NAME)

RN 882563-61-3 CAPLUS

CN 2-Oxazolidinone, 4-[[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]carbonyl]- (CA INDEX NAME)

RN 882563-62-4 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]-3-thienyl- (CA INDEX NAME)

RN 882563-63-5 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-thieny1- (CA INDEX NAME)

- RN 882563-64-6 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-4-thiazoly1- (CA INDEX NAME)

- RN 882563-65-7 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1](2-methyl-4-thiazolyl)- (CA INDEX NAME)

- RN 882563-66-8 CAPLUS
- CN 3(2H)-Pyridazinone, 6-[[4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-1-piperidinyl]carbonyl]-4,5-dihydro- (CA INDEX NAME)

RN 882563-67-9 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]cyclopenty1- (CA INDEX NAME)

RN 882563-68-0 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]pheny1- (CA INDEX NAME)

RN 882563-69-1 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]cyclopropyl- (CA INDEX NAME)

- RN 882563-70-4 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-3-pyridinyl- (CA INDEX NAME)

- RN 882563-71-5 CAPLUS
- CN 1-Propanone, 3-(1H-benzimidazo1-2-y1)-1-[4-[[5-chloro-4-(1H-indo1-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 882563-72-6 CAPLUS
- CN 1-Propanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]- (CA INDEX NAME)

- RN 882563-73-7 CAPLUS
- CN 1-Propanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2,2-dimethyl- (CA INDEX NAME)

- RN 882563-74-8 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-1,8-naphthyridin-2-y1- (CA INDEX NAME)

- RN 882563-75-9 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidinyl](2-methylphenyl)- (CA INDEX NAME)

- RN 882563-76-0 CAPLUS
- CN 1-Piperidinebutanamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-γ-oxo- (CA INDEX NAME)

- RN 882563-77-1 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-

piperidiny1]-4-cinnoliny1- (CA INDEX NAME)

- RN
- 882563-78-2 CAPLUS 1-Propanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-CN piperidinyl]-2-methyl- (CA INDEX NAME)

- RN 882563-79-3 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl](3-methylphenyl)- (CA INDEX NAME)

RN 882563-81-7 CAPLUS CN 2(1H)-Pyridinone, 3-[[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]carbony1]-5,6-dimethy1- (CA INDEX NAME)

- RN 882563-82-8 CAPLUS
- CN Methanone, 1H-benzimidazol-6-yl[4-[[5-chloro-4-(1H-indol-3-yl)-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 882563-83-9 CAPLUS
- CN Methanone, 1H-benzimidazol-2-yl[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 882563-84-0 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl](1-methyl-1H-pyrazol-3-yl)- (CA INDEX NAME)

- RN 882563-85-1 CAPLUS
- CN 1-Propanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-phenyl- (CA INDEX NAME)

- RN 882563-87-3 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-(tetrahydro-3-thieny1)- (CA INDEX NAME)

- RN 882563-88-4 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl](3-hydroxycyclopentyl)- (CA INDEX NAME)

- RN 882563-89-5 CAPLUS
- CN 1-Piperidinebutanoic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]- $\beta$ -methyl- $\gamma$ -oxo-, ( $\beta$ R)- (CA INDEX NAME)

RN 882563-90-8 CAPLUS

CN 1-Butanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-4-hydroxy-2-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 882563-92-0 CAPLUS

CN Formic acid, compd. with [4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-1-piperidinyl][(2S)-4-hydroxy-2-pyrrolidinyl]methanone (1:1) (CA INDEX NAME)

CM 1

CRN 882563-91-9

CMF C22 H25 C1 N6 O2

CRN 64-18-6 CMF C H2 O2

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RN 882563-94-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]carbonyl]-N-ethyl- (CA INDEX NAME)

RN 882563-95-3 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl][1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 882563-96-4 CAPLUS
- CN 1-Piperidineacetamide, 4-[[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]carbonyl]-N-methyl- (CA INDEX NAME)

- RN 882564-00-3 CAPLUS
- CN Methanone, [4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-1-piperidinyl](tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 882564-01-4 CAPLUS
- CN 2-Pyrrolidinone, 5-[[4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]1-piperidinyl]carbonyl]-, (5S)- (CA INDEX NAME)

RN 882564-03-6 CAPLUS

CN Acetamide, N-[2-[4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidinyl)amino]-1-piperidinyl]-2-oxoethyl]-, acetate (1:1) (CA INDEX NAME)

CM

CRN 882564-02-5 CMF C20 H23 N7 O2

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 882564-04-7 CAPLUS

CN Methanone, [4-(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-1-piperidinyl](tetrahydro-3-furanyl)- (CA INDEX NAME)

RN 882564-06-9 CAPLUS

CN Acetamide, N-[2-[4-[(5-chloro-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

RN 882564-07-0 CAPLUS

CN Methanone, [4-[(5-chloro-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidiny1)amino]1-piperidiny1](tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

RN 882564-08-1 CAPLUS

CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-y1)-N-[1-[(2-pyridinylmethyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 882564-10-5 CAPLUS

CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-y1)-N-[1-[(4-pyridinylmethyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 882564-11-6 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-yl)-N-[1-[(1-methylethyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 882564-12-7 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-y1)-N-[1-[(1-methyl-1H-imidazol-4-y1)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 882564-13-8 CAPLUS
- CN 2-Pyrimidinamine, 4-imidazo[1,2-a]pyridin-3-yl-N-[1-[(1-methylethyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 882564-14-9 CAPLUS
- CN 2-Pyrimidinamine, 4-imidazo[1,2-a]pyridin-3-y1-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

RN 882564-15-0 CAPLUS

CN 2-Pyrimidinamine, 4-imidazo[1,2-a]pyridin-3-yl-N-[1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 882564-16-1 CAPLUS

CN 2-Pyrimidinamine, 5-chloro-4-imidazo[1,2-a]pyridin-3-yl-N-[1-[(1-methylethyl)sulfonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 882564-17-2 CAPLUS

CN 1-Piperidineacetic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-\alpha-oxo-, ethyl ester (CA INDEX NAME)

RN 882564-18-3 CAPLUS

CN 1-Piperidineacetic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-α-oxo-, methyl ester (CA INDEX NAME)

- RN 882564-20-7 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N-methyl- $\alpha$ -oxo- (CA INDEX NAME)

- RN 882564-21-8 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N,N-dimethy1-α-oxo- (CA INDEX NAME)

- RN 882564-22-9 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-[2-(4-morpholinyl)ethyl]- (CA INDEX NAME)

RN 882564-23-0 CAPLUS

CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-yl)-N-[1-[(4-methyl-1-piperazinyl)carbonyl]-4-piperidinyl]- (CA INDEX NAME)

RN 882564-24-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-N-(2-pyridinylmethyl)- (CA INDEX NAME)

RN 882564-25-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-N-(tetrahydro-1,1-dioxido-3-thienyl)- (CA INDEX NAME)

RN 882564-27-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-[2-(1,1-dioxido-4-thiomorpholinyl)ethyl]-, compd. with 4-nitrophenol (1:1) (CA INDEX NAME)

CM 1

CRN 882564-26-3

CMF C24 H30 C1 N7 O3 S

CM :

CRN 100-02-7

CMF C6 H5 N O3

RN 882564-29-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-4-piperidinyl- (CA INDEX NAME)

RN 882564-30-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-3-piperidinyl- (CA INDEX NAME)

RN 882564-32-1 CAPLUS

CN Formic acid, compd. with N-ethyl-4-[[4-(1H-indol-3-yl)-2pyrimidinyl]amino]-1-piperidinecarboxamide (1:1) (CA INDEX NAME)

CM

CRN 882564-31-0

CMF C20 H24 N6 O

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 882564-33-2 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]-2-(4-morpholinyl)- (CA INDEX NAME)

RN 882564-34-3 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-(4-methyl-1-piperazinyl)-, hydrochloride (1:?) (CA INDEX NAME)

### ●x HCl

RN 882564-36-5 CAPLUS

CN Formic acid, compd. with 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-(dimethylamino)ethanone (1:1) (CA INDEX NAME)

CM

CRN 882564-35-4

CMF C21 H25 C1 N6 O

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 882564-38-7 CAPLUS

CN Formic acid, compd. with 2-amino-1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]ethanone (1:1) (CA INDEX NAME)

CM 1

CRN 882564-37-6

CMF C19 H21 C1 N6 O

CRN 64-18-6 CMF C H2 O2

О СН ОН

RN 882564-41-2 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-(3-pyridinylamino)- (CA INDEX NAME)

RN 882564-42-3 CAPLUS

CN Urea, N'-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-oxoethy1]-N,N-dimethy1- (CA INDEX NAME)

RN 882564-43-4 CAPLUS

CN 4-Morpholinecarboxamide, N-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-oxoethy1]- (CA INDEX NAME)

- RN 882564-44-5 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-(1H-imidazol-1-y1)- (CA INDEX NAME)

- RN 882564-45-6 CAPLUS
- CN Acetamide, N-[(3R)-1-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]-3-pyrrolidinyl]- (CA INDEX NAME)

- RN 882564-46-7 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-

piperidiny1]-2-[(4-hydroxycyclohexy1)amino]- (CA INDEX NAME)

- RN 882564-47-8 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-[cyclohexy1(2-hydroxyethy1)amino]- (CA INDEX NAME)

- RN 882564-48-9 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-[(2-hydroxypropy1)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ \hline \\ C1 \\ \hline \\ N \\ N \\ NH \\ \hline \\ N \\ NH \\ \hline \\ C-CH_2-NH-CH_2-CH-M \\ O \\ OH \\ \end{array}$$

- RN 882564-49-0 CAPLUS
- CN 4-Piperidinamine, N-[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]-1-[[3-(hydroxymethy1)-1-piperidiny1]acety1]- (9CI) (CA INDEX NAME)

- RN 882564-50-3 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[[1-(hydroxymethy1)cyclopenty1]amino]- (CA INDEX NAME)

- RN 882564-51-4 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-(3-hydroxy-1-pyrrolidiny1)- (CA INDEX NAME)

- RN 882564-52-5 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]-2-[(4-hydroxybutyl)amino]- (CA INDEX NAME)

- RN 882564-53-6 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]-2-[(2-hydroxy-1-methylethyl)amino]- (CA INDEX NAME)

- RN 882564-54-7 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-[[1-(hydroxymethy1)-3-methy1buty1]amino]- (CA INDEX NAME)

- RN 882564-55-8 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[[1-(hydroxymethy1)propy1]amino]- (CA INDEX NAME)

- RN 882564-56-9 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[(2-hydroxy-1,1-dimethylethyl)amino]- (CA INDEX NAME)

- RN 882564-57-0 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]] amino]-1-piperidiny1]-2-[[1-(hydroxymethy1)-2-methy1propy1]amino]- (CA INDEX NAME)

- RN 882564-58-1 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-[(2-hydroxy-1-phenylethyl)amino]- (CA INDEX NAME)

- RN 882564-59-2 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[(2-hydroxyethy1)amino]- (CA INDEX NAME)

- RN 882564-60-5 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-[[(1-hydroxycyclohexyl)methyl]amino]- (CA INDEX NAME)

- RN 882564-62-7 CAPLUS
- CN Ethanone, 1-[4-[15-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-[(3-hydroxypropyl)amino]- (CA INDEX NAME)

- RN 882564-63-8 CAPLUS
- CN 4-Piperidinamine, N-[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]-1-[[2-(2-hydroxyethyl)-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

- RN 882564-64-9 CAPLUS
- CN 4-Piperidinamine, N-[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]-1-[[4-(2-hydroxyethy1)-1-piperidiny1]acety1]- (9CI) (CA INDEX NAME)

- RN 882564-65-0 CAPLUS
- CN 4-Piperidinamine, N-[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]-1-[(4-hydroxy-1-piperidinyl)acetyl]- (9CI) (CA INDEX NAME)

- RN 882564-66-1 CAPLUS
- CN 4-Piperidinecarboxamide, 1-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

- RN 882564-67-2 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[(2-hydroxybuty1)amino]- (CA INDEX NAME)

RN 882564-68-3 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-[(3-hydroxy-2,2-dimethylpropyl)amino]- (CA INDEX NAME)

RN 882564-69-4 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

### Absolute stereochemistry.

RN 882564-70-7 CAPLUS

CN 4-Piperidinamine, N-[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]-1-[[(3R)-3-

hydroxy-1-piperidiny1]acety1]- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

- RN 882564-71-8 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-[(3R)-3-hydroxy-1-pyrrolidiny1]- (CA INDEX NAME)

- RN 882564-72-9 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-[[2-(1H-indol-3-y1)ethyl]amino]- (CA INDEX NAME)

- RN 882564-73-0 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[(1,3-dimethylbuty1)amino]- (CA INDEX NAME)

- RN 882564-74-1 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-(4-pyrimidinylamino)- (CA INDEX NAME)

- RN 882564-75-2 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)

RN 882564-76-3 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-[[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

RN 882564-77-4 CAPLUS

CN 3-Piperidinecarboxamide, 1-[2-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]-N,N-diethyl- (CA INDEX NAME)

RN 882564-78-5 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-[[2-methy1-2-(4-morpholiny1)propy1]amino]- (CA INDEX NAME)

- RN 882564-79-6 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-[methyl][(1-methyl-1H-imidazol-2-y1)methyl]amino]- (CA
  INDEX NAME)

- RN 882564-80-9 CAPLUS
- CN Benzenesulfonamide, 3-[[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]amino]- (CA INDEX NAME)

- RN 882564-81-0 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[[2-(1-pyrrolidiny1)ethy1]amino]- (CA INDEX NAME)

- RN 882564-82-1 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]- (CA INDEX NAME)

- RN 882564-83-2 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-(2-thiazolylamino)- (CA INDEX NAME)

- RN 882564-84-3 CAPLUS
- CN 1-Propanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-(methylamino)- (CA INDEX NAME)

- RN 882564-86-5 CAPLUS
- CN Formic acid, compd. with 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-1-piperidinyl]-2-(methylamino)-2-phenylethanone (1:1) (CA INDEX NAME)
  - CM 1
  - CRN 882564-85-4
  - CMF C26 H27 C1 N6 O

CRN 64-18-6 CMF C H2 O2

O= CH OH

RN 882564-87-6 CAPLUS

CN Carbamic acid, [2-[4-[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 882564-88-7 CAPLUS

CN Acetamide, N-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-oxoethy1]-N-methy1- (CA INDEX NAME)

RN 882564-89-8 CAPLUS

CN 2H-Pyran-4-carboxamide, N-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-

pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]tetrahydro- (CA INDEX NAME)

- RN 882564-90-1 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-y1)-N-[1-(1,2,4-oxadiazol-3-y1methy1)-4-piperidiny1]- (CA INDEX NAME)

- RN 882564-91-2 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-yl)-N-[1-(3-pyridinylmethyl)-4-piperidinyl]- (CA INDEX NAME)

RN 882564-93-4 CAPLUS

1

- CN Formic acid, compd. with 5-chloro-4-(1H-indol-3-yl)-N-[1-(2-pyridinylmethyl)-4-piperidinyl]-2-pyrimidinamine (1:1) (CA INDEX NAME)
  - CM
  - CRN 882564-92-3
  - CMF C23 H23 C1 N6

CRN 64-18-6

CMF C H2 O2

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RN 882564-95-6 CAPLUS

CN Formic acid, compd. with 5-chloro-4-(1H-indol-3-y1)-N-[1-(4-pyridinylmethyl)-4-piperidinyl]-2-pyrimidinamine (1:1) (CA INDEX NAME)

OM.

CRN 882564-94-5

CMF C23 H23 C1 N6

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 882564-97-8 CAPLUS

CN Formic acid, compd. with 5-chloro-4-(1H-indol-3-yl)-N-[1-[(5-methyl-3-isoxazolyl)methyl]-4-piperidinyl]-2-pyrimidinamine (1:1) (CA INDEX NAME)

CM 1

CRN 882564-96-7

CMF C22 H23 C1 N6 O

CRN 64-18-6 CMF C H2 O2

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- RN 882564-98-9 CAPLUS
- CN 2-Propanone, 1-[4-[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 882564-99-0 CAPLUS
- CN 1-Piperidineacetic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

- RN 882565-01-7 CAPLUS
- CN 1-Piperidineacetic acid, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CRN 882565-00-6 CMF C19 H20 C1 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 882565-02-8 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-N-(2-fluorophenyl)- (CA INDEX NAME)

RN 882565-03-9 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N-[2-(1H-indol-3-y1)ethy1]- (CA INDEX NAME)

- RN 882565-04-0 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N-4-pyrimidiny1- (CA INDEX NAME)

- RN 882565-05-1 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N-3-pyridiny1- (CA INDEX NAME)

- RN 882565-06-2 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-N-(3-pyridinylmethyl)- (CA INDEX NAME)

- RN 882565-07-3 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N-[2-(4-morpholiny1)ethy1]- (CA INDEX NAME)

- RN 882565-08-4 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-N-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

- RN 882565-09-5 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-N-[3-(1H-imidazol-1-yl)propyl]- (CA INDEX NAME)

RN 882565-10-8 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N-methyl-N-[(1-methyl-1H-imidazol-2-y1)methyl]- (CA INDEX NAME)

- RN 882565-11-9 CAPLUS
- CN Ethanone, 2-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-1-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

- RN 882565-12-0 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-N-(cyclopropylmethyl)- (CA INDEX NAME)

- RN 882565-13-1 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-N-2-thiazolyl- (CA INDEX NAME)

RN 882565-15-3 CAPLUS

CN Formic acid, compd. with 4-[(5-chloro-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-methyl-1-piperidineacetamide (1:1) (CA INDEX NAME)

CM 1

CRN 882565-14-2 CMF C19 H22 C1 N7 O

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 882565-16-4 CAPLUS

CN 1-Piperidineacetamide, 4-[{5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl}amino}- N, $\alpha$ -dimethyl- (CA INDEX NAME)

- RN 882565-20-0 CAPLUS
- CN 1-Piperidineacetonitrile, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-α-(phenylmethy1)- (CA INDEX NAME)

- RN 882565-21-1 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]α-(tetrahydro-3-furany1)-, hydrochloride (1:2) (CA INDEX NAME)

## ●2 HC1

- RN 882565-22-2 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]α-4-piperidinyl- (CA INDEX NAME)

- RN 882565-23-3 CAPLUS
- CN 2(3H)-Furanone, 3-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]dihydro- (CA INDEX NAME)

- RN 882565-24-4 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-yl)-N-[1-(5-nitro-2-thiazolyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 882565-25-5 CAPLUS
- CN 3-Pyridinecarbonitrile, 6-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 882565-26-6 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-yl)-N-[1-(2-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 882565-27-7 CAPLUS
- CN 2-Pyrimidinamine, N-[1-(5-amino-2-pyridinyl)-4-piperidinyl]-5-chloro-4-(1Hindol-3-yl)- (CA INDEX NAME)

- RN 882565-28-8 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-y1)-N-[1-(2-pyrimidiny1)-4-piperidiny1]- (CA INDEX NAME)

- RN 882565-29-9 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-y1)-N-[1-(2-pyraziny1)-4-piperidiny1]- (CA INDEX NAME)

- RN 882565-30-2 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(7-cyano-1H-indol-3-y1)-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 882565-31-3 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-chloro-4-(7-cyano-1H-indol-3-y1)-2-

pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882565-32-4 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(6-cyano-1H-indol-3-y1)-2-pyrimidinyl]amino|-N-ethyl- (CA INDEX NAME)

- RN 882565-34-6 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[(4-imidazo[1,2-a]pyridin-3-yl-5-methyl-2-pyrimidinyl)amino]- (CA INDEX NAME)

- RN 882565-35-7 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-pyrrolo[3,2-c]pyridin-3-y1)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

RN 882565-36-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(5-chloro-4-imidazo[1,2-a]pyrimidin-3-y1-2-pyrimidinyl)amino]-N-ethyl- (CA INDEX NAME)

RN 882565-42-6 CAPLUS

1

CN Formic acid, compd. with N-ethyl-4-[[4-(1H-indol-1-yl)-2-pyrimidinyl]amino]-1-piperidinecarboxamide (1:1) (CA INDEX NAME)

CM

CRN 882565-41-5 CMF C20 H24 N6 O

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 882565-44-8 CAPLUS

CN Formic acid, compd. with 4-[[4-(1H-indol-1-y1)-2-pyrimidiny1]amino]-N-

methyl-1-piperidineacetamide (1:1) (CA INDEX NAME)

CM 1

CRN 882565-43-7 CMF C20 H24 N6 O

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 882565-45-9 CAPLUS

CN Methanone, [4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidiny1)amino]-1piperidiny1](4-methy1-1-piperaziny1)- (CA INDEX NAME)

RN 882565-46-0 CAPLUS

CN Formic acid, compd. with [4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidinyl)amino]-1-piperidinyl] (4-methyl-1-piperazinyl)methanone (1:1) (CA INDEX NAME)

CM 1

CRN 882565-45-9

CMF C22 H28 N8 O

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 882565-47-1 CAPLUS

CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl](1-methyl-4-piperidinyl)- (CA INDEX NAME)

RN 882565-48-2 CAPLUS

CN 1-Piperidinebutanamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]- $\beta$ -methyl- $\gamma$ -oxo- (CA INDEX NAME)

RN 882565-49-3 CAPLUS

CN 1-Piperidinebutanamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N, $\beta$ -dimethy1- $\gamma$ -oxo- (CA INDEX NAME)

- RN 882565-50-6 CAPLUS
- CN 1-Piperidinebutanamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N,N,β-trimethyl-γ-oxo- (CA INDEX NAME)

- RN 882565-51-7 CAPLUS
- CN 1-Butanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-3-methyl- (CA INDEX NAME)

- RN 882565-52-8 CAPLUS
- CN 2H-Pyran-4-carboxamide, N=[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]tetrahydro-N-methyl- (CA
  INDEX NAME)

- RN 882565-53-9 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1](1-methyl-1H-imidazol-4-y1)- (CA INDEX NAME)

RN 882565-54-0 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]α-(phenylmethyl)- (CA INDEX NAME)

RN 882565-55-1 CAPLUS

CN Urea, N-[2-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]-N,N',N'-trimethyl- (CA INDEX NAME)

RN 882565-56-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

- RN 882565-57-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-N-(1-methylethyl)- (CA INDEX NAME)

- RN 882565-58-4 CAPLUS
- CN Acetamide, N-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-1-(hydroxymethy1)-2-oxoethy1]- (CA INDEX NAME)

- RN 882565-59-5 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-(tetrahydro-1,1-dioxido-3-thieny1)- (CA INDEX NAME)

- RN 882565-60-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-(1-methyl-3-piperidinyl)- (CA INDEX NAME)

- RN 882565-61-9 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-N-cyclopropyl- (CA INDEX NAME)

- RN 882565-62-0 CAPLUS
- CN Ethanone, 1-[(2S)-2-[[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]carbony1]-1-pyrrolidiny1]- (CA INDEX NAME)

- RN 882565-63-1 CAPLUS
- CN Ethanone, 1-(1-azetidinyl)-2-[4-[[5-chloro-4-(1H-indol-3-yl)-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 882565-64-2 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-[(tetrahydro-3-furany1)amino]- (CA INDEX NAME)

- RN 882565-65-3 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidinyl](3-hydroxy-1-pyrrolidiny1)- (CA INDEX NAME)

- RN 882565-66-4 CAPLUS
- CN 2(3H)-Furanone, 3-[[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-oxoethy1]amino]dihydro- (CA INDEX NAME)

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ΤТ
    882565-67-5P, 5-Chloro-4-(1H-indol-3-v1)-N-[1-[[(tetrahydro-2H-
    pyran-4-vl)aminolacetyllpiperidin-4-vllpyrimidin-2-amine
    882565-68-6P, tert-Butv1 3-[[4-[[5-chloro-4-(1H-indol-3-
    yl)pyrimidin-2-yl]amino]piperidin-1-yl]carbonyl]azetidine-1-carboxylate
    882565-69-7P, N-[1-[(Azetidin-3-y1)carbony1]piperidin-4-y1]-5-
    chloro-4-(1H-indol-3-yl)pyrimidin-2-amine 882565-70-0P,
    tert-Butvl 3-[[4-[[5-chloro-4-(1H-indol-3-v1)pvrimidin-2-
    vllamino|piperidin-1-vl|carbonvl|pvrrolidine-1-carboxvlate
    882565-71-1P, 5-Chloro-4-(1H-indol-3-v1)-N-(1-
     [(isopropylamino)acetyl]piperidin-4-yl]pyrimidin-2-amine
    882565-72-2P, tert-Butyl 3-[[2-[4-[[5-chloro-4-(1H-indol-3-
    v1)pyrimidin-2-v1|amino|piperidin-1-v1|-2-oxoethyl|amino|azetidine-1-
    carboxylate 882565-73-3P,
     4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]-N-(tetrahvdro-2H-pvran-
     4-yl)piperidine-1-carboxamide 882565-74-4P,
    5-Chloro-4-(1H-indol-3-yl)-N-[1-[(pyrrolidin-3-yl)carbonyl]piperidin-4-
    yl]pyrimidin-2-amine 882565-75-5P 882565-76-6P,
     2-[[2-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-
    2-oxoethyllamino|butanoic acid 882565-77-7P,
     2-[[2-[4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-v1]-
    2-oxoethvll(propvl)aminolethanol 882565-78-8P.
    N-[2-[12-[4-[15-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-
    v1]-2-oxoethy1]amino]ethy1]acetamide 882565-79-9P,
     -[[2-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-
    2-oxoethyl]amino]-1-phenylethanol 882565-80-2P,
    2-[[2-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-
    2-oxoethyl]amino]cyclohexanol 882565-81-3P,
    4-[[2-[4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-v1]-
    2-oxoethyl](ethyl)amino]butan-1-o1 882565-82-4P,
    2-[[2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-
    2-oxoethyl](2-methylbutyl)aminoJethanol 882565-83-5P,
     4-[2-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino|piperidin-1-v1]-2-
    oxoethvllpiperazin-2-one 882565-84-6P 882565-85-7P,
    4-[[2-[4-[[5-Chloro-4-(1H-indol-3-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-
    2-oxoethy1]amino]butan-2-o1 882565-86-8P,
    2-[(tert-Butyl)[2-[4-[[5-chloro-4-(1H-indol-3-yl)pyrimidin-2-
    yl]amino]piperidin-1-yl]-2-oxoethyl]amino]ethanol 882565-87-9P,
    2-[[2-[4-[[5-Chloro-4-(1H-indol-3-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-
    2-oxoethvll(methvl)aminolacetamide 882565-88-0P.
    6-[[2-[4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-v1]-
    2-oxoethvllaminolhexan-1-ol 882565-89-1P.
    5-Chloro-4-(1H-indol-3-yl)-N-[1-[[[(5-methyl-4H-1,2,4-triazol-3-
    yl)methyl]amino]acetyl]piperidin-4-yl]pyrimidin-2-amine
    882565-90-4P, 5-Chloro-N-[1-[[[(3,5-dimethvl-1H-pyrazol-4-
    v1)methv1](methv1)amino]acetv1]piperidin-4-v1]-4-(1H-indol-3-v1)pvrimidin-
    2-amine 882565-91-5P, [1-[2-[4-[[5-Chloro-4-(1H-indol-3-
    v1)pvrimidin-2-v1|amino|piperidin-1-v1|-2-oxoethv1|pvrrolidin-2-
    vllmethanol 882565-92-6P,
    2-[[2-[4-[[5-Chloro-4-(1H-indol-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-
    2-oxoethyl]amino]-3-methylpentan-1-ol 882565-93-7P,
    1-[2-[4-][5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino[piperidin-1-v1]-2-
    oxoethvllpiperidin-3-ol 882565-94-8P.
    N-[1-[(1-Acetylpyrrolidin-3-y1)carbonyl]piperidin-4-y1]-5-chloro-4-(1H-
    indol-3-y1)pyrimidin-2-amine 882565-95-9P 882565-96-0P
    , 5-Chloro-4-(1H-indol-3-yl)-N-[1-[[methyl(tetrahydro-2H-pyran-4-
    yl)amino]acetyl]piperidin-4-yl]pyrimidin-2-amine 882565-97-1P,
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v1)pyrimidin-2-amine 882565-98-2P,
1-[[4-[[5-Chloro-4-(1H-indol-3-vl)pvrimidin-2-vl]amino]piperidin-1-
vllcarbonvllazetidin-3-ol 882565-99-3P.
2-[3-[[4-[[5-Chloro-4-(1H-indol-3-v1)pvrimidin-2-v1]amino]piperidin-1-
yl]carbonyl]azetidin-1-yl]-N-methylacetamide 882566-02-1P
882566-03-2P, N-(Azetidin-3-yl)-4-[[5-chloro-4-(1H-indol-3-
v1)pvrimidin-2-v1|amino|piperidine-1-carboxamide 882566-04-3P,
tert-Butyl 3-[[[4-[[5-chloro-4-(1H-indol-3-v1)pvrimidin-2-
yl]amino]piperidin-1-yl]carbonyl]amino]piperidine-1-carboxylate
882566-05-4P, 5-Chloro-4-(1H-indol-3-yl)-N-[1-[(1H-tetrazol-5-
yl)acetyl]piperidin-4-yl]pyrimidin-2-amine 882566-06-5P,
5-Chloro-4-(1H-indol-3-v1)-N-[1-(1-oxidopyridin-2-v1)piperidin-4-
yl]pyrimidin-2-amine 882566-07-6P,
5-Chloro-N-[1-[(5,5-dimethylmorpholin-2-yl)acetyl]piperidin-4-yl]-4-(1H-
indol-3-yl)pyrimidin-2-amine 882566-08-7P,
5-Chloro-N-[1-[(1H-imidazol-5-yl)acetyl]piperidin-4-yl]-4-(1H-indol-3-
vl)pyrimidin-2-amine 882566-18-9P,
5-Chloro-4-(1-methyl-1H-indol-3-yl)-N-(piperidin-4-yl)pyrimidin-2-amine
882566-19-0P, 2-[4-[[5-Chloro-4-(1-methyl-1H-indol-3-yl)pyrimidin-
2-vllamino|piperidin-1-vll-N-methylacetamide 882566-20-3P.
4-[[5-Chloro-4-(1-methyl-1H-indol-3-yl)pyrimidin-2-yl]amino]-N-
ethylpiperidine-1-carboxamide 882566-21-4P.
5-Chloro-N-[1-[(dimethylamino)acetyl]piperidin-4-yl]-4-(1-methyl-1H-indol-
3-v1)pyrimidin-2-amine 882566-22-5P,
4-[[5-Chloro-4-(1-methyl-1H-indol-3-yl)pyrimidin-2-yl]amino]-N-(piperidin-
4-yl)piperidine-1-carboxamide 882566-23-6P,
4-[[5-Chloro-4-(7-cvano-1H-indol-3-v1)pvrimidin-2-v1]amino]-N-
ethylpiperidine-1-carboxamide 882566-24-7P 882566-25-8P
, N-[2-[4-[[5-Chloro-4-(7-cyano-1H-indol-3-yl)pyrimidin-2-
yl]amino]piperidin-1-yl]-2-oxoethyl]acetamide 882566-26-9P,
5-Chloro-4-(1H-indol-1-yl)-N-[1-[(methylamino)acetyl]piperidin-4-
vllpvrimidin-2-amine 882566-27-0P,
5-Chloro-N-[1-[(dimethylamino)acetyl]piperidin-4-yl]-4-(1H-indol-1-
yl)pyrimidin-2-amine 882566-28-1P, tert-Butyl
4-[[4-(4-cyano-1H-indol-1-yl)pyrimidin-2-yl]amino]piperidine-1-carboxylate
882566-29-2P, 2-[4-[[5-Chloro-4-(4-cyano-1H-indol-1-yl)pyrimidin-2-
yl]amino]piperidin-1-yl]-N-methylacetamide 882566-30-5P,
2-[4-[[4-(4-Cyano-1H-indol-1-v1)pyrimidin-2-v1]amino]piperidin-1-v1]-N-
methylacetamide 882566-31-6P 882566-32-7P,
2-[4-[[5-Chloro-4-(1H-pyrrolo[3,2-b]pyridin-1-yl)pyrimidin-2-
yl]amino]piperidin-1-yl]-N-methylacetamide 882566-33-8P.
tert-Butyl 4-[[4-(1H-pyrrolo[3,2-b]pyridin-1-yl)pyrimidin-2-
vl]amino]piperidine-1-carboxylate 882566-34-9P, tert-Butyl
4-[[5-chloro-4-(1H-pyrrolo[3,2-b]pyridin-1-v1)pyrimidin-2-
vl]amino|piperidine-1-carboxvlate 882566-35-0P,
N-Methyl-2-[4-[[4-(1H-pyrrolo[3,2-b]pyridin-1-vl)pyrimidin-2-
yl]amino]piperidin-1-yl]acetamide 882566-36-1P, tert-Butyl
4-[[4-(4-amino-1H-indol-1-yl)pyrimidin-2-yl]amino]piperidine-1-carboxylate
882566-37-2P, tert-Butyl 4-[[4-(4-amino-1H-indol-1-yl)-5-
chloropyrimidin-2-yl]amino]piperidine-1-carboxylate 882566-38-3P
, 4-[[4-(4-Amino-1H-indol-1-v1)-5-chloropyrimidin-2-v1]amino]-N-
ethylpiperidine-1-carboxamide 882566-39-4P.
4-[4-(4-Amino-1H-indol-1-v1)pvrimidin-2-v1]amino]-N-ethylpiperidine-1-
carboxamide 882566-40-7P.
2-[4-[4-(4-Amino-1H-indol-1-yl)-5-chloropyrimidin-2-yl]amino]piperidin-1-
v1]-N-methylacetamide 882566-41-8P,
2-[4-[4-(4-Amino-1H-indol-1-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-N-
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methylacetamide 882566-42-9P, tert-Butyl
4-[4-(4-methoxy-1H-indol-1-v1)pyrimidin-2-v1]amino]piperidine-1-
carboxvlate 882566-43-0P.
4-[[5-Chloro-4-(4-methoxy-1H-indol-1-v1)pyrimidin-2-v1]amino]-N-
ethylpiperidine-1-carboxamide 882566-44-1P,
2-[4-[[5-Chloro-4-(4-methoxy-1H-indol-1-yl)pyrimidin-2-yl]amino]piperidin-
1-v11-N-methylacetamide 882566-45-2P,
N-Ethyl-4-[[4-(4-methoxy-1H-indol-1-yl)pyrimidin-2-yl]amino]piperidine-1-
carboxamide 882566-46-3P.
2-[4-[4-(4-Methoxy-1H-indol-1-y1)pyrimidin-2-y1]amino]piperidin-1-y1]-N-
methylacetamide 882566-47-4P, tert-Butyl
4-[[5-chloro-4-[4-(dimethylamino)-1H-indol-1-v1]pyrimidin-2-
vl]amino]piperidine-1-carboxvlate 882566-48-5P, tert-Butvl
4-[[4-[4-(dimethylamino)-1H-indol-1-yl]pyrimidin-2-yl]amino]piperidine-1-
carboxylate 882566-49-6P,
4-[[4-[4-(Dimethylamino)-1H-indol-1-y1]pyrimidin-2-y1]amino]-N-
ethylpiperidine-1-carboxamide 882566-50-9P,
2-[4-[4-(4-(Dimethylamino)-1H-indol-1-yl]pyrimidin-2-yl]amino]piperidin-1-
vl]-N-methylacetamide 882566-51-0P, tert-Butyl
4-[[4-[4-(aminocarbonvl)-1H-indol-1-vl]pvrimidin-2-vl]amino[piperidine-1-
carboxvlate 882566-52-1P.
1-[2-[[1-[2-(Methylamino)-2-oxoethyl]piperidin-4-yl]amino]pyrimidin-4-yl]-
1H-indole-4-carboxamide 882566-53-2P.
N-Methyl-2-[4-[4-(1H-pyrrolo[2,3-b]pyridin-1-yl)pyrimidin-2-
vl]amino]piperidin-1-vl]acetamide 882566-57-6P,
N-[1-(3-Furanylcarbonyl)piperidin-4-vl]-4-(imidazo[1,2-a]pyridin-3-
vl)pvrimidin-2-amine 882566-58-7P,
2-[4-[[4-(Imidazo[1,2-a]pyridin-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-
N-methyl-2-phenylacetamide 882566-59-8P.
6-[4-[[4-(Imidazo[1,2-a]pyridin-3-yl)pyrimidin-2-yl]amino]piperidin-1-
vl]nicotinonitrile 882566-60-1P,
4-[[4-(Imidazo[1,2-a]pyridin-3-yl)pyrimidin-2-yl]amino]-N-
methoxypiperidine-1-carboxamide 882566-61-2P
882566-62-3P, 4-(Imidazo[1,2-a]pyridin-3-yl)-N-[1-[(morpholin-4-
yl)acetyl]piperidin-4-yl]pyrimidin-2-amine 882566-63-4P.
4-(Imidazo[1,2-a]pyridin-3-yl)-N-[1-[(1-methyl-1H-imidazol-4-
vl)carbonyl]piperidin-4-vl]pyrimidin-2-amine 882566-64-5P,
4-(Imidazo[1,2-a]pyridin-3-v1)-N-[1-[(3-methylisoxazol-5-
v1)acetv1]piperidin-4-v1]pvrimidin-2-amine 882566-65-6P.
4-(Imidazo[1,2-a]pyridin-3-vl)-N-[1-(3-methylbutanovl)piperidin-4-
vllpvrimidin-2-amine 882566-66-7P.
2-[4-[[4-(Imidazo[1,2-a]pyridin-3-yl)pyrimidin-2-yl]amino]piperidin-1-yl]-
2-(piperidin-4-yl)acetamide 882566-67-8P,
N-[1-[(Dimethylamino)acetyl]piperidin-4-yl]-4-(imidazo[1,2-a]pyridin-3-
vl)pvrimidin-2-amine 882566-68-9P,
4-(6-Bromoimidazo[1,2-a]pyridin-3-yl)-N-(piperidin-4-yl)pyrimidin-2-amine
882566-69-0P, 2-[4-[[4-(6-Bromoimidazo[1,2-a]pyridin-3-
yl)pyrimidin-2-yl]amino]piperidin-1-yl]-N-methylacetamide
882566-70-3P, 2-[4-[[4-(8-Bromoimidazo[1,2-a]pyridin-2-
vl)pyrimidin-2-vl]amino]piperidin-1-vl]-N-methylacetamide
882566-71-4P, N-Ethvl-4-[[4-(imidazo[1,2-a]pvridin-3-v1)-5-
methoxypyrimidin-2-vllaminolpiperidine-1-carboxamide 882566-72-5P
, 2-[4-[[4-(Imidazo[1,2-a]pyridin-3-yl)-5-methoxypyrimidin-2-
yl]amino]piperidin-1-yl]-N-methylacetamide 882566-85-0P
882566-91-8P 882566-92-9P 882566-98-5P
882566-99-6P 882567-00-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
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(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminopyrimidines as JNK inhibitors)

RN 882565-67-5 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

RN 882565-68-6 CAPLUS

CN 1-Azetidinecarboxylic acid, 3-[[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 882565-69-7 CAPLUS

CN Methanone, 3-azetidinyl[4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 882565-70-0 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[4-[[5-chloro-4-(1H-indo1-3-y1)-2-

pyrimidinyl]amino]-1-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882565-71-1 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[(1-methylethyl)amino]- (CA INDEX NAME)

- RN 882565-72-2 CAPLUS
- CN 1-Azetidinecarboxylic acid, 3-[[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882565-73-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1H-indo1-3-y1)-2-

pyrimidiny1]amino]-N-(tetrahydro-2H-pyran-4-y1)- (CA INDEX NAME)

- RN 882565-74-4 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-3-pyrrolidinyl- (CA INDEX NAME)

- RN 882565-75-5 CAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-oxoethy1]-, (2S)- (CA INDEX NAME)

- RN 882565-76-6 CAPLUS
- CN Butanoic acid, 2-[[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]amino]- (CA INDEX NAME)

- RN 882565-77-7 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[(2-hydroxyethy1)propylamino]- (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ \hline \\ C1 \\ N \\ N \\ N \\ \hline \\ N \\ C \\ C \\ CH_2 \\ N \\ Pr \\ -n \\ O \\ CH_2 \\ CH_2 \\ OH \\ \end{array}$$

- RN 882565-78-8 CAPLUS
- CN Acetamide, N-[2-[[2-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]-2-oxoethyl]amino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ N \\ N \\ \end{array} \\ NH \\ C-CH_2-NH-CH_2-CH_2-NHAC \\ O \\ \end{array}$$

- RN 882565-79-9 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[(2-hydroxy-2-phenylethy1)amino]- (CA INDEX NAME)

- RN 882565-80-2 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]-2-[(2-hydroxycyclohexyl)amino]- (CA INDEX NAME)

- RN 882565-81-3 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-[ethy1(4-hydroxybuty1)amino]- (CA INDEX NAME)

- RN 882565-82-4 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-[(2-hydroxyethy1)(2-methylbuty1)amino]- (CA INDEX NAME)

- RN 882565-83-5 CAPLUS
- CN 2-Piperazinone, 4-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-oxoethy1]- (CA INDEX NAME)

- RN 882565-84-6 CAPLUS
- CN L-Serine, N-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]-, methyl ester (CA INDEX NAME)

- RN 882565-85-7 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-[(3-hydroxybutyl)amino]- (CA INDEX NAME)

- RN 882565-86-8 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(lH-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-[(1,1-dimethylethyl)(2-hydroxyethyl)amino]- (CA INDEX NAME)

- RN 882565-87-9 CAPLUS
- CN Acetamide, 2-[[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]methylamino]- (CA INDEX NAME)

- RN 882565-88-0 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[(6-hydroxyhexy1)amino]- (CA INDEX NAME)

- RN 882565-89-1 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1piperidinyl]-2-[[(3-methyl-1H-1,2,4-triazol-5-yl)methyl]amino]- (CA INDEX NAME)

- RN 882565-90-4 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-[[(3,5-dimethyl-1H-pyrazol-4-yl)methyl]methylamino]- (CA
  INDEX NAME)

- RN 882565-91-5 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-[2-(hydroxymethy1)-1-pyrrolidiny1]- (CA INDEX NAME)

RN 882565-92-6 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-[[1-(hydroxymethyl)-2-methylbutyl]amino]- (CA INDEX NAME)

RN 882565-93-7 CAPLUS

CN 4-Piperidinamine, N-[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]-1-[(3-hydroxy-1-piperidinyl)acetyl]- (9CI) (CA INDEX NAME)

RN 882565-94-8 CAPLUS

CN Ethanone, 1-[3-[[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]carbony1]-1-pyrrolidiny1]- (CA INDEX NAME)

- RN 882565-95-9 CAPLUS
- CN Ethanone, 1-[(25)-2-[[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]carbonyl]-4-hydroxy-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 882565-96-0 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-[methyl(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 882565-97-1 CAPLUS
- CN Ethanone, 2-(3-azetidinylamino)-1-[4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 882565-98-2 CAPLUS
- CN Methanone, [4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl](3-hydroxy-1-azetidinyl)- (CA INDEX NAME)

- RN 882565-99-3 CAPLUS
- CN 1-Azetidineacetamide, 3-[[4-[[5-chloro-4-(1H-indol-3-y1)-2pyrimidinyl]amino]-1-piperidinyl]carbonyl]-N-methyl- (CA INDEX NAME)

- RN 882566-02-1 CAPLUS
- CN 1-Pyrrolidineacetamide, 3-[[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]carbony1]-N-methy1-, (+)- (CA INDEX

NAME)

Rotation (+).

RN 882566-03-2 CAPLUS

CN 1-Piperidinecarboxamide, N-3-azetidinyl-4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 882566-04-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[[4-[[5-chloro-4-(1H-indol-3-yl)-2pyrimidinyl]amino]-1-piperidinyl]carbonyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882566-05-4 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-(2H-tetrazol-5-y1)- (CA INDEX NAME)

- RN 882566-06-5 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1H-indol-3-yl)-N-[1-(1-oxido-2-pyridinyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 882566-07-6 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-(5,5-dimethyl-2-morpholiny1)- (CA INDEX NAME)

- RN 882566-08-7 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-(1H-imidazol-5-y1)- (CA INDEX NAME)

- RN 882566-18-9 CAPLUS
- CN 2-Pyrimidinamine, 5-chloro-4-(1-methyl-1H-indol-3-yl)-N-4-piperidinyl-(CA INDEX NAME)

- RN 882566-19-0 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1-methyl-1H-indol-3-yl)-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 882566-20-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1-methyl-1H-indol-3-yl)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 882566-21-4 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1-methyl-1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-(dimethylamino)- (CA INDEX NAME)

- RN 882566-22-5 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(1-methyl-1H-indol-3-yl)-2-pyrimidinyl]amino]-N-4-piperidinyl- (CA INDEX NAME)

- RN 882566-23-6 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(7-cyano-1H-indol-3-yl)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 882566-24-7 CAPLUS
- CN 1H-Indole-7-carbonitrile, 3-[5-chloro-2-[[1-[[(2R)-5-oxo-2-pyrrolidiny1]carbony1]-4-piperidiny1]amino]-4-pyrimidiny1]- (CA INDEX NAME)

- RN 882566-25-8 CAPLUS
- CN Acetamide, N-[2-[4-[[5-chloro-4-(7-cyano-1H-indol-3-y1)-2-pyrimidinyl]amino]-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

- RN 882566-26-9 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-1-yl)-2-pyrimidinyl]amino]-1-piperidinyl]-2-(methylamino)- (CA INDEX NAME)

- RN 882566-27-0 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-1-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-2-(dimethylamino)- (CA INDEX NAME)

- RN 882566-28-1 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(4-cyano-1H-indol-1-y1)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882566-29-2 CAPLUS
- CN 1-Piperidineacetamide, 4-[[5-chloro-4-(4-cyano-1H-indol-1-y1)-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

RN 882566-30-5 CAPLUS

CN 1-Piperidineacetamide, 4-[[4-(4-cyano-1H-indol-1-y1)-2-pyrimidiny1]amino]-N-methyl- (CA INDEX NAME)

RN 882566-31-6 CAPLUS

CN 1H-Indole-4-carbonitrile, 1-[2-[[1-[2-(dimethylamino)acetyl]-4-piperidinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 882566-32-7 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-pyrrolo[3,2-b]pyridin-1-yl)-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

RN 882566-33-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(1H-pyrrolo[3,2-b]pyridin-1-yl)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 882566-34-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-chloro-4-(1H-pyrrolo[3,2-b)]pyridin-1-y1)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 882566-35-0 CAPLUS

CN 1-Piperidineacetamide, N-methyl-4-[[4-(1H-pyrrolo[3,2-b]pyridin-1-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 882566-36-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(4-amino-1H-indol-1-yl)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 882566-37-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(4-amino-1H-indol-1-y1)-5-chloro-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882566-38-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-(4-amino-1H-indol-1-y1)-5-chloro-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 882566-39-4 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-(4-amino-1H-indol-1-y1)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 882566-40-7 CAPLUS
- CN 1-Piperidineacetamide, 4-[[4-(4-amino-1H-indo1-1-y1)-5-chloro-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

RN 882566-41-8 CAPLUS

CN 1-Piperidineacetamide, 4-[[4-(4-amino-1H-indol-1-y1)-2-pyrimidiny1]amino]-N-methyl- (CA INDEX NAME)

RN 882566-42-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(4-methoxy-1H-indol-1-y1)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 882566-43-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[5-chloro-4-(4-methoxy-1H-indol-1-y1)-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

RN 882566-44-1 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(4-methoxy-1H-indol-1-y1)-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 882566-45-2 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-(4-methoxy-1H-indol-1-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 882566-46-3 CAPLUS
- CN 1-Piperidineacetamide, 4-[[4-(4-methoxy-1H-indol-1-y1)-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 882566-47-4 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-chloro-4-[4-(dimethylamino)-1H-indol-1-y1]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882566-48-5 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[4-(dimethylamino)-1H-indo1-1-y1]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 882566-49-6 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[4-(dimethylamino)-1H-indol-1-y1]-2-pyrimidinyl]amino]-N-ethyl- (CA INDEX NAME)

- RN 882566-50-9 CAPLUS
- CN 1-Piperidineacetamide, 4-[[4-[4-(dimethylamino)-1H-indol-1-y1]-2pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 882566-51-0 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(aminocarbonyl)-1H-indol-1-yl]-2pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 882566-52-1 CAPLUS
CN 1H-Indole-4-carboxamide, 1-[2-[[1-[2-(methylamino)-2-oxoethyl]-4piperidinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 882566-53-2 CAPLUS

CN 1-Piperidineacetamide, N-methyl-4-[[4-(1H-pyrrolo[2,3-b]pyridin-1-yl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 882566-57-6 CAPLUS

CN Methanone, 3-furanyl[4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-1-piperidinyl]- (CA INDEX NAME)

RN 882566-58-7 CAPLUS

CN 1-Piperidineacetamide, 4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidinyl)amino]-N-methyl-α-phenyl- (CA INDEX NAME)

RN 882566-59-8 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidinyl)amino]-1-piperidinyl]- (CA INDEX NAME)

RN 882566-60-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidinyl)amino]-N-methoxy- (CA INDEX NAME)

RN 882566-61-2 CAPLUS

CN 2-Oxazolidinone, 4-[[4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-1-piperidinyl]carbonyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 882566-62-3 CAPLUS
- CN Ethanone, 1-[4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidiny1)amino]-1piperidiny1]-2-(4-morpholiny1)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

- RN 882566-63-4 CAPLUS
- CN Methanone, [4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-1piperidinyl](1-methyl-1H-imidazol-4-yl)- (CA INDEX NAME)

- RN 882566-64-5 CAPLUS
- CN Ethanone, 1-[4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-1piperidinyl]-2-(3-methyl-5-isoxazolyl)- (CA INDEX NAME)

- RN 882566-65-6 CAPLUS
- CN 1-Butanone, 1-[4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

- RN 882566-66-7 CAPLUS
- CN 1-Piperidineacetamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-α-4-piperidinyl- (CA INDEX NAME)

- RN 882566-67-8 CAPLUS
- CN Ethanone, 2-(dimethylamino)-1-[4-[(4-imidazo[1,2-a]pyridin-3-y1-2-pyrimidinyl)amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 882566-68-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(6-bromoimidazo[1,2-a]pyridin-3-y1)-N-4-piperidinyl-(CA INDEX NAME)

- RN 882566-69-0 CAPLUS
- CN 1-Piperidineacetamide, 4-[[4-(6-bromoimidazo[1,2-a]pyridin-3-yl)-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 882566-70-3 CAPLUS
- CN 1-Piperidineacetamide, 4-[[4-(8-bromoimidazo[1,2-a]pyridin-2-y1)-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 882566-71-4 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[(4-imidazo[1,2-a]pyridin-3-yl-5-methoxy-2-pyrimidinyl)amino]- (CA INDEX NAME)

- RN 882566-72-5 CAPLUS
- CN 1-Piperidineacetamide, 4-[(4-imidazo[1,2-a]pyridin-3-y1-5-methoxy-2-pyrimidinyl)amino]-N-methyl- (CA INDEX NAME)

- RN 882566-85-0 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[(4-pyrazolo[1,5-a]pyridin-3-yl-2-pyrimidinyl)amino]- (CA INDEX NAME)

RN 882566-91-8 CAPLUS

CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1piperidiny1]-2-(tetrahydro-1,1-dioxido-3-thieny1)-, (+)- (CA INDEX NAME)

Rotation (+).

- RN 882566-92-9 CAPLUS
- CN Ethanone, 1-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidinyl]amino]-1piperidinyl]-2-(tetrahydro-1,1-dioxido-3-thienyl)-, (-)- (CA INDEX NAME)

Rotation (-).

- RN 882566-98-5 CAPLUS
- CN 1-Pyrrolidineacetamide, 3-[[4-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]-1-piperidinyl]carbonyl]-N-methyl-, (-)- (CA INDEX NAME)

Rotation (-).

RN 882566-99-6 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-  $\alpha$ -4-piperidiny1-, (+)- (CA INDEX NAME)

Rotation (+).

RN 882567-00-2 CAPLUS

CN 1-Piperidineacetamide, 4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-  $\alpha$ -4-piperidiny1-, (-)- (CA INDEX NAME)

Rotation (-).

- IT 882566-89-4P 882566-90-7P
- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of aminopyrimidines as JNK inhibitors)
- RN 882566-89-4 CAPLUS
- CN Acetamide, N-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-1-(hydroxymethy1)-2-oxoethy1]-, (+)- (CA INDEX NAME)

Rotation (+).

- RN 882566-90-7 CAPLUS
- CN Acetamide, N-[2-[4-[[5-chloro-4-(1H-indol-3-y1)-2-pyrimidiny1]amino]-1-piperidiny1]-1-(hydroxymethy1)-2-oxoethy1]-, (-)- (CA INDEX NAME)

Rotation (-).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

### 10/552,317

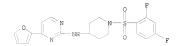
- L17 ANSWER 34 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2006:74802 CAPLUS
- DN 144:171004
- TI Preparation of 4-(2- or 3-furyl)pyrimidine derivatives, and pharmaceutical
- compositions and antitumor agents containing them IN Miyazaki, Isao; Murakami, Koji
- PA Taiho Pharmaceutical Co., Ltd., Japan

4-(2-fury1)-2-[1-[4-(2-methoxy-4-

- SO Jpn. Kokai Tokkyo Koho, 24 pp.
- CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
			7					
PI	JP 2006022073	A	20060126	JP 2004-203695	20040709			
PRAI	JP 2004-203695		20040709					
OS	MARPAT 144:171004		\ /					

- Annual Till (X, Y = H, halo, lower alkyl, lower alkoxy, (un)substituted aryl, heteroaryl, NOZ, cyano, trihalomethyl, NRISZ, COZR3, S(O)nR4, NHCOS, CONR6R7, COR8, CRO, CROR, CRIZOR9, CRI-CRHIO, CH:CHCCOZR11, CH:CHCCONRHIZ [R1-R4, R6, R7, R9 = H, lower alkyl, (un)substituted aryl, heteroaryl, (un)substituted aralkyl, heteroaryl, aryl, the teroaryl, (un)substituted aralkyl, R10, R12 = lower alkyl, (un)substituted aryl; R8 = H, lower alkyl, R10, R12 = (un)substituted aryl, heteroaryl; R1 = H, lower alkyl, n = 0-2]; A = NZN (Z = CZ-10 linear, branched, or cyclic alkylene, wherein 1 or 2 N atoms may form 4-7-membered N-containing heteroalicylyl together with Z); B = CO, SO2; C = (un)substituted aryl, heteroaryl, (\alpha-lower alkyl)benzyl] or their salts are claimed. Also claimed are pharmaceutical compns, and antitumor agents containing I or their salts. Thus, ICSO of
  - methylbenzenesulfonyl)piperazino])pyrimidine (II), prepared from 4-(2-furyl)-2-piperazinopyrimidine and 2-methoxy-4-methylbenzenesulfonyl chloride, against proliferation of human hepatoma JHH-7 cells was 0.23 µM, vs. 0.99 µM of BAY 43-9006. ICSO of I on proliferation of normal hepatic cell was >30 µM, vs. 3.46 µM of BAY 43-9006.
  - IT 874114-13-3P
    - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
  - (preparation of furylpyrimidine derivs. as antitumor agents)
- RN 874114-13-3 CAPLUS
- CN 2-Pyrimidinamine, N-[1-[(2,4-difluorophenyl)sulfonyl]-4-piperidinyl]-4-(2-furanyl)- (CA INDEX NAME)



- L17 ANSWER 35 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2005:1314210 CAPLUS AN
- DN 144:51578
- TI Preparation of mercaptoimidazoles as CCR2 receptor antagonists for the treatment of inflammatory disease
- IN Boeckx, Gustaaf Maria; Van Lommen, Guy Rosalia Eugeen; Doyon, Julien Georges Pierre-Olivier; Coesemans, Erwin
- PA Janssen Pharmaceutica N.V., Belg.
- SO PCT Int. Appl., 81 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

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PI	WO	2005	1185	74		A1		2005	1215	)	wo :	2005-		20050524						
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			IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT	RO,	SE,	SI,	SK,	TR,	AL,	BA,		
			HR,	LV,	MK,	YU														
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PRAI																				
	WO	2005	-EP5	2373		W		2005	0524											

CASREACT 144:51578; MARPAT 144:51578 OS AB

The invention relates to compds. I, N-oxides, pharmaceutically acceptable addition salts, quaternary amines, polymorphic forms or stereochem. isomeric forms thereof, wherein R1 = H, (un) substituted alkyl, cycloalkyl or (hetero)ary1; R2 independently = halo, alkyl(oxy/thio), etc.; R3 = H, cyano, etc.; R4 = H or alkyl; n = 1-5; Z = certain cycle ring. The invention also relates to processes for preparing I, their use as CCR2 antagonists and pharmaceutical compns. comprising them. For instance, II was synthesized in multiple steps from 1-(3,4-dichlorophenyl)-1-propanone. The CCR2 antagonistic activities of I were demonstrated by three assays, inhibition of MCP-1-induced Ca-flux in human THP-1 cells, 125I-MCP-1 binding assay and chemotactic response of cells in the presence of MCP-1. Therefore, I and their pharmaceutical compns. are useful for preventing or treating diseases mediated through activation of the CCR2 receptor, such as inflammation.

IT 871343-86-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of mercaptoimidazoles as CCR2 receptor antagonists for the treatment of inflammatory disease)

RN 871343-86-1 CAPLUS

CN 2H-Imidazole-2-thione, 1-[1-(3,4-dichlorophenyl)propyl]-1,3-dihydro-5-[2-(4-piperidinylamino)-4-pyrimidinyl]- (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 36 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:1289687 CAPLUS
- DN 144:51568
- TI Preparation of substituted 2-quinolyl-oxazoles and their heterocyclic analogs useful as pde4 inhibitors
- IN Kuang, Rongze; Blythin, David; Shih, Neng-Yang; Shue, Ho-Jane; Chen, Xiao; Cao, Jianhua; Gu, Danlin; Huang, Ying; Schwerdt, John H.; Ting, Pauline C.; Wong, Shing-Chun; Xiao, Li
- PA Schering Corporation, USA
- SO PCT Int. Appl., 233 pp.
- CODEN: PIXXD2 DT Patent
- LA English
- LA English FAN.CNT 1

	PA:	rent :	NO.			KIND DATE				~	APP	LICAT	DATE						
PI	WO					A1					2005-		20050516						
		W:	ΑE,	AG,	AL,	AM,	A'K	AU,	ΑZ,	ΒÁ,	BB	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE	DA	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	KE,	KG,	KM,	KP,	KR,	ΚZ,	
		LC, LK, LR,		LS,	LT,	LU,	LV,	MA,	MD	, MG,	MK,	MN,	MW,	MΧ,	MZ,	NA,			
			NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT	, RO,	RU,	SC,	SD,	SE,	SG,	SK,	
			SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ	, UA,	UG,	US,	UΖ,	VC,	VN,	YU,	
			ZA,	ZM,	ZW														
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	EP	1758									EP 2005-750076								
		R:										, ES,							
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	CN	1984	901			Α		2007	0620		CN	2005-	8002	3666		2	0050	516	
	BR	2005	0112	95		A			1204		BR	2005-	1129	5		2	0050	516	
	JP	2007	5373	0.0		Т			1220			2007-							
		2864				В		2007	0911		TW	2005-	9411	5924		2	0050	517	
		2006	PA13	414		Ā			0123			2006-							
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	NO	2006	0058	30		A		2007	0216		NO	2006-	5830			2	0061	215	
PRAI	US	2004	-572	266P		P		2004	0518										
NO 2006005830 PRAI US 2004-572266P WO 2005-US17134					M		2005												

- WO 2005-US17134 W 20050516 OS CASREACT 144:51568; MARPAT 144:51568
- AB Title compds. I [R1 = H, alkyl, cycloalkyl; R2, R3 and R5 independently = H or halo; R4 = H, halo, alkyl, etc.; A = substituted oxazolyl, imidazole, thiazole or pyrrole], and their pharmaceutically acceptable salts, are prepared and disclosed as pde4 inhibitors. Thus, e.g., II was prepared in a multistep synthesis from 2-trifluoromethyl-8-methoxyquinolin-5-yl carboxylic acid. In PDE4 assays, selected compds. possessed IC50 values ranging from 0.01-1.8 nM. Also claimed are pharmaceutical compns., the use of the compds. as PDE4 inhibitors, and combinations with other actives.
- IT 871009-86-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinolyloxazoles and their heterocyclic analogs useful as PDE4 inhibitors)

RN 871009-86-8 CAPLUS

CN Methanone, [5-[(1S)-1-aminoethyl]-2-[8-methoxy-2-(trifluoromethyl)-5-quinolinyl]-4-oxazolyl][4-[[4-(2,6-difluorophenyl)-2-pyrimidinyl]amino]-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

## Absolute stereochemistry.

● HCJ

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 37 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:1260610 CAPLUS
- DN 144:22946
- TI Preparation of nitrogen-heteroaryl-containing protein kinase modulators for use against cancer and other diseases
- IN Geuns-Meyer, Stephanie D.; Hodous, Brian L.; Chaffee, Stuart C.; Tempest, Paul A.; Olivieri, Philip R.; Johnson, Rebecca E.; Albrecht, Brian K.; Patel, Vinod F.; Cee, Victor J.; Kim, Joseph L.; Bellon, Steven; Zhu, Xiaotian; Cheng, Yuan; Xi, Ning; Romero, Karina; Nguyen, Hanh Nho; Deak,
- Holly L. PA Amgen Inc., USA
- SO PCT Int. Appl., 540 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT	NO.		KIN	D	DATE				ICAT							
PI		 5113494 5113494				1201 0316					20050509						
	W:	W: AE, AG, AL,															
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	JP 200	7536280		T		2007	1213		JP 2	007-	5117	23		2	0050	509	
		6PA12613				20070131 MX 2006-PA12613											
PRAI					20040507												
	WO 200	5-US16346	i	W		2005	0509										

OS MARPAT 144:22946

AB The present invention relates to nitrogen-heteroaryl-containing compds. (shown as I; variables defined below; e.g.,
4-fluoro-3-[[3-(pyrimidin-4-yl)pyridin-2-yl]aminol-N-[3-((tetrahydrofuran-2-yl)methoxyl-5-trifluoromethylphenyllbenzamide (shown as II)) and

4-fluoro-3-[[3-(pyrimidin-4-y1)pyridin-2-y1]amino]-N-[3-[(tetrahydrotruran-2-y1)methoxy]-5-trifluoromethylphenyl]benzamide (shown as II)) and synthetic intermediates, which are capable of modulating various protein kinase receptor enzymes and, thereby, influencing various disease states and conditions related to the activities of these kinases. For example, the compds. are capable of modulating kinase enzymes thereby influencing the process of angiogenesis and treating angiogenesis-related diseases and other proliferative disorders, including cancer and inflammation. The invention also includes pharmaceutical compns., including the compds., and methods of treating disease states related to the activity of protein kinases. For I: A is N or CR01; B is N or CR01; D is N or CR01; E is N or

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CH; G is NR13, O, S, C(O), S(O), SO2, CR13R13 or CR13R14; H1 is N or CR5; H2 is N or CR6; H3 is N or CR7; H4 is N or CR5; H5 is N or CR9; R1 is H, halo, haloalkyl, NO2, CN, NR13R13, OR13, SR13 (CHR13)nR13, or R15; alternatively R1 taken together with R10 forms a partially or fully unsatd. 5- or 6-membered ring of C atoms optionally including 1-3 heteroatoms = O, N and S, and the ring (un)substituted; R2 is H, halo, haloalkyl, oxo, NO2, CN, SR13, et al.; each of R3 and R4, independently, is H, halo, haloalkyl, oxo, NO2, CN, SR13, et al.; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >1200 examples of I and intermediates are included. For example, II was prepared in 2 steps starting with condensation of 4-(2-chloropyridin-3-yl)pyrimidine (preparation given) with 3-amino-4-fluorobenzoic acid in Et3N-TFA to give 4-fluoro-3-[[3-(pyrimidin-4-yl)pyridin-2-yl]amino]benzoic acid, which was condensed with [3-[(tetrahydrofuran-2-y1)methoxy]-5trifluoromethylphenyl]amine using EDC and DMAP in DMF.

IT 870233-05-9P, N-[3-Methyl-4+[[3-[2-([1-methyl-4-piperidinyl)amino]4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]-3-(trifluoromethyl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TIU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of nitrogen-heteroaryl-containing protein

#### kinase

modulators for use against cancer and other diseases)

RN 870233-05-9 CAPLUS

CN Benzamide, N-[3-methyl-4-[[3-[2-[(1-methyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

- L17 ANSWER 38 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2005:1193341 CAPLUS AN
- DN 143:460148
- TI Preparation of 4-[2-(cycloalkylamino)pyrimidin-4-yl]-3-phenylimidazolin-2one derivatives as p38 MAP-kinase inhibitors for the treatment of inflammatory diseases
- Kubo, Akira; Nakane, Tetsu; Nakajima, Tatsuo; Murakami, Takanori; Miyoshi, IN Hidetaka: Ogasawara, Akihito
- Tanabe Seiyaku Co., Ltd., Japan
- PCT Int. Appl., 89 pp. SO
- CODEN: PIXXD2
- DT Patent
- LA English FAN.CNT 1

		NT NC				KIN		DATE				LICAT						
PI	WO 2	00510	579	0		A1		2005	1110	)	WO :	2005-	JP85	64		2	0050	428
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												, EC,						
		G	E,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		I	c,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD	, MG,	MK,	MN,	MW,	MX,	MZ,	NA,
												, RU,						
		S	Μ,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA	, UG,	US,	UZ,	VC,	VN,	YU,	ZA,
		2	Μ,	ZW														
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	AU 2	00523	839	0		A1		2005	1110		AU :	2005-	2383	90		2	0050	428
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	JP 2																	
	WO 2																	
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- CASREACT 143:460148; MARPAT 143:460148
- AB The invention provides novel heterocyclic compds. I [wherein: R1 is a halogen, nitro, alkyl, etc.; p is 1 or 2; A is

2-oxo-4-imidazolin-3,4-diyl, etc.; X is CH or N; Z is O, NR2; R2 is H, alkyl, etc.; B is cycloalkyl or monocyclic saturated heterocyclic group; Y is single bond, CO, SO2; and E is an aryl or heterocyclic group; or a pharmaceutically acceptable salt thereof], which are useful as p38 MAP kinase inhibitors. Approx. 135 compds. I were prepared, as well as some intermediates. For instance, reaction of sulfoxide II with the corresponding trans-isomeric cyclohexylamine derivative at 90° in dioxane for 5 days gave invention compound III, isolated as the

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monohydrochloride. In an in-vivo test for inhibition of LPS-induced  $TNF-\alpha$  production in rats, invention compound IV.HCl gave 100% inhibition at 5 mg/kg orally.

1044974-04-0 1044974-05-1 1044974-06-2 1044974-07-3 1044974-08-4 1044974-09-5 1044974-10-8 1044974-11-9 1044974-12-0 1044974-13-1 1044974-14-2 1044974-15-3 1044974-16-4 1044975-15-6 1044975-16-7 1044975-17-8 1044975-18-9 1044975-19-0 1044975-20-3 1044975-21-4 1044975-22-5 1044975-23-6 1044975-24-7 1044975-25-8 1044975-26-9 1044975-27-0 1044975-28-1 1044996-99-7 1044997-00-3 1044997-01-4 1044997-02-5 1044997-03-6 1044997-04-7 1044997-05-8 1044997-06-9 1044997-07-0 1044997-08-1 1044997-09-2 1044997-10-5 1044997-11-6 1044997-12-7 1044997-13-8 1044997-14-9 1044997-15-0 1044997-16-1 1044997-17-2 1044997-18-3 1044997-19-4 1044997-20-7 1044997-21-8 1044998-19-7 1044998-20-0 1044998-21-1 1044998-22-2 1044998-23-3 1044998-24-4 1044998-25-5 1048020-53-6 1048022-13-4

RL: PRPH (Prophetic)

(Preparation of 4-[2-(cycloalkylamino)pyrimidin-4-y1]-3-

phenylimidazolin-2-one derivatives as p38 MAP-kinase inhibitors for the treatment of inflammatory diseases)

RN 1044974-04-0 CAPLUS

INDEX NAME NOT YET ASSIGNED CN

1044974-05-1 CAPLUS RN

CN INDEX NAME NOT YET ASSIGNED

- RN 1044974-06-2 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(1-pyrrolidiny1)-4-piperidiny1]amino]-4-pyrimidiny1]-1-(tetrahydro-2H-pyran-4-y1)-3-[3-(trifluoromethy1)pheny1]- (CA INDEX NAME)

- RN 1044974-07-3 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(4-morpholinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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RN 1044974-08-4 CAPLUS

CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(2pyridinylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2Hpyran-4-yl) - (CA INDEX NAME)

1044974-09-5 CAPLUS 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(2-CN pyrazinylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2Hpyran-4-yl) - (CA INDEX NAME)

RN 1044974-10-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

- RN 1044974-11-9 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044974-12-0 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-{2-{[1-(2-pyrimidinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN
- 1044974-13-1 CAPLUS 2H-Imidazol-2-one, 3-(4-fluoropheny1)-1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-4-[2-[[1-(2-thiazoly1)-4-piperidiny1]amino]-4-pyrimidiny1]- (CA INDEX CN NAME)

- RN 1044974-14-2 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044974-15-3 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(1-pyrrolidinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044974-16-4 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(4-morpholinyl)4-piperididyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA
  INDEX NAME)

- RN 1044975-15-6 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-4-[2-[[1-(2-pyridinylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044975-16-7 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-4-[2-[[1-(2pyrazinylsuifonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2Hpyran-4-yl)- (CA INDEX NAME)

- RN 1044975-17-8 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044975-18-9 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[[1-[(2,4-dimethyl-5-thiazolyl)sulfonyl]-4-piperiddnyl]amino]-4-pyrimidinyl]-1,3-dihydro-3-(3-methylphenyl)-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

RN 1044975-19-0 CAPLUS

CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-4-[2-[[1-(2-pyrimidinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044975-20-3 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-1-(tetrahydro-2H-pyran-4yl)-4-[2-[[1-(2-thiazolyl)-4-piperidinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 1044975-21-4 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044975-22-5 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044975-23-6 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-4-[2-[[1-(4-morpholinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAMB)

RN 1044975-24-7 CAPLUS

CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(2-pyrazinylsulfonyl)-4piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl)- (CA INDEX NAME)

- RN 1044975-25-8 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(2-pyridinylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1044975-26-9 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044975-27-0 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[[1-[(2,4-dimethyl-5-thiazoly1)sulfonyl]-4piperidinyl]amino]-4-pyrimidinyl]-1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1044975-28-1 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(2-pyrimidinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1044996-99-7 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[[1-(4-ethyl-1-piperazinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1,3-dihydro-3-(3-methylphenyl)-1-(tetrahydro-2H-pyran-4-yl)-(CA INDEX NAME)

- RN 1044997-00-3 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044997-01-4 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-([1,1'-bipiperidin]-4-ylamino)-4-pyrimidinyl]-1,3-dihydro-3-(3-methylphenyl)-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044997-02-5 CAPLUS

- RN 1044997-03-6 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(phenylsulfonyl)-4piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 1044997-04-7 CAPLUS

CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-[(1-methyl-1H-imidazol-5-yl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 1044997-05-8 CAPLUS

CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(2-pyridinyl)-4-piperidinyl]]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]-(CA INDEX NAME)

RN 1044997-06-9 CAPLUS

CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(2-pyraziny1)-4-piperidiny1]amino]-4-pyrimidiny1)-1-(tetrahydro-2H-pyran-4-y1)-3-[3-(trifluoromethy1)pheny1)-(CA IMDEX NAME)

- RN 1044997-07-0 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(2-oxazoly1)-4-piperidiny1]amino]-4-pyrimidiny1]-1-(tetrahydro-2H-pyran-4-y1)-3-[3-(trifluoromethy1)pheny1]-(CA INDEX NAME)

- RN 1044997-08-1 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(4-methyl-1-piperazinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1044997-09-2 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[[1-(4-ethyl-1-piperazinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1044997-10-5 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(2-oxo-1-pyrrolidiny1)-4-piperidiny1]amino]-4-pyrimidiny1]-1-(tetrahydro-2H-pyran-4-y1)-3-[3-(trifluoromethy1)pheny1]- (CA INDEX NAME)

- RN 1044997-11-6 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-([1,1'-bipiperidin]-4-ylamino)-4-pyrimidinyl]-1,3dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1044997-12-7 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(2-pyrimidinylaulfonyl)-4-pjeraidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044997-13-8 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(phenylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2Hpyran-4-yl)- (CA INDEX NAME)

- RN 1044997-14-9 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-[(1-methyl-1H-imidazol-5-yl)sulfonyl]-4-pjeridinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044997-15-0 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(2-pyridinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044997-16-1 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(2-pyrazinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044997-17-2 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(2-oxazolyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044997-18-3 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(4-methyl-1-piperazinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044997-19-4 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[[1-(4-ethyl-1-piperazinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-(CA INDEX NAME)

- RN 1044997-20-7 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(2-oxo-1-pyrrolidinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044997-21-8 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044998-19-7 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-4-[2-[[1-(2-pyrimidinylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044998-20-0 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-4-[2-[[1-(phenylsulforyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2Hpyran-4-yl)- (CA INDEX NAME)

- RN 1044998-21-1 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-4-[2-[[1-(2-pyridinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044998-22-2 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

RN 1044998-23-3 CAPLUS

CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-4-[2-[[1-(2-pyrazinyl)-4piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1044998-24-4 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044998-25-5 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-4-[2-[[1-(4-methyl-1-piperazinyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1048020-53-6 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[(1-(1H-pyrazol-5-yl)-4-pjeridinyl]amino|-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1048022-13-4 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(1H-pyrazol-3-yl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

```
ΤТ
     869220-92-8P, 3-(4-Fluorophenyl)-1-isopropyl-4-[2-[[1-(thien-2-
     vlsulfonvl)piperidin-4-vl]amino|pyrimidin-4-vl]-1,3-dihydro-2H-imidazol-2-
     one 869221-34-1P, 3-(4-Fluorophenvl)-1-isopropvl-4-[2-[[1-
     [(1,3,5-trimethylpyrazol-4-v1)sulfonyllpiperidin-4-v1]aminolpyrimidin-4-
     v11-1.3-dihydro-2H-imidazol-2-one monohydrochloride 869221-35-2P
     , 3-(4-Fluorophenyl)-1-isopropyl-4-[2-[[1-[(1,2-dimethylimidazol-5-
     v1)sulfony1]piperidin-4-v1]amino]pyrimidin-4-v1]-1,3-dihydro-2H-imidazo1-2-
     one monohydrochloride 869221-36-3P,
     3-(4-Fluorophenyl)-1-isopropyl-4-[2-[[1-[(3,5-dimethylisoxazol-4-
     v1) sulfonv1 piperidin-4-v1 amino pvrimidin-4-v1]-1,3-dihydro-2H-imidazol-2-
     one monohydrochloride 869221-37-4P,
     3-(4-Fluorophenyl)-1-isopropyl-4-[2-[[1-(phenylsulfonyl)piperidin-4-
     yl]amino]pyrimidin-4-yl]-1,3-dihydro-2H-imidazol-2-one monohydrochloride
     869221-38-5P, 3-(4-Fluorophenvl)-1-isopropvl-4-[2-[[1-[(naphthalen-
     2-v1)sulfonv1|piperidin-4-v1|amino|pyrimidin-4-v1|-1,3-dihydro-2H-imidazol-
     2-one monohydrochloride 869221-39-6P.
     3-(4-Fluorophenyl)-1-isopropyl-4-[2-[[1-[(pyridin-2-yl)carbonyl]piperidin-
     4-yl]amino]pyrimidin-4-yl]-1,3-dihydro-2H-imidazol-2-one monohydrochloride
     869222-25-3P, 3-(4-Fluorophenyl)-1-isopropyl-4-[2-[[1-(thien-2-
     vlsulfonyl)piperidin-4-yl]amino]pyrimidin-4-yl]-1,3-dihydro-2H-imidazol-2-
     one monohydrochloride
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of
        [(cycloalkylamino)pyrimidinyl]phenylimidazolinone derivs. as p38 MAP
```

[(cycloalkylamino)pyrimidinyl]phenylimidazolinone derivs. as p38 MAF kinase inhibitors for the treatment of inflammatory diseases)

RN 869220-92-8 CAPLUS CN 2H-Imidazol-2-one

2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[[1-(2-thienylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 869221-34-1 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[1-[(1,1,5-trimethyl-1H-pyrrazol-4-yl)suffonyl]-4-piperidinyl]amino]-4pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 869221-35-2 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[[1-[(1,2-dimethyl-lH-imidazol-5-y1)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

## ● HC1

- RN 869221-36-3 CAPLUS
- NO 30521-30-30 CAFRON (AF) (1-1-4) (3,5-dimethyl-4-isoxazolyl) sulfonyl]-4-piperidinyl]amino]-4-pytimidinyl]-3-(4-fiuorophenyl)-1,3-dihydro-1-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

# ● HCl

- RN 869221-37-4 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[1-(phenylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 869221-38-5 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[[1-(2-naphthalenylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 869221-39-6 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[1-(2-pyridinylcarbonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

# ● HC1

- RN 869222-25-3 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[[1-(2-thienylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

# HC1

- IT 775575-82-1, 3-(4-Fluorophenyl)-1-isopropyl-4-[2-(piperidin-4ylamino)pyrimidin-4-yl]-1,3-dihydro-2H-imidazol-2-one
  R1: RCT (Reactant); RACT (Reactant or reagent)
  (starting material; preparation of
  [(cycloalkylamino)pyrimidinyl]phenylimidazolinone derivs. as p38 MAP
  kinase inhibitors for the treatment of inflammatory diseases)
- RN 775575-82-1 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-(4-piperidinylamino)-4-pyrimidinyl]- (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L17 ANSWER 39 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
     2005:1154546 CAPLUS
AN
DN
     143:422365
     Preparation of diarylpyridazines and -pyrimidines as inhibitors of
     serine/threonine kinase (Akt kinase) activity.
PA
     Merck & Co., Inc., USA; Bilodeau, Mark T.; Chua, Peter C.; Cosford,
     Nicholas D. P.; Hoffman, Jacob M.; Nagasawa, Johnny Yasuo
SO
     PCT Int. Appl., 72 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                          KIND
                                 DATE
                                             APPLICATION NO.
                                                                      DATE
     WO 2005100344
                                 20051027
                                             WO 2005-US11687
PT
                           Δ1
                                                                      20050405
         W: AE, AG, AL, AM, AT, ALL AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
             SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
             ZM. ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     AU 2005233584
                           Δ1
                                 20051027
                                              AU 2005-233584
                                                                      20050405
     CA 2561311
                                                                       20050405
                           A1
                                 20051027
                                              CA 2005-2561311
                                              EP 2005-734336
     EP 1737843
                                 20070103
                                                                       20050405
                           A1
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
                                 20070404
                                              CN 2005-80011976
     CN 1942465
                          A
                                                                      20050405
     JP 2007532558
                           Т
                                 20071115
                                              JP 2007-507479
                                                                      20050405
     US 20080280889
                           A1
                                 20081113
                                             US 2006-547367
                                                                      20060928
     IN 2006DN06436
                           Α
                                 20070831
                                              IN 2006-DN6436
                                                                      20061101
PRAI US 2004-561167P
                           P
                                 20040409
     WO 2005-US11687
                          W
                                 20050405
     CASREACT 143:422365; MARPAT 143:422365
O.S.
AB
     Title compds. [I; X = N, Y = CH, or X = CH, Y = N; n = 0-4; p = 0-5; R1,
     R2 = H, (substituted) alkyl, alkoxy, alkylcarbonyl, alkoxycarbonyl,
     alkynyloxycarbonyl, aryl, aryloxy, arylcarbonyl, aryloxycarbonyl, CO2H,
     cyano, halo, OH, amino, aminocarbonyl, O, perfluoroalkyl, perfluoroalkoxy,
     etc.], were prepared Thus, title compound (II) was prepared in 3 steps from
     4-phenyl-3,6-dichloropyridazine, dimethylamine, 4-formylphenylboronic
     acid, and 2-(3-piperidine-4-vl-1H-pyrazol-5-vl)pyridine. Several I
     inhibited Akt1, Akt2, and/or Akt3 with IC50 ≤50 uM.
     868280-18-6P 868280-38-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (claimed compound; preparation of pyridazines and pyrimidines as inhibitors
of
        serine/threonine kinase)
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Serine/Chredithe kindse,

RN 868280-18-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-pheny1-4-[4-[4-[5-(2-pyridiny1)-1H-1,2,4-triazo1-3-y1]-1-piperidiny1]methy1]pheny1]-2-pyrimidiny1]amino]-,

## ethyl ester (CA INDEX NAME)

- RN 868280-38-0 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-phenyl-4-[4-[[4-[5-(2-pyridinyl)-1H-1,2,4-triazol-3-yl]-1-piperidinyl]methyl]phenyl]-2-pyrimidinyl]amino]-, ethyl ester, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)
  - CM
  - CRN 868280-18-6 CMF C37 H41 N9 O2

- CM
- CRN 76-05-1
- CMF C2 H F3 O2



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 40 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2005:1103779 CAPLUS AN
- 143:387011 DN
- TΙ Preparation of azaindoles as inhibitors of JAK and other protein kinases
- Salituro, Francesco; Farmer, Luc; Bethiel, Randy; Harrington, Edmund; IN Green, Jeremy; Court, John; Come, Jon; Lauffer, David; Aronov, Alex; Binch, Hayley; Boyall, Dean; Charrier, Jean-Damien; Everitt, Simon; Fraysse, Damien; Mortimore, Michael; Pierard, Françoise; Robinson, Daniel
- Vertex Pharmaceuticals Incorporated, USA; et al.
- SO PCT Int. Appl., 432 pp.
- CODEN: PIXXD2 DT Patent
- I.A
- English FAN.CNT 1

AB

			NO.			KIN	D	DATE							DATE					
PI	WO	2005	0954	00		A1 20051013							20050330							
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
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												JP,								
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
												sc,								
												US,							ZW	
		RW:										SL,								
												BE,								
												IT,								
									ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,		
			MR,	NE,	SN,	TD,	TG													
	AU	2005	2289	04		A1		2005	1013		AU 2	2005-	2289	04		2	0050	330		
	CA	2560	454			A1		2005	1013	AU 2005-228904 CA 2005-2560454 EP 2005-756052						20050330				
	EP	1/30	146			Al		2006	1213		EP 2	2005-	7560	52		- 2	0050	330		
		R:										ES,								
							LU,	MC,	NL,	PL,	PT,	, RO,	SE,	SI,	SK,	TR,	AL,	BA,		
		0007		LV,				0007				2005					0050	220		
	05	2007	0043	063		AI		2007	0222		05 2	2005-	9382	0 2 4 O		2	0050	330		
	CIN	1938 2005 2007	303 0002	60		A		2007	0328		DD 1	2005- 2005-	8001	0348		2	0050	330		
	DK	2003	0093	69		M.		2007	1100			2003- 2007-								
	MY	2007	D311	227		7		2007	1216		MV 1	2007-	J00J	227		2	0050	220		
	Thi	2006 2006 2006	EWIT.	062		7		2000	1213		TNI 1	2006-	DW100	52/		2	0061	002		
	NO	2006	0048	52		n n		2007	1024		NO 1	2006-	1852	JZ		2	0061	003		
	KB	2007	0075	42		Δ		2007	0300		KR 3	2006-	7227	62		2	nn61	030		
	.TP	2008	1563	70		Δ		2008	0710			2008-								
PRAI	IIS	2004 2004 2007	-557	503P		P		2000	0,10		01 2	2000	0054			-	0000	310		
- 1413	US	2004	-625	599P		P		2004	1105											
	JP	2007	-506	535		A.3		2005	0330											
	WO	2005	-US1	0846		W		2005	0330											
ΩS	CA	CREAC	т 14	3.38	7011	· мъ	PPAT	143	.387	011										

CASREACT 143:387011; MARPAT 143:387011

The title compds. I [R1 = TR', Si(R')3; R2-R4 = halo, CN, NO2, etc.; X1-X3 = N, CH (wherein the hydrogen atom of CH is optionally replaced by R5); x = 1-4; R5 = halo, CN, NO2, etc.; T = a bond, alkylidene, etc.; R' = H, alkyl, (hetero)cyclyl, etc.; with provisos] which are inhibitors of protein kinases, were prepared E.g., a multi-step synthesis of II, starting with 7-azaindole, was given. The compds. I were tested against JAK2, JAK3, ROCK and Aurora kinases (data given). The invention also provides pharmaceutical compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.

#### 10/552,317

IT 866545-69-9P 866545-70-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azaindoles as inhibitors of JAK and other protein kinases)

RN 866545-69-9 CAPLUS

CN 2-Pyrimidinamine, N-[1-(phenylmethyl)-4-piperidinyl]-4-(1H-pyrrolo[2,3-b)pyridin-3-vl)- (CA INDEX NAME)

RN 866545-70-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 41 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2005:1103772 CAPLUS AN
- 143:386909 DN
- TI Substituted thiophene derivatives as anti-cancer agents, and their preparation, pharmaceutical compositions, and use as inhibitors of PKB/Akt, PKA, and CDC7.
- Lin, Xiaodong; Rico, Alice; Zhou, Yasheen; Jefferson, Ann B.; Walter,
- Chiron Corporation, USA; Wang, Xiaojing Michael
- PCT Int. Appl., 245 pp. SO
- CODEN: PIXXD2 Patent
- DT T.A English
- FAN. CNT 1

FAN.	PA:	TENT						DATE				ICAT				D			
PI	WO 2005095386							2005	1013										
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
			SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
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								GR,											
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
				NE,															
		2005																	
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	EP						20061220			EP 2005-760186									
		R:						CZ,											
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		1989						2007				005-							
	BR	2005 2007	0082	30		A		2007	0717			005-							
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		2006										006-							
		2006										006-							
		2008						2008			US 2	008-	1293	67		2	0080	529	
PRAI		2004																	
		2005																	
	WO	2005	-US1	0690		W		2005	0330										

OS CASREACT 143:386909; MARPAT 143:386909

The invention relates to new substituted five-membered compds. I and their AB pharmaceutically acceptable salts, esters or prodrugs, compns. of the new compds. together with pharmaceutically acceptable carriers, and uses. In compds. I, A is N-containing heteroaryl with 5-6 ring atoms and 1-4 ring N atoms; n is 0-1; R is H, OH, (un)substituted (cyclo)alkyl, SO2R7 (R7 is C1-C5 alkyl or substituted alkyl), alkoxy, CO2H or esters, NO2, (un) substituted (hetero) aryl or heterocyclyl, acylamino, or acyl; R1 is (independently) halo, cyano, NO2, OH, SH, (un) substituted: NH2, alkoxy, (hetero)aryloxy, alkylthio, CONH2, acylamino, (hetero)aryl, heterocyclyl, or alkyl; m is 0-2; R2 and R4 are independently H, (un)substituted: cycloalkyl, heterocyclyl, (hetero)aryl, alk(en/yn)yl, alkoxy, OH, (di) (alkyl) amino; with the proviso that one R2 or R4 is H under some

circumstances; R3 is H, alkyl, and (un)substituted (C1-5 alkylene)p-2; Z is (un)substituted alkyl, alkylamino, (un)substituted alkoxy, cycloalkyl, (un)substituted heterocyclyl or (hetero)aryl; p is 0-1; Q is (un)substituted or thio-analogous CONH, CH2NH, NHCO, NHCOZ, NHCONH, OCONH, COZ, CH:CR, C.tplbond.C, SOZNH, or SONH; where QR3 and R4 may form an (un)substituted heterocyclic ring; W is O, S, SO, or SO2; with provisos, and including pharmaceutically acceptable salts, esters and/or prodrugs. Over 370 compds. I were prepared, and these compds. are claimed individually. The compds. are inhibitors of Akt, PKA, and CDC7 protein kinases (no data), and are thus useful in the treatment of cancer. For example, 5-acetyl-2-thiophenecarboxylic acid was activated with CDI and amidated with 4-fluorophenethylamine to give the corresponding amide. The acetyl group of the amide was converted to a vinylogous enamine using DMF di-Me acetal, and this was condensed with methylguanidine HCl to give invention compound II.

IT 866522-78-3P, N-[2-(2,4-Dichlorophenyl)ethyl]-5-[2-(pyridin-4ylamino)pyrimidin-4-yl]thiophene-2-carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted thiophene derivs. as PKB/Akt, PKA, and CDC7 inhibitors for treatment of cancer)

RN 866522-78-3 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-(2,4-dichlorophenyl)ethyl]-5-[2-(4-pyridinylamino)-4-pyrimidinyl]- (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 42 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN

2005:902688 CAPLUS

AN

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143:248403
DN
TI
     Preparation of 2-aminopyrimidinones for inhibiting hYAK3 proteins
    Hasegawa, Masaichi; Takada, Mio; Washio, Yoshiaki
IN
PA
     Smithkline Beecham Corporation, USA
SO
     PCT Int. Appl., 85 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                          KIND
                                  DATE
                                               APPLICATION NO.
                                                                         DATE
     WO 2005076854
                          A2
                                  20050825
                                              WO 2005-US2972
                                                                         20050203
PT
     WO 2005076854
                           A3
                                  20051222
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
         NO, NO, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM, SW, BM, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
              RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
              MR, NE, SN, TD, TG
     EP 1713793
                           A2
                                  20061025
                                               EP 2005-712420
                                                                         20050203
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS
     JP 2007520558
                           Т
                                 20070726 JP 2006-552188
                                                                       20050203
     US 20070117818
                           A1
                                  20070524
                                               US 2006-588527
                                                                         20060804
PRAI US 2004-542090P
                           P
                                  20040204
                           W
     WO 2005-US2972
                                  20050203
os
     CASREACT 143:248403; MARPAT 143:248403
AB
     The title compds. I [R1 = quinolinyl, benzodioxolanyl, benzimidazolyl,
     etc.; R2 = pyridyl, benzimidazolyl, indazolyl, etc.], useful for
     inhibiting hYAK3 proteins, were prepared E.g., a multi-step synthesis of I
     [R1 = quinolin-6-v1; R2 = (CH2)2NH2], starting from
     2,4,6-trichloropyrimidine and 6-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-
     yl)quinoline, was given. The compds. I were tested for their ability to
     inhibit the hYAK3 kinase (specific data were given for representative
     compds. I). The pharmaceutical composition comprising the compound I and
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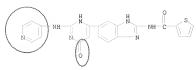
methods for treating diseases associated with the imbalance or inappropriate activity of hYAK3 proteins by administering an ED of compound I were disclosed.

863327-70-2P 863328-15-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses) (preparation of 2-aminopyrimidinones for inhibiting hYAK3 proteins)

RN 863327-70-2 CAPLUS

2-Thiophenecarboxamide, N-[6-[1,6-dihydro-6-oxo-2-(4-pyridinylamino)-4pyrimidinyll-1H-benzimidazol-2-vll- (CA INDEX NAME)



- RN 863328-15-8 CAPLUS
  CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-(4-pyridinylamino)- (9CI) (CA INDEX NAME)
- N NH NH

- L17 ANSWER 43 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2005:451383 CAPLUS AN
- DN 142:482041
- TΙ A preparation of bicyclic pyrazolone derivatives, useful as cytokine inhibitors
- IN Clark, Michael Philip; Laughlin, Steven Karl; Golebiowski, Adam; Brugel, Todd Andrew; Sabat, Mark
- PA The Procter & Gamble Company, USA
- SO PCT Int. Appl., 75 pp.
- CODEN: PIXXD2
- DT Patent English LA

FAN.			NΟ			KIN	n	DATE			7 DD	LICAT	TON :	NIO.		D	a TE			
PI	WO WO	WO 2005047287 WO 2005047287						2005 2005		WO	2004-		20041109							
		W:	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, BG, , EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			LK,	LR, NZ,	LS, OM,	LT, PG,	LU, PH,	LV, PL,	MA, PT,	MD, RO,	MG RU	, MK, , SC,	MN, SD,	MW, SE,	MX, SG,	MZ, SK,	NA, SL,	NI, SY,		
		RW:	BW, AZ,	GH, BY,	GM, KG,	KE,	LS, MD,	MW, RU,	ΜZ, TJ,	NA, TM,	SD	, SL,	SZ, BG,	TZ, CH,	UG, CY,	ZM, CZ,	ZW, DE,	AM, DK,		
			SE, NE,	SI, SN,	SK,	TR, TG	BF,	ВJ,	CF,	CG,	CI	, IT,	GA,	GN,	GQ,	GW,	ML,	MR,		
	AU	2004	2896	91		A1		2005	0526		AU	2004-	2896	91		2	0041	109		
	CA 2545781																			
	EP 1682551																			
		R:										, IT,								
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	HR, IS CN 1878772 JP 2007510739					т		2007					2004-80032839 2006-539725							
	P.D	2004	0163	5.8		Δ.		2007	0508		RD	2004-	1635	Ω		2	0041	109		
	SG	1474	76			A1		2008	1128		SG	2008-	8004	-		2	0041	109		
	KR	2006	0863	91		A		2006	0731		KR	2006-	7088	49		2	0060	508		
	KR	8351	52			B1		2008	0609											
	IN	2006	DN02	569		A		2007	0810		IN	2008- 2006- 2006-	DN25	69		2	0060	508		
	MX	2006	PAU5	209		A		2006	0/20		MX	2006-	PASZ	09		2	0060.	509		
		2006									NO	2006-	2639			2	0060	808		
PRAI		2003																		
		2004																		
os		SREAC									_									

AB

The invention relates to a preparation of 6,7-dihydro-5H-pyrazolo[1,2a]pyrazol-1-one derivs. of formula I [wherein: R is O(CH2)0-5-alkyl, NH2, or is O(CH2)0-5-aryl, etc.; R1 is (hetero)aryl; L is (CH2)0-2, (CH2)0-2-NH-(CH2)0-2, or (CH2)0-2-O-(CH2)0-2, etc.; R2 is H, (CH2)0-5-0-(CH2)0-5H, (CH2)0-5-NH2, or (CH2)0-5-CO2H, etc.; Z is O, S, NH, or N(alkyl), etc.] which inhibit the extracellular release of inflammatory cytokines. For instance, pyrazolone derivative II [R3 = NHCH(Me)CH2OMe] was prepared via heterocyclization of ketoester III with pyrazolidine dihydrochloride, S-oxidation of the obtained pyrazolopyrazole derivative II (R3 = SMe), and subsequent amination of the obtained methanesulfonylpyrimidine derivative II (R3 = SO2Me) by

#### 10/552,317

(S)-1-methoxy-2-propylamine (the yield of the heterocyclization step was 10%). The preferred invention compds. exhibited activities (IC50) at a level below 1  $\mu$ M.

T 1044958-03-3 1044958-06-6 1044958-11-3 1044958-16-8 1044958-19-1 1044958-24-8 1044958-50-0 1044958-57-7 1044958-61-3

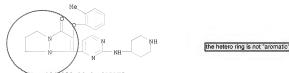
1044958-67-9 1044958-69-1 1044958-96-4 RL: PRPH (Prophetic)

(A preparation of bicyclic pyrazolone derivatives, useful as cytokine inhibitors)

RN 1044958-03-3 CAPLUS

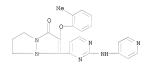
CN (1H,5H-Pyrazolo[1,2-a]pyrazol-1-one,

6,7-dihydro-2-(2-methylphenoxy)-3-[2-(4-piperidinylamino)-4-pyrimidinyl]-(CA\_INDEX\_NAME)



RW 1044958-06-6 CAPLUS CN 1H.5H-Pyrazolo[1,2-a]pyr

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 6,7-dihydro-2-(2-methylphenoxy)-3-[2-(4-pyridinylamino)-4-pyrimidinyl]-(CA INDEX NAME)



RN 1044958-11-3 CAPLUS CN 1H.5H-Pyrazolo[1.2-a

1 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one,
2-(2-chlorophenoxy)-6,7-dihydro-3-[2-(4-piperidinylamino)-4-pyrimidinyl](CA INDEX NAME)

- RN 1044958-16-8 CAPLUS
- CN 1H,5H-Pyrazolo[1,2-a]pyrazol-l-one,
  2-(4-fluorophenoxy)-6,7-dihydro-3-[2-(4-piperidinylamino)-4-pyrimidinyl](CA INDEX NAME)

- RN 1044958-19-1 CAPLUS
- CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 2-(4-fluorophenoxy)-6,7-dihydro-3-[2-(4-pyridinylamino)-4-pyrimidinyl]-(CA INDEX NAME)

- RN 1044958-24-8 CAPLUS
- CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 2-(2-chlorophenoxy)-6,7-dihydro-3-[2-(4-pyridinylamino)-4-pyrimidinyl]-(CA INDEX NAME)

- RN 1044958-50-0 CAPLUS
- CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one,
  6,7-dihydro-2-[(2-methylphenyl)methyl]-3-[2-(4-piperidinylamino)-4pyrinidinyl]- (CA INDEX NAME)

- RN 1044958-57-7 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044958-61-3 CAPLUS
- CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 2-[(2-chlorophenyl)methyl]-6,7-dihydro-3-[2-(4-pyridinylamino)-4pyrimiddinyl]- (CA INDEX NAME)

- RN 1044958-67-9 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1044958-69-1 CAPLUS
- CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one,

2-[(4-fluoropheny1)methy1]-6,7-dihydro-3-[2-(4-pyridinylamino)-4-pyrimidinyl]- (CA INDEX NAME)

- RN 1044958-96-4 CAPLUS
- CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one,
  6,7-dihydro-2-[(2-methylphenyl)methyl]-3-[2-(4-pyridinylamino)-4pyrimidinyl]- (CA INDEX NAME)

- L17 ANSWER 44 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2005:395300 CAPLUS AN
- 142:430277 DN
- TI Novel imidazole derivatives, their preparation and use as anticancer agents
- IN Honold, Konrad; Scheiblich, Stefan; Von Hirschheydt, Thomas; Voss, Edgar PA F. Hoffmann-La Roche A.-G., Switz.
- SO PCT Int. Appl., 56 pp.
- CODEN: PIXXD2
- DT Patent

LA		glish					/											
FAN.	PAT	1 TENT I	NO.					DATE						DATE				
PI		2005				A1		2005				2004-1						
		W:	AE,	AG,	AL,	AM,	ÀT,	AU,	AZ,	/ва,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
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	US	2005	0113	342		A1		2005	0526		US 2	2004-	9619	07		2	0041	012
		7169						2007	0130									
		2004										2004-						
												2004-						
	EP											2004-						
		R:										IT,			NL,	SE,	MC,	PT,
												HU,						
	CN	1867	563			A						2004-						
	BR	2004	0155	25		A		2006	1226		BR 2	2004-	1552	5		2	0041	
		2007										2006-					0041	
												2006-1						
											KR 2	2006-	7073	35		2	0060	417
	KR	7969	71			B1		2008	0122									

os CASREACT 142:430277; MARPAT 142:430277

A

A

W

IN 2006CN01307

WO 2004-EP11598

PRAI EP 2003-23677

AB The invention relates a group of novel imidazole derivs. I, which are inhibitors of tyrosine kinases. In compds. I, K and L are independently selected from H, halo, alkyl, OH, and alkoxy; X is H, OH, alkoxy, mercapto, alkylthio, etc.; Y is (un) substituted aryl or heteroaryl; Z is halo, OH, alkoxy, allyloxy, alkyl, methylthio, etc.; and n is 1 or 2. The invention also relates to the preparation of I, pharmaceutical compns.

IN 2006-CN1307 20060417

20070629

20031017

20041015

containing

one or more compds. I as active ingredients, as well as to the use of the compns. for the treatment of disorders mediated by c-met or src tyrosine kinases, such as cancer. II, formed by the substitution of the Weinreb-amide of 3-chlorobenzoyl chloride with lithiated 4-methyl-2-(methylthio)pyrimidine, was converted to the  $\alpha$ -keto oxime with nitrite. The oxime underwent cyclization with III (prepared by silylation of 3,5-dichlorobenzyl alc. followed by formylation and

desilylation) in the presence of NH4OAc to form N-hydroxyimidazole IV. IV was reduced to give the corresponding NH-imidazole and then oxidized to convert the methylthio group into a methylsulfonyl group, which then underwent substitution with  $4-[2-(\mathrm{diethylamino})$ ethoxylaniline to give imidazole V. The compds. of the invention are inhibitors of src and C-met tyrosine kinases, and compound V has IC50 values of 0.5 nM and 4 nM, resp. 850919-112-99,  $2-(2.6-\mathrm{Dichlorophenyl})-4-(4-\mathrm{chlorophenyl})-5-[2-(4-$ 

pyridinylamino)pyrimidin-4-yl]-imidazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of imidazole derivs. and their use as anticancer agents)

RN 850919-12-9 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-chlorophenyl)-2-(2,6-dichlorophenyl)-1H-imidazol-5-yl]-N-4-pyridinyl- (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

APPLICATION NO.

DATE

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L17 ANSWER 45 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN AN 2005:300435 CAPLUS
```

DN 142:373859

- TI Preparation of pyrimidine and pyridine derivatives useful as HMG-CoA reductase inhibitors
- IN Ahmad, Saleem; Robl, Jeffrey A.; Ngu, Khehyong

KIND DATE

- PA Bristol-Myers Squibb Company, USA
- SO PCT Int. Appl., 103 pp.

PATENT NO.

- CODEN: PIXXD2
- DT Patent
- LA English FAN.CNT 1

ΡI								2005									0040	922	
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
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				TD,										- ~ .					
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	US	7371	759			B2		2008	0513										
	EP	1667	997			A1		2006	0614		EP 2	004-	7848	85		2	0040	922	
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			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
PRAI	US	2003						2003											
	WO	2004	-US3	1212		W		2004	0922										
os	CAS	REAC	T 14	2:37	3859	; MAI	RPAT	142	:373	859									
AB	Tit	le c	ompd	s. I	[X :	= N,	CR5	; R1	-2 =	Н,	alky.	1, a	lkox	yalk	y1,	etc.	; R3	=	
	(he	tero	)ary	1, c	yclo	alky.	1, e	tc.;	R4 :	= H,	(cy	clo)	alky	1, h	aloa	lkyl	, et	c.;	R5
																			repared
	in	5 st	eps	from	a s	ubst.	itut	ed p	yrim.	idin	e,								
	2-m	ethy	1-2H	-[1,	2,4]	tria	zol-	3-y1	amin	e, a	nd a	pri	or a	rt h	omoc	hira	l di	hydr	oxy
	ace	toni	de d	eriv	ativ	e I	are	HMG	-CoA	red	ucta	se i	nhib	itor	s an	d ar	e ac	tive	in
	inh	ibit	ing	chol	este	rol 1	bios	vnth	esis	, mo	dula	ting	blo	od s	erum	lip	ids,	for	
	exa	mple	, lo	weri	ng L	DL cl	hole	ster	ol a	nd/o	r in	crea	sing	HDL	cho	lest	erol	, an	d
											horm								

Alzheimer's disease and osteoporosis [no data]. IT 849469-93-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine and pyridine derivs. useful as HMG-CoA reductase inhibitors)

hypercholesterolemia, hypertriglyceridemia and atherosclerosis as well as

RN 849469-93-8 CAPLUS

N 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6-(1-methylethyl)-2-(4-pyridinylamino)-5-pyrimidinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

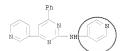
Absolute stereochemistry.

Double bond geometry as shown.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

### 10/552,317

- L17 ANSWER 46 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2005:130195 CAPLUS AN
- 142:373790 DN
- TΙ One-pot synthesis of polysubstituted pyrimidines
- AU Kiselyov, Alexander S.
- Chemical Diversity, Small Molecule Drug Discovery, San Diego, CA, 92121, USA
- Tetrahedron Letters (2005) 46(10), 1663-1665 SO
- CODEN: TELEAY; ISSN 0040/4039
- PB Elsevier B.V.
- DT Journal
- LA English
- OS. CASREACT 142:373790
- AR A series of polysubstituted pyrimidines, e.g., I, were synthesized from in situ generated  $\alpha, \beta$ -unsatd. imines and the corresponding amidine or quanidine derivs. in a convenient one-pot procedure. The pyrimidines were obtained in good yields.
- ΙT 849589-54-4P
  - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of polysubstituted pyrimidines via addition of alkylphosphates
- t o arylcarbonitriles followed by olefination with arylaldehydes and heterocyclization with amidines or quanidines)
- 849589-54-4 CAPLUS RN
- CN 2-Pyrimidinamine, 4-phenyl-6-(3-pyridinyl)-N-4-pyridinyl- (CA INDEX NAME)



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 47 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:71173 CAPLUS
- DN 142:176866
- TI Preparation of biaryl piperazinyl-pyridine analogues as capsaicin receptor modulators
- IN Bakthavatchalam, Rajagopal; Blum, Charles A.; Brielmann, Harry; Chenard, Bertrand L.; De Lombaert, Stephane; Hodgetts, Kevin J.; Hutchison, Alan; Yoon, Taeyoung; Zheng, Xiaozhang
- PA Neurogen Corporation, USA SO PCT Int. Appl., 381 pp.
- CODEN: PIXXD2
- DT Patent
- LA English FAN.CNT 1

	PA:	TENT :	NO.			KIN	D	DATE			APPLICATION NO.									
PI		WO 2005007648 WO 2005007648											4-US23064				20040716			
		W: AE, AG, AL, CN, CO, CR, GE, GH, GM, LK, LR, LS, NO, NZ, OM,																		
												, UZ,								
		RW:										, SL,								
												, BE,								
												, GA,								
				TD,										- ~,						
		A 2531619						20050127		AU 2004-257289										
																20040716				
	EP	1644358 R: AT, BE, CH,										004-7785				0040				
		к:															MC,	Р1,		
	CN	1823								TR, BG, CZ, EE, HU, F CN 2004-80020350										
		20070027155														20040716				
	JP	P 2007534624					T 20071129				JP 2006-520390									
PRAI	US	2003	-488	564P		P 200307														
		2003																		
0.0		2004				W		2004		0.00										

- OS CASREACT 142:176866; MARPAT 142:176866
- AB The title compds. I [Ar2 = (un)substituted Ph, 6-membered aromatic heterocycle; X, Y, Z = CRx, N (at least one of X, Y and Z = N); K, J, F = N, CH or carbon substituted with R1; Rx = H, alkyl, NH2, CN, mono or dialkylamino; R1 = halo, OH, NH2, CN, etc.; R3 = H, halo, phenylalkyl, cycloalkylalkyl, etc.; R4 = H, alkyl, haloalkyl, oxol, useful for treating conditions related to capsaicin receptor activation, were prepared E.g., a 2-step synthesis of II, starting from 2,4,6-trichloropyrimidine and morpholine, was given. The compds. I were evaluated for agonist and antagonist capsaicin receptor activity (data given). Pharmaceutical compns. and methods for using compds. I to treat disorders related to capsaicin receptor activation (pain, asthma, etc.), are provided, as are methods for using such ligands, for receptor localization studies.
  - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biaryl piperazinyl-pyridine analogs as capsaicin receptor modulators)  $\,$ 

- RN 833468-31-8 CAPLUS
- CN 2-Pyrimidinamine, 4-(3-chloro-4-fluorophenyl)-N-methyl-N-(1-methyl-4piperidinyl)-6-[4-[3-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]-(CA INDEX NAME)

not prior

no 102(e) date

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L17 ANSWER 48 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
AN
     2004:1127326 CAPLUS
DN
     142:74593
     Preparation of pyrimidinyl imidazothiazoles and imidazooxazoles as
     inhibitors of p38
     Ashwell, Mark; Ali, Syed; Liu, Jifeng; Liu, Yanbin; Lohse, Peter;
     Mekonnen, Belew; Selliah, Robert; Tandon, Manish; Wrona, Woi
PΑ
     Argule, Inc., USA
     PCT Int. Appl., 110 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                           KIND
                                   DATE
                                                APPLICATION NO.
     PATENT NO.
                                                                          DATE
PΙ
     WO 2004110990
                            A2
                                    20041223
                                                WO 2004-US15368
                                                                          20040514
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                            A3
                                   20050324
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004247626 A1 20041223 AU 2004-247626 20040514 CA 2526285 Α1 20041223 CA 2004-2526285 20040514 EP 1633758 20060315 EP 2004-752390 A2 20040514 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK CN 1823072 Α 20060823 CN 2004-80019855 20040514 JP 2006528983 Т 20061228 JP 2006-533130 20040514 MX 2005PA12377 Α 20060525 MX 2005-PA12377 20051115 IN 2005-DN5250 IN 2005DN05250 Α 20071130 20051116 US 20070270418 A1, 20071122 US 2007-556161 20070419

20030515

20031017

20040514

P

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PRAI US 2003-470735P WO 2004-US15368 MARPAT 142:74593 O.S. AB

US 2003-512298P

In general, the present invention relates to compds. I [X = O, S(O)m; Y = OR4, NR4R5; m = 0-2; n = 1-2; R1 = H, CN, CO2H, halo, etc.; Ar = aryl; R3 = H, halo, NH2, etc.; R4, R5 = H, alkyl, aryl, etc.; or NR4R5 = heterocyclic ring; with the provisos] capable of inhibiting p38, methods for inhibiting p38 in vivo or in vitro, and methods for treating conditions associated with p38 activity or cytokine activity. E.g., a multi-step synthesis of II, starting from cyanamide and 2-hydroxyacetaldehyde, was given. The compds. I were tested for their biol. activity in various tests. Thus, it was found that compds. I inhibit ATF2 phosphorylation by p38 MAP kinase in vitro (specific data were given for over 300 compds. I). Also, the compds. I inhibit the release of TNFα, IL-1β or both in in vitro assay (data given). The compds. I were tested in the collagen-induced model of arthritis in rats and showed dose-dependent inhibition of clin. and hostopatol. parameters of arthritis, including inflammation and bone damage (data

given for representative compds. I). The pharmaceutical composition comprising the compound  ${\tt I}$  is disclosed.

T 956026-85-0 1066555-66-5

RL: PRPH (Prophetic)

(Preparation of pyrimidinyl imidazothiazoles and imidazooxazoles as inhibitors of p38)

RN 956026-85-0 CAPLUS

CN 2-Pyrimidinamine, 4-[6-(2-methylphenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

RN 1066555-66-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

IT 815594-66-2P 815595-25-6P 815595-26-7P 815595-27-8P 815595-29-0P 815595-31-4P

815595-32-5P 815595-33-6P 815595-34-7P 815595-35-8P 815595-36-9P 815595-44-9P 815595-45-0P 815595-49-4P 815595-53-0P

815595-54-1P 815595-56-3P 815595-57-4P 815595-58-5P 815595-59-6P 815595-60-9P

815595-61-0P 815595-62-1P 815595-63-2P 815595-64-3P 815595-65-4P 815595-66-5P 815595-67-6P 815596-58-8P 815596-73-7P

815596-92-0P 815596-93-1P 815596-94-2P 815596-95-3P 815596-96-4P 815597-01-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidinyl imidazothiazoles and imidazooxazoles as inhibitors of p38)

RN 815594-66-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-

5-y1]-2-pyrimidiny1]amino]-, ethy1 ester (CA INDEX NAME)

- RN 815595-25-6 CAPLUS
- CN Ethanone, 1-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 815595-26-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 815595-27-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-4piperidinyl- (CA INDEX NAME)

RN 815595-29-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-amine, N-[4-[6-(4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]-8-methyl-, (3-endo)- (CA INDEX NAME)

## Relative stereochemistry.

RN 815595-31-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(2,4-difluorophenyl)imidazo[2,1b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 815595-32-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(2-chloro-4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX

NAME)

- RN 815595-33-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2,4-difluorophenyl)imidazo[2,1-b]oxazol-5-y1]-N-4piperidinyl- (CA INDEX NAME)

- RN 815595-34-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-chloro-4-fluorophenyl)imidazo[2,1-b]oxazol-5-y1]-N-4-piperidinyl- (CA INDEX NAME)

- RN 815595-35-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(2-chlorophenyl)]imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 815595-36-9 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(2-methylphenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 815595-44-9 CAPLUS
- CN 8-Azabicyclo[3.2.1]octan-3-amine, N-[4-[6-(2-chloro-4fluorophenyl)imidaco[2,1-b]oxazol-5-yl]-2-pyrimidinyl]-8-methyl-, (3-endo)- (CA INDEX NAME)

- RN 815595-45-0 CAPLUS
- CN 8-Azabicyclo[3.2.1]octan-3-amine, N-[4-[6-(2-chlorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2-pyrimidinyl]-8-methyl-, (3-endo)- (CA INDEX NAME)

- RN 815595-49-4 CAPLUS
- CN Ethanone, 1-[4-[6-(2-chlorophenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 815595-53-0 CAPLUS
- CN Ethanone, 1-[4-[[4-[6-(2-methylphenyl)imidazo[2,1-b]oxazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 815595-54-1 CAPLUS
  - CN Ethanone, 1-[4-[[4-[6-[3-(trifluoromethyl)phenyl]imidazo[2,1-b]oxazo1-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 815595-56-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-methylphenyl)imidazo[2,1-b]oxazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 815595-57-4 CAPLUS
- CN Ethanone, 1-[4-[[4-[6-(2-chloro-4-fluorophenyl)imidazo[2,1-b]oxazol-5-y1]-2-pvrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 815595-58-5 CAPLUS
- CN 8-Azabicyclo[3.2.1]octan-3-amine, 8-methyl-N-[4-[6-[3-(trif1uoromethyl])phenyl]imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]-, (3-endo)- (CA INDEX NAME)

RN 815595-59-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[6-[3-(trifluoromethyl]phenyl]imidacz[2,1-b]oxazol-5-yl]-2-pyrimidinyl]amino]-, 1,1-d-dimethylethyl ester (CA INDEX NAME)

RN 815595-60-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-amine, N-[4-[6-(2,4-difluorophenyl)imidazo[2,1b]oxazol-5-yl]-2-pyrimidinyl]-8-methyl-, (3-endo)- (CA INDEX NAME)

RN 815595-61-0 CAPLUS

CN 2-Pyrimidinamine, N-4-piperidinyl-4-[6-[3-(trifluoromethyl)phenyl]imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

RN 815595-62-1 CAPLUS

CN 2-Pyrimidinamine, 4-[6-(2-chlorophenyl)imidazo[2,1-b]oxazol-5-yl]-N-4piperidinyl- (CA INDEX NAME)

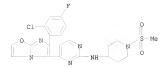
RN 815595-63-2 CAPLUS

CN 2-Pyrimidinamine, N-[1-(methylsulfonyl)-4-piperidinyl]-4-[6-[3-(trifluoromethyl)phenyl]imidazo[2,1-b]oxazol-5-yl]- (CA INDEX NAME)

- RN 815595-64-3 CAPLUS
- CN Ethanone, 1-[4-[6-(2,4-difluorophenyl)imidazo[2,1-b]oxazo1-5-y1]-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 815595-65-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-chloropheny1)imidazo[2,1-b]oxazol-5-y1]-N-[1-(methylsulfony1)-4-piperidiny1]- (CA INDEX NAME)

- RN 815595-66-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-chloro-4-fluorophenyl)imidazo[2,1-b]oxazol-5-yl]N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)



RN 815595-67-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-amine, 8-methyl-N-[4-[6-(2-methylphenyl)imidazo[2,1-b]oxazol-5-yl]-2-pyrimidinyl]- (CA INDEX NAME)

RN 815596-58-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 815596-73-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazo[-5-y1]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 815596-92-0 CAPLUS
- CN Methanone, (4-fluorophenyl)[4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

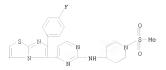
- RN 815596-93-1 CAPLUS
- CN Ethanone, 1-[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2pyrimidinyl]amino]-1-piperidinyl]- (CA INDEX NAME)

- RN 815596-94-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 815596-95-3 CAPLUS
- CN 1-Piperidinecarboxamide, N-ethyl-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 815596-96-4 CAPLUS
- CN 1-Piperidinecarboxamide, N-(4-fluorophenyl)-4-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 815597-01-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-N-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

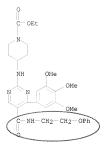


- L17 ANSWER 49 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:1059317 CAPLUS
- DN 142:23305
- TI Preparation of trisubstituted heteroaromatic compounds as calcium sensing receptor modulators
- IN Yang, Wu; Dickson, John K.; Cooper, Christopher B.; Dodd, Dharmpal S.; Ruan, Zheming; Schnur, Dora M.
- PA Bristol-Myers Squibb Company, USA
- SO PCT Int. Appl., 83 pp.
- CODEN: PIXXD2
- DT Patent LA English
- LA English

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						A3					2001 0010/13										
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,			
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,			
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			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,			
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			SN,	TD,	TG																
	US	2005	A1		20050106			US 2	004-		20040526										
	US	7459	B2		2008	1202															
PRAT	US	2003	-473	904P		P		2003	0528												

PRAI US 2003-473904P P 20030528 OS CASREACT 142:23305; MARPAT 142:23305

- AB Title compds. I [X = C, N; A, B = CH, N and A and B cannot both be CH; R1 = ArL; R2 = H, alkyl or R1 and R2 can be joined to form a cycloheteroalkyl ring; Ar = (hetero)aryl; L = linking group; R3, R4, R6 = H, alkyl, cycloalkyl, etc.; R4 = alkyl, cycloalkyl, atkenyl, alkynyl, etc.; R7 = alkyl, cycloalkyl, etc.; R8 = H, alkyl or R7 and R8 can be joined together to form a 4-7 membered cycloheteroalkyl ring] are prepared For instance, II is prepared in 5 steps from pyrazole-1-carboxyimidine and benzylmethylamine. I are calcium-sensing receptor modulators; they are useful for the treatment of diseases associated with abnormal bone or mineral homeostasis.
- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
  - (preparation of trisubstituted heteroarom. compds. as calcium sensing receptor modulators)
- RN 802915-97-5 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[5-[[(2-phenoxyethyl)amino]carbonyl]-4-(3, 4, 5-trimethoxyphenyl)-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)



## 10/552,317

- L17 ANSWER 50 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2004:878380 CAPLUS AN
- 141:379931 DN
- TΙ Preparation of aminopyrimidines as IKK inhibitors for treating autoimmune diseases and inflammations
- IN Bollbuck, Birgit; Denholm, Alastair; Eder, Joerg; Hersperger, Rene; Janser, Philipp; Revesz, Laszlo; Schlapbach, Achim; Waelchli, Rudolf
- PA Novartis Ag, Switz.; Novartis Pharma G.m.b.H.
- SO PCT Int. Appl., 217 pp.

Applicant's CODEN: PIXXD2

DT Patent

T.A English FAN.CNT 1

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PI	WO	2004089913							A1 20041021						WO 2004-EP3819							
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE.	KG,	KP,	KR.	KZ,	LC,				
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			IE, SI, LT																HR			
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	JP				T	T 20061005 A1 20070222				JP 2006-505087 US 2005-552317						20040408 20051007						
	US				A1				<													
	IN					A		2007	0831		IN 2005-CN2563											
PRAI	GB	2003	-846	6		A	A 20030411															
	WO	2004	-EP3	819		W		2004	0408													

OS MARPAT 141:379931

AB

Title compds. I [wherein R1 = H, (un)substituted lower alkyl, aryl, heterocycloalkyl, etc.; R2 = (un)substituted aryl, wherein aryl is not 4-(4-fluorophenyl)-1(1-methylpiperdin-4-yl)imidazole; each R3, R4 = independently H, CN, halo, OH, lower alkoxy, (un) substituted lower alkyl; X = CR6R7; Y = CR8R9; Z = CR10R11; W = CR12R13; each R6 to R13 = independently H, (un) substituted lower alkyl, lower alkoxy, CH20-NH2, etc.; wherein at least one of R6 to R13 is not equal to H; any pair of R6 to R13 are joined together to form an (un)substituted C1 to C4 bridge in which one or more of the bridge atoms is optionally replaced by O, S, NH and derivs.; their pharmaceutically acceptable salts, esters or prodrugs] were prepared as inhibitors of IKK protein kinase (IKK) and production of tumor necrosis factor- $\alpha$  (TNF- $\alpha$ ). For e.g., a 3-step synthesis of II was given. I showed IC50 values range of 20 to 1,000 nM in the IKB kinase activity assay. I, at 30 mg/kg p.o., i.v. or s.c., inhibited  $TNF-\alpha$  production to the extent of up to about 50% or more in LPS stimulated mice. I are useful as immunosuppressants and antiinflammatory

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agents.
778643-81-5P, [4-[5-(3-Amino-3-methylbut-1-vnvl)thiophen-2-
yl]pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-yl)amine
778644-21-6P, 1-[5'-[5-Methyl-2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl][2,2']bithiophenyl-5-yl]ethanone
778644-27-2P, 1-[4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-
v1)amino|pvrimidin-4-v1|thiophen-2-v1|phenv1|ethanone O-methvloxime
778644-31-8P, 4-[5-[5-Bromo-2-[(2,2,6,6-tetramethylpiperidin-4-
v1)aminolpyrimidin-4-v1lthiophen-2-v1lbutyric acid methyl ester
778644-36-3P, [4-[5-[[[2-(Piperidin-1-
v1)ethy1]amino]methy1]thiophen-2-y1]pyrimidin-2-v11(2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-44-3P,
N-[[5'-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-
[2,2']bithiophenyl-5-yl]methyl]methanesulfonamide 778644-54-5P,
[4-[5-(1-Aminocyclohexylethynyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-62-5P,
3-[[[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vl]thiophen-2-vl]methyl]amino]propionitrile 778644-71-6P,
[4-[5-(2-Aminoethyl)thiophen-2-v1]pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778644-72-7P.
[4-(4.5.6.7-Tetrahydrobenzo[b]thiophen-2-v1)pyrimidin-2-v1](2.2.6.6-
tetramethylpiperidin-4-vl)amine 778644-79-4P.
1-[4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-y1)aminolpyrimidin-4-
vllthiophen-2-vllphenvllethanone 778644-81-8P.
[4-(5-Chlorothiophen-2-v1)pyrimidin-2-v1](2,2,6,6-tetramethylpiperidin-4-
yl)amine 778644-82-9P, 4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-
vl)amino]pyrimidin-4-yl]thiophen-2-yl]butyric acid methyl ester
778644-88-5P, 1-[5'-[2-[(2,2,6,6-Tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]-[2,2']bithiophenyl-5-yl]ethanone
778644-90-9P, [5-[2-[(2,2,6,6-Tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thiophen-2-yl]methanol 778644-92-1P,
4-[5-[2-](2,2,6,6-Tetramethylpiperidin-4-vl)aminolpyrimidin-4-vl]thiophen-
2-y1]butan-1-ol 778644-95-4P,
3-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-y1]benzonitrile 778645-08-2P,
[4-[5-(Aminomethyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778645-09-3P,
[4-[5-(4-Aminomethylphenyl)thiophen-2-v1]pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778645-16-2P,
3-[3-Methyl-5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vllthiophen-2-vllpropionic acid methyl ester 778645-20-8P.
1-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-y1]pentan-3-one 778645-21-9P,
1-Phenyl-3-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
v1]thiophen-2-v1]propan-1-one 778645-25-3P,
[4-(Benzo(b)thiophen-2-v1)pyrimidin-2-v1](1-benzylpiperidin-4-v1)amine
778645-26-4P, [4-(Benzo[b]thiophen-2-v1)pvrimidin-2-v1](piperidin-
4-v1)amine 778645-27-5P.
3-[4-[[4-(Benzo[b]thiophen-2-yl)pyrimidin-2-yl]amino]piperidin-1-
vl]propionitrile 778645-28-6P,
[4-(6-Methoxybenzo[b]thiophen-2-v1)pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778645-29-7P.
2-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
yl]benzo[b]thiophen-6-ol 778645-32-2P,
[4-(6-(Oxiranylmethoxy)benzo[b]thiophen-2-yl)pyrimidin-2-yl](2,2,6,6-
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[4-(6-Methoxybenzo[b]thiophen-2-y1)-5-methylpyrimidin-2-y1](2,2,6,6-

tetramethylpiperidin-4-vl)amine 778645-34-4P,

3-[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]phenyl]propionic acid methyl ester 778645-65-1P.

tetramethylpiperidin-4-v1)amine 778645-61-7P,

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3-[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vl]phenvl]propan-1-ol 778645-68-4P,
4-[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vl]phenvl]butvronitrile 778645-70-8P,
4-[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1)amino]pyrimidin-4-
vllphenvllbutan-2-one 778645-84-4P,
1-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indole-3-
carbonitrile 778645-86-6P,
1-[2-[(2,2,6,6-\text{Tetramethylpiperidin}-4-y1)]\\ \text{amino]}\\ \text{pyrimidin}-4-y1]-1\\ \text{H-indole}-4-y1]
carbonitrile 778645-95-7P,
[4-(6-Methoxy-1H-indol-3-y1)pyrimidin-2-y1](2,2,6,6-tetramethylpiperidin-4-
yl)amine 778645-97-9P, [4-(7-Methoxy-1H-indol-3-yl)pyrimidin-2-
y1](2,2,6,6-tetramethylpiperidin-4-y1)amine 778646-16-5P,
[4-(7-Nitro-1H-indol-3-yl)pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-
v1) amine 778646-30-3P, (E)-4-[5-Fluoro-3-[2-[(2,2,6,6-
tetramethylpiperidin-4-v1)amino|pyrimidin-4-v1]-1H-indol-6-v1]-2-methylbut-
3-en-2-ol 778646-31-4P, (E)-3-[5-Fluoro-3-[2-[(2,2,6,6-
tetramethylpiperidin-4-vl)aminolpyrimidin-4-vl]-1H-indol-6-
vllacrylonitrile 778646-32-5P.
(E)-4-[5-Fluoro-3-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
v1]-1H-indol-7-v1]-2-methylbut-3-en-2-o1 778646-33-6P,
(E)-3-[3-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-
indol-6-vllacrylonitrile 778646-34-7P,
[4-[6-[(E)-2-(Imidazol-1-yl)ethenyl]-1H-indol-3-yl]pyrimidin-2-yl](2,2,6,6-indol-3-yl)
tetramethylpiperidin-4-yl)amine 778646-35-8P,
(E) -3-[3-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-
indol-7-yl]acrylonitrile 778646-36-9P,
(E)-N, N-Dimethyl-3-[3-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]-1H-indol-7-yl]-2-propenamide 778647-25-9P
, [3-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indol-
7-vllamine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
   (IKK inhibitor; preparation of aminopyrimidines as inhibitors of TNF-α
   production for treating autoimmune diseases and inflammations)
778643-81-5 CAPLUS
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RN 778644-21-6 CAPLUS

RN

CM

CN Ethanone, 1-[5'-[5-methyl-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl][2,2'-bithiophen]-5-yl]- (CA INDEX NAME)

2-Pyrimidinamine, 4-[5-(3-amino-3-methyl-1-butyn-1-yl)-2-thienyl]-N-

(2,2,6,6-tetramethyl-4-piperidinyl) - (CA INDEX NAME)

- RN 778644-27-2 CAPLUS
- CN Ethanone, 1-[4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]phenyl]-, O-methyloxime (CA INDEX NAME)

- RN 778644-31-8 CAPLUS
- CN 2-Thiophenebutanoic acid, 5-[5-bromo-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)

- RN 778644-36-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[[[2-(1-piperidinyl)ethyl]amino]methyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-44-3 CAPLUS
- CN Methanesulfonamide, N-[[5'-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl][2,2'-bithiophen]-5-yl]methyl]- (CA INDEX NAME)

- RN 778644-54-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[2-(1-aminocyclohexyl)ethynyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-62-5 CAPLUS
- CN Propanenitrile, 3-[[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]-2-thienyl]methyl]amino]- (CA INDEX NAME)

- RN 778644-71-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(2-aminoethy1)-2-thieny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778644-72-7 CAPLUS
- CN 2-Pyrimidinamine, 4-(4,5,6,7-tetrahydrobenzo[b]thien-2-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-79-4 CAPLUS
- CN Ethanone, 1-[4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]phenyl]- (CA INDEX NAME)

- RN 778644-81-8 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-chloro-2-thienyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-82-9 CAPLUS
- CN 2-Thiophenebutanoic acid, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)

- RN 778644-88-5 CAPLUS
- CN Ethanone, 1-[5'-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl][2,2'-bithiophen]-5-yl]- (CA INDEX NAME)

- RN 778644-90-9 CAPLUS
- CN 2-Thiophenemethanol, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-92-1 CAPLUS
- CN 2-Thiophenebutanol, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-95-4 CAPLUS
- CN Benzonitrile, 3-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

- RN 778645-08-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(aminomethyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778645-09-3 CAPLUS

CN 2-Pyrimidinamine, 4-[5-[4-(aminomethyl)phenyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778645-16-2 CAPLUS

CN 2-Thiophenepropanoic acid, 3-methyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl)-, methyl ester (CA INDEX NAME)

RN 778645-20-8 CAPLUS

CN 3-Pentanone, 1-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

RN 778645-21-9 CAPLUS

CN 1-Propanone, 1-phenyl-3-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

RN 778645-25-3 CAPLUS

CN 2-Pyrimidinamine, 4-benzo[b]thien-2-yl-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

RN 778645-26-4 CAPLUS

CN 2-Pyrimidinamine, 4-benzo[b]thien-2-y1-N-4-piperidiny1- (CA INDEX NAME)

RN 778645-27-5 CAPLUS

CN 1-Piperidinepropanenitrile, 4-[(4-benzo[b]thien-2-y1-2-pyrimidiny1)amino]-(CA INDEX NAME)

RN 778645-28-6 CAPLUS

CN 2-Pyrimidinamine, 4-(6-methoxybenzo[b]thien-2-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778645-29-7 CAPLUS

CN Benzo[b]thiophene-6-ol, 2-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778645-32-2 CAPLUS

CN 2-Pyrimidinamine, 4-[6-(2-oxiranylmethoxy)benzo[b]thien-2-y1]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778645-34-4 CAPLUS

CN 2-Pyrimidinamine, 4-(6-methoxybenzo[b]thien-2-yl)-5-methyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778645-61-7 CAPLUS

CN Benzenepropanoic acid, 4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)

- RN 778645-65-1 CAPLUS
- CN Benzenepropanol, 4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]- (CA INDEX NAME)

- RN 778645-68-4 CAPLUS
- CN Benzenebutanenitrile, 4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]- (CA INDEX NAME)

- RN 778645-70-8 CAPLUS
- CN 2-Butanone, 4-[4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(0,0){100}} \put(0,0){\line(0,0){100$$

- RN 778645-84-4 CAPLUS
- CN 1H-Indole-3-carbonitrile, 1-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-86-6 CAPLUS
- CN 1H-Indole-4-carbonitrile, 1-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-95-7 CAPLUS
- CN 2-Pyrimidinamine, 4-(6-methoxy-1H-indol-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-97-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(7-methoxy-1H-indol-3-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-16-5 CAPLUS
- CN 2-Pyrimidinamine, 4-(7-nitro-1H-indol-3-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-30-3 CAPLUS
- CN 3-Buten-2-ol, 4-[5-fluoro-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-1H-indol-6-yl]-2-methyl-, (3E)- (CA INDEX NAME)

Double bond geometry as shown.

- RN 778646-31-4 CAPLUS
- CN 2-Propenenitrile, 3-[5-fluoro-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-1H-indol-6-yl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 778646-32-5 CAPLUS

CN 3-Buten-2-o1, 4-[5-fluoro-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-H-indol-7-yl]-2-methyl-, (3E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 778646-33-6 CAPLUS

CN 2-Propenentiale, 3-[3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-1H-indol-6-yl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 778646-34-7 CAPLUS

CN 2-Pyrimidinamine, 4-[6-[(1E)-2-(1H-imidazol-1-yl)ethenyl]-1H-indol-3-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

Double bond geometry as shown.

RN 778646-35-8 CAPLUS

CN 2-Propenenitrile, 3-[3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-1H-indol-7-yl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 778646-36-9 CAPLUS

CN 2-Propenamide, N,N-dimethyl-3-[3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-1H-indol-7-yl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 778647-25-9 CAPLUS

CN 1H-Indol-7-amine, 3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

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778643-77-9P, 2-Methyl-4-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thiophen-2-yl]butan-2-ol 778643-78-0P,
4-[5-[5-Methoxy-2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
v1]thiophen-2-v1]-2-methylbutan-2-o1 778643-79-1P,
[4-[5-(4-Methoxybutyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine hydrochloride 778643-80-4P,
(E)-4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-y1)amino]pyrimidin-4-
yl]thiophen-2-yl]but-3-en-2-ol 778643-82-6P,
(E)-2-Methyl-4-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
v1]thiophen-2-v1]-1-but-3-en-2-o1 778643-83-7P,
4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-vl]amino]pyrimidin-4-vl]thiophen-
2-v11pvrrolidin-2-one 778643-84-8P.
4-[5-[5-Methyl-2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vllthiophen-2-vllbutan-1-ol 778643-85-9P.
-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-v1]propan-2-ol 778643-86-0P,
2,2-Dimethyl-N-methyl-3-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thiophen-2-yl]propionamide 778643-87-1P,
2-Methyl-4-[3-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vllpvrrol-1-vllbutan-2-ol 778643-88-2P.
2-[2-[[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
yl]thiophen-2-yl]methyl]cyclopropyl]propan-2-ol 778643-89-3P,
2-[(1R,2R)-2-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-y1)amino]pyrimidin-4-
yl]thiophen-2-yl]cyclopropyl]propan-2-ol 778643-90-6P,
2-Ethoxy-2-methyl-1-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thiophen-2-yl]propan-1-one 778643-91-7P.
[4-[5-(3-Methoxypropyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778643-92-8P,
2'-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-v11bicvclopropv1-1-ol 778643-93-9P,
4-[3-Methoxy-5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)aminolpyrimidin-4-
vllthiophen-2-vll-2-methylbutan-2-ol 778643-94-0P.
[4-[5-(2-Amino-2-methylpropyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778643-95-1P,
2,2-Difluoro-3-methyl-1-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
v1) amino pyrimidin-4-v1]thiophen-2-v1]butane-1,3-dio1 778643-96-2P
, 2,3,3-Trimethyl-4-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
v1)aminolpyrimidin-4-v1lthiophen-2-v1lbutan-2-o1 778643-97-3P.
[4-(5-Benzyloxythiophen-2-yl)pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-
4-yl)amine 778643-98-4P,
[4-[5-(Butyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-
v1) amine 778643-99-5P, [4-(5-Propoxythiophen-2-v1)pyrimidin-2-
v11(2,2,6,6-tetramethylpiperidin-4-v1)amine 778644-00-1P.
[4-[5-(2-Methoxyethoxy)thiophen-2-y1]pyrimidin-2-y1](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-01-2P,
[4-[5-(Pyridin-4-yl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-02-3P,
1-Methyl-4-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-y1)amino]pyrimidin-4-
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v1|thiophen-2-v1|piperidin-4-o1 778644-03-4P,
[4-[5-(Pyridin-3-v1)thiophen-2-v1]pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-v1)amine 778644-04-5P.
[4-[5-(Pyridin-2-y1)thiophen-2-y1]pyrimidin-2-y1](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-05-6P,
[4-[5-(Piperazin-4-yl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778644-06-7P,
4-[5-[2-[(8-Azabicvclo[3.2.1]oct-3-v1)exo-amino]pvrimidin-4-v1]thiophen-2-
vll-1-methylpiperidin-4-ol 778644-07-8P.
8-Azabicyclo[3.2.1]oct-3-y1[4-[5-(piperazin-1-y1)thiophen-2-y1]pyrimidin-2-
yl]exo-amine 778644-08-9P,
[4-[5-((E)-3-Amino-3-methylbut-1-enyl)thiophen-2-yl]pyrimidin-2-y](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778644-09-0P,
[4-[5-(3-Amino-3-methylbutyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-10-3P,
2-Methyl-4-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
yl]thiophen-2-yl]-3-butyn-2-ol 778644-11-4P,
[4-[5-(3-Methy]piperazin-1-y])thiophen-2-y]pyrimidin-2-y][2,2,6,6-
tetramethylpiperidin-4-vl)amine 778644-12-5P,
5-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1)amino]pyrimidin-4-v1]thiophene-2-
sulfonamide 778644-13-6P.
5-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1)amino]pyrimidin-4-v1]thiophene-2-
carboxylic acid N-((S)-1-carbamoyl-2-phenylethyl)amide
778644-14-7P, (S)-3-Phenyl-2-[[[5-[2-[(2,2,6,6-
tetramethylpiperidin-4-vl)amino]pyrimidin-4-vl]thien-2-
vl]carbonvl]amino]propionic acid methyl ester 778644-15-8P,
(R)-3-Phenyl-2-[[[5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl)amino]pyrimidin-4-yl)amino]pyrimidin-4-yl
4-yl]thien-2-yl]carbonyl]amino]propionic acid methyl ester
778644-16-9P, 2-Benzyl-1-[[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thien-2-yl]carbonyl]piperidin-4-one
778644-17-0P, 1-[[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thien-2-yl]carbonyl]piperidine-4-carboxylic acid
isopropylamide 778644-18-1P,
2-(Biphenyl-4-yl)-2-[[[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thien-2-yl]carbonyl]amino]acetic acid methyl ester
778644-19-2P, (2S,4R)-4-Hydroxy-1-[[5-[2-[(2,2,6,6-
tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thien-2-
vl]carbonvl]pyrrolidine-2-carboxylic acid benzyl ester
778644-20-5P, [4-([2,2']Bithiophenvl-5-vl)-5-methylpyrimidin-2-
v11(2,2,6,6-tetramethylpiperidin-4-v1)amine 778644-22-7P
778644-23-8P, [5-Bromo-4-(4,5,6,7-tetrahydrobenzo[b]thiophen-2-
yl)pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-yl)amine
778644-24-9P, N-[2-[5-[5-Bromo-2-[(2,2,6,6-tetramethylpiperidin-4-
v1)amino]pyrimidin-4-v1]thiophen-2-v1]ethv1]acetamide 778644-25-0P
, [4-[5-(2-Aminoethyl)thiophen-2-yl]-5-bromopyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778644-26-1P.
[5-Bromo-4-[5-(2-dimethylaminoethyl)thiophen-2-vl]pyrimidin-2-vl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-28-3P,
[4-[5-[4-(Z)-1-Methyl-1-propenyl)phenyl]thiophen-2-yl]pyrimidin-2-
v1](2,2,6,6-tetramethylpiperidin-4-v1)amine 778644-29-4P,
[4-[5-[4-(1-Aminoethyl)phenyl]thiophen-2-vl]pyrimidin-2-vl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-30-7P,
[5-Bromo-4-(5-chlorothiophen-2-yl)pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-32-9P,
4-[5-[5-Bromo-2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vl|thiophen-2-vl|butan-1-o1 778644-33-0P,
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[4-[5'-(1-Aminoethy1)[2,2']bithiopheny1-5-y1]pyrimidin-2-y1](2,2,6,6-

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tetramethylpiperidin-4-vl)amine 778644-34-1P,
[4-[5-(3-Aminomethylphenyl)thiophen-2-v1]pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778644-35-2P,
[4-[5-[4-[(Dimethylamino)methyl]phenyl]thiophen-2-yl]pyrimidin-2-
v1](2,2,6,6-tetramethylpiperidin-4-v1)amine 778644-37-4P,
[4-[5-[[Methyl[2-(piperidin-1-yl)ethyl]amino]methyl]thiophen-2-
v1|pvrimidin-2-v1|(2,2,6,6-tetramethylpiperidin-4-v1)amine
778644-38-5P, 2-Methyl-5-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
v1) amino pyrimidin-4-v11thiophen-2-v1) pentan-2-o1 778644-39-6P.
[4-[5-(2-Isopropylaminoethyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-40-9P,
N-[2-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vl]thiophen-2-vl]ethvl]methanesulfonamide 778644-41-0P,
[2-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-vllethvllurea 778644-42-1P.
[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-2-
ylmethyl]urea 778644-43-2P,
N-[5-2-(2,2,6,6-Tetramethylpiperidin-4-y1)amino]pyrimidin-4-y1]thiophen-
2-v1]methv1]methanesulfonamide 778644-45-4P,
[4-[5'-[(Dimethylamino)methyl]-[2,2']bithiophenyl-5-vl]pyrimidin-2-
v11(2,2,6,6-tetramethylpiperidin-4-v1)amine 778644-46-5P,
[[5'-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1]amino]pyrimidin-4-v1]-
[2.2']bithiophenvl-5-vllmethvllurea 778644-47-6P.
2-Methoxy-N-[[5'-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
v1]-[2,2']bithiophenv1-5-v1]methv1]acetamide 778644-48-7P,
3-[3-Methyl-5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vllthiophen-2-vllpropionamide 778644-49-8P.
[4-[5-(3-Amino-3-ethylpentyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-50-1P,
[4-[5-(2-Methylsulfinylethyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-51-2P,
[4-[5-(3-Methylsulfanylpropyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778644-52-3P.
[4-[5-(4-Methylsulfonylbutyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-53-4P.
1-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-yl]pentan-3-ol 778644-55-6P,
[4-[5-[2-(1-Aminocyclohexyl)ethyl]thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778644-56-7P.
Phenyl [[4-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-v1)amino]pyrimidin-4-
vllthiophen-2-vllbutvrvllaminolacetic acid methyl ester
778644-57-8P, [4-[5-(5-Phenylpentyl)thiophen-2-yl]pyrimidin-2-
v1](2,2,6,6-tetramethylpiperidin-4-v1)amine 778644-58-9P,
[N-Benzyl[[5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vllthiophen-2-vllmethyllaminolacetic acid ethyl ester 778644-59-0P
778644-60-3P, 1-Phenyl-3-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thiophen-2-yl]propan-1-ol 778644-61-4P,
3-Ethyl-1-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
yl]thiophen-2-yl]pentan-3-ol 778644-63-6P,
3-[Benzyl-[[5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
yl]thiophen-2-yl]methyl]amino]propionitrile 778644-64-7P,
3-[Methyl-[[5-[2-[(1,2,2,6,6-pentamethylpiperidin-4-yl)amino]pyrimidin-4-
yl]thiophen-2-yl]methyl]amino]propionitrile 778644-65-8P,
N-(2-Cyanoethyl)-N-[[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thiophen-2-yl]methyl]acetamide
778644-66-9P, 1-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-
v1)amino]pyrimidin-4-v1]thiophen-2-v1]ethanone 778644-67-0P,
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[4-[5-(4-Chlorophenyl)thiophen-2-v1]pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778644-68-1P.
3-Methyl-5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vllthiophene-2-carbonitrile 778644-69-2P.
[4-([2,2']Bithiophenyl-5-yl)pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-
vl)amine 778644-70-5P 778644-73-8P,
4-Chloro-2-[(E)-2-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
v1) amino | pyrimidin-4-v1|thiophen-2-v1|ethenv1|benzonitrile
778644-74-9P, 2-12-1(2,2,6,6-Tetramethylpiperidin-4-
y1) amino]pyrimidin-4-y1]-4,5,6,7-tetrahydrobenzo[b]thiophen-4-o1
778644-75-0P, (2,2,6,6-Tetramethylpiperidin-4-yl)[4-(thieno[3,2-
c]pyridin-2-v1)pyrimidin-2-v1]amine 778644-76-1P,
(4-Chlorophenyl)[5-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-
4-y1]thiophen-2-y1]methanol 778644-77-2P,
N-[2-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
yl]thiophen-2-yl]ethyl]acetamide 778644-78-3P,
[4-[5-(2-Dimethylaminoethyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-80-7P,
3-Methyl-5-[2-(2,2,6,6-tetramethylpiperidin-4-ylamino)pyrimidin-4-
vl]thiophene-2-carboxvlic acid methyl ester 778644-83-0P.
4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1)aminolpyrimidin-4-v1]thiophen-
2-v1|butvric acid 778644-84-1P.
5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophene-2-
carboxylic acid benzylamide 778644-85-2P,
[4-(5-Nitrothiophen-2-v1)pyrimidin-2-v1](2,2,6,6-tetramethylpiperidin-4-
yl)amine 778644-86-3P, [4-[5-(4-Methoxyphenyl)thiophen-2-
yl]pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-yl)amine
778644-87-4P, 4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thiophen-2-yl]phenol 778644-89-6P,
[4-[5-(2-Methoxyphenyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778644-91-0P,
5-[2-[(2,2,6,6-Tetramethylpiperidin-4-vl)amino]pyrimidin-4-vl]thiophene-2-
carboxylic acid (2-aminoethyl)amide 778644-93-2P,
[4-[5-(3-Methoxyphenyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778644-94-3P,
4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-yl]benzenesulfonamide 778644-96-5P,
4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-vl]benzoic acid methyl ester 778644-97-6P.
[4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-y1]pheny1]methanol 778644-98-7P,
[3-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-y1]pheny1]methanol 778644-99-8P,
N-[3-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vl]thiophen-2-vl]phenvl]acetamide 778645-00-4P,
[4-[5-(3-Aminophenyl)thiophen-2-v1]pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778645-01-5P,
1-[3-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
yl]thiophen-2-yl]phenyl]ethanone 778645-02-6P,
5'-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-
[2,2']bithiophenvl-5-sulfonamide 778645-03-7P,
[4-[5-(1-Aminoethyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778645-04-8P,
3-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-y1]propan-1-ol 778645-05-9P,
3-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
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2-y1]propionic acid methyl ester 778645-06-0P,

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[4-[5-(4-Aminobuty1)thiophen-2-v1]pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778645-07-1P,
5-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1]amino]pyrimidin-4-v1]thiophene-2-
carbonitrile 778645-10-6P.
[5'-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
v1][2,2']bithiopheny1-5-y1]methanol 778645-11-7P,
2-Methyl-1-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-vl)amino]pyrimidin-4-
yl]thiophen-2-yl]propan-1-ol 778645-12-8P,
3-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-y1]propionamide 778645-13-9P,
[4-[5-(3-Aminopropyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778645-14-0P,
4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-vllbutan-2-ol 778645-15-1P,
[4-(5'-Aminomethyl-[2,2']bithiophenyl-5-yl)pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778645-17-3P, Acetic acid
2-methyl-2-nitro-1-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thiophen-2-yl]propyl ester 778645-18-4P,
6-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1)amino]pyrimidin-4-v1]thiophen-
2-vl]hexanenitrile 778645-19-5P.
[4-[5-(6-Aminohexvl)thiophen-2-vl]pvrimidin-2-vl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778645-22-0P.
4-[[4-(Benzo[b]thiophen-2-yl)pyrimidin-2-yl]amino]piperidine-1-carboxylic
acid ethyl ester 778645-23-1P,
4-[[4-(Benzo[b]thiophen-2-v1)pyrimidin-2-v1]amino]-2,2,6,6-
tetramethylpiperidin-1-ol 778645-24-2P,
[4-(Benzo[b]thiophen-2-yl)pyrimidin-2-yl](1,2,2,6,6-pentamethylpiperidin-4-
yl)amine 778645-30-0P, [4-(6-Ethoxybenzo[b]thiophen-2-
yl)pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-yl)amine
778645-31-1P, [4-(6-Allyloxybenzo[b]thiophen-2-yl)pyrimidin-2-
v1](2,2,6,6-tetramethylpiperidin-4-v1)amine 778645-33-3P,
1-Isopropylamino-3-[[2-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]benzo[b]thiophen-6-yl]oxy]propan-2-ol
778645-35-5P, 1-Isopropylamino-3-[[2-[5-methyl-2-[(2,2,6,6-
tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]benzo[b]thiophen-6-
vl]oxy]propan-2-ol 778645-36-6P,
[1-(3-Aminopropyl)piperidin-4-yl][4-(benzo[b]thiophen-2-yl)pyrimidin-2-
vl]amine 778645-37-7P, [4-(Benzo[b]thiophen-2-vl)pvrimidin-2-
v1](2,2,6-trimethylpiperidin-4-v1)amine 778645-38-8P,
[4-(3-Methylbenzo[b]thiophen-2-v1)pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778645-39-9P,
[4-(5-Methylbenzo[b]thiophen-2-yl)pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778645-40-2P,
[4-(7-Methoxybenzo[b]thiophen-2-yl)pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778645-41-3P,
[4-(Benzo[b]thiophen-5-v1)pyrimidin-2-v1](2,2,6,6-tetramethylpiperidin-4-
yl)amine 778645-42-4P, [4-(Benzo[b]thiophen-2-yl)pyrimidin-2-
yl](2,2,6,6-tetramethylpiperidin-4-yl)amine 778645-43-5P,
2-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
yl]benzo[b]thiophene-7-carboxylic acid (2-diethylaminoethyl)amide
778645-44-6P, 8-Azabicvclo[3,2,1]oct-3-v1[4-(benzo[b]thiophen-2-
vl)pvrimidin-2-vllendo-amine 778645-45-7P.
(2R, 4R)-4-[[4-(Benzo[b]thiophen-2-yl)pyrimidin-2-yl]amino]piperidine-2-
carboxylic acid methyl ester 778645-47-9P,
[4-(Benzo[b]thiophen-2-yl)-5-methylpyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778645-48-0P,
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[4-[4-(Pyridin-4-yl)phenyl]pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-

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v1)amine 778645-49-1P, 1-Methv1-4-[4-[2-[(2,2,6,6-
    tetramethylpiperidin-4-vl)aminolpyrimidin-4-vl]phenyl]piperidin-4-ol
    778645-50-4P, [4-[4-(Pyridin-3-yl)phenyl]pyrimidin-2-yl](2,2,6,6-
    tetramethylpiperidin-4-yl)amine 778645-51-5P,
    [4-[4-(Pyridin-2-y1)phenyl]pyrimidin-2-y1](2,2,6,6-tetramethylpiperidin-4-
    vl)amine 778645-52-6P, [4-[4-(4-Methylpiperazin-1-
    v1)phenv1|pvrimidin-2-v1|(2,2,6,6-tetramethv1piperidin-4-v1)amine
    778645-53-7P, [4-[6-(3-Amino-3-methylbutyl)pyridin-3-yl]pyrimidin-
    2-y1](2,2,6,6-tetramethylpiperidin-4-y1)amine 778645-54-8P,
    [4-(4-Methylsulfanylphenyl)pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-
    yl)amine 778645-55-9P, [4-(Naphthalen-2-yl)pyrimidin-2-
    v1](2,2,6,6-tetramethylpiperidin-4-v1)amine 778645-57-1P,
    (2,2,6,6-Tetramethylpiperidin-4-yl)[4-(4-vinylphenyl)pyrimidin-2-yl]amine
    778645-58-2P, 4-[2-[(2,2,6,6-Tetramethylpiperidin-4-
    yl)amino]pyrimidin-4-yl]benzenesulfonamide 778645-59-3P,
    N-(2-Hydroxyethyl)-3-[2-[(2,2,6,6-tetramethylpiperidin-4-
    yl)amino]pyrimidin-4-yl]benzenesulfonamide 778645-60-6P,
     [4-[4-(3-Amino-3-methylbutyl)phenyl]pyrimidin-2-yl](2,2,6,6-
    tetramethylpiperidin-4-vl)amine 778645-62-8P,
    2-Methyl-4-[4-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
    vllphenvllbutan-2-ol 778645-63-9P,
    3-[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-vl)amino]pyrimidin-4-
    vllphenvllpropionamide 778645-64-0P.
     [4-[4-(2-Aminoethyl)phenyl]pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-
    v1) amine 778645-66-2P, [4-[4-(3-Aminopropy1) phenyl] pyrimidin-2-
    v11(2,2,6,6-tetramethylpiperidin-4-v1)amine 778645-67-3P,
     [4-[4-(3-Methoxypropyl)phenyl]pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-
    4-v1) amine 778645-69-5P.
     [4-[4-(4-Aminobuty1)pheny1]pyrimidin-2-y1](2,2,6,6-tetramethylpiperidin-4-
    yl)amine 778645-71-9P, 4-[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-
    vl)amino]pyrimidin-4-vl]phenvl]butan-2-ol 778645-72-0P,
     [4-[4-(3-Aminobutv1)phenv1]pvrimidin-2-v1](2,2,6,6-tetramethv1piperidin-4-
    yl)amine 778645-73-1P, 2-Methyl-4-[4-[2-[(2,2,6,6-
    tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]phenyl]butyronitrile
    778645-74-2P, [4-[4-(2-Aminopropyl)phenyl]pyrimidin-2-yl](2,2,6,6-
    tetramethylpiperidin-4-yl)amine 778645-75-3P
, 2-[[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
    vl]phenoxv]methvl]benzonitrile 778645-76-4P,
     [4-[2-[(2,2,6,6-Tetramethylpiperidin-4-vl)amino]pyrimidin-4-
    vllphenoxylacetonitrile 778645-77-5P.
     [4-[4-[2-(Imidazol-1-v1)ethoxylphenyl]pyrimidin-2-v1](2,2,6,6-
    tetramethylpiperidin-4-yl)amine 778645-78-6P,
    4-[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
    vl]phenoxv]butvronitrile 778645-79-7P,
    [4-[4-(Pyridin-4-vlmethoxy)phenyl]pyrimidin-2-v1](2,2,6,6-
    tetramethylpiperidin-4-yl)amine 778645-80-0P,
     [4-(Indol-1-y1)pyrimidin-2-y1](2,2,6,6-tetramethylpiperidin-4-y1)amine
    778645-81-1P, [4-(4-Methoxyindol-1-yl)pyrimidin-2-yl](2,2,6,6-
    tetramethylpiperidin-4-yl)amine 778645-82-2P,
    1-[1-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indol-
    3-v11ethanone 778645-83-3P,
     [4-(5-Methoxyindol-1-yl)pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-
    yl)amine 778645-85-5P, 1-[2-[(2,2,6,6-Tetramethylpiperidin-4-
    yl)amino]pyrimidin-4-yl]-1H-indole-3-carboxamide 778645-87-7P,
    1-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indole-4-
    carboxamide 778645-88-8P,
     [4-(1-Methylindo1-2-y1)pyrimidin-2-y1](2,2,6,6-tetramethylpiperidin-4-
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v1) amine 778645-89-9P, [4-(1H-Indo1-2-v1)pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778645-90-2P.
[4-(1H-Indol-3-v1)pyrimidin-2-v1](2,2,6,6-tetramethylpiperidin-4-v1)amine
778645-91-3P, [4-(1-Methylindol-3-yl)pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778645-92-4P,
3-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indole-5-
carbonitrile 778645-93-5P.
3-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indole-6-
carbonitrile 778645-94-6P.
[4-(5H-[1,3]Dioxolo[4,5-f]indol-7-yl)pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778645-96-8P,
3-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indol-6-
ol hydrobromide 778645-98-0P,
3-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indol-7-
ol 778645-99-1P, [4-[6-(2-Aminopropyl)-1H-indol-3-yl]pyrimidin-2-
y1](2,2,6,6-tetramethylpiperidin-4-y1)amine 778646-00-7P,
[6-Methoxy-3-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vl]indol-1-vl]acetonitrile 778646-01-8P,
[4-(7-Fluoro-1H-indol-3-v1)pyrimidin-2-v1](2,2,6,6-tetramethylpiperidin-4-
vl)amine 778646-02-9P, [4-(6-Fluoro-1H-indol-3-vl)pvrimidin-2-
v11(2,2,6,6-tetramethylpiperidin-4-v1)amine 778646-03-0P.
[4-(1H-Pvrrolo[2,3-b]pyridin-3-yl)pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778646-04-1P,
[4-(7-Chloro-1H-indol-3-yl)pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-
vl)amine 778646-05-2P, [4-(6-Chloro-1H-indol-3-vl)pyrimidin-2-
v11(2,2,6,6-tetramethylpiperidin-4-v1)amine 778646-06-3P,
(2,2,6,6-Tetramethylpiperidin-4-vl)[4-(6-trifluoromethyl-1H-indol-3-
yl)pyrimidin-2-yl]amine 778646-07-4P,
[4-(7-Methyl-1H-indol-3-yl)pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-
yl)amine 778646-08-5P, 2-Methyl-4-[3-[2-[(2,2,6,6-
tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indol-6-yl]butan-2-ol
778646-09-6P, [4-(6,7-Difluoro-1H-indol-3-yl)pyrimidin-2-
yl](2,2,6,6-tetramethylpiperidin-4-yl)amine 778646-10-9P,
2-Methyl-4-[3-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-
1H-indol-7-yl]butan-2-ol 778646-11-0P,
[4-(5,7-Difluoro-1H-indol-3-yl)pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778646-12-1P,
[4-[6-[(Morpholin-4-v1)sulfonv1]-1H-indol-3-v1]pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778646-13-2P,
[4-(5-Fluoro-7-methyl-1H-indol-3-yl)pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778646-14-3P.
3-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indole-6-
sulfonic acid dimethylamide 778646-15-4P,
3-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1)amino]pyrimidin-4-v1]-1H-indole-7-
carbonitrile 778646-17-6P,
(Morpholin-4-yl)[3-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-
4-v11-1H-indol-6-v11methanone 778646-18-7P.
3-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indole-7-
sulfonic acid methylamide 778646-19-8P,
(5-Azaspiro[3.5]non-8-v1)[4-(7-chloro-1H-indol-3-v1)pyrimidin-2-v1]amine
778646-20-1P, [4-(7-Chloro-1H-indol-3-yl)pyrimidin-2-yl](2,2-
dimethylpiperidin-4-yl)amine 778646-21-2P,
[4-(7-Fluoro-1H-indol-3-yl)pyrimidin-2-yl](2,2-dimethylpiperidin-4-
yl)amine 778646-22-3P, (1-Azaspiro[5.5]undecan-4-yl)[4-(7-fluoro-
1H-indol-3-v1)pyrimidin-2-y1]amine 778646-23-4P,
(trans-2,6-Dimethylpiperidin-4-yl)[4-(1H-indol-3-yl)pyrimidin-2-yl]amine
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778646-24-5P, [4-(7-Chloro-1H-indol-3-yl)pyrimidin-2-yl](trans-2,6-

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dimethylpiperidin-4-vl)amine 778646-25-6P,
(trans-2,6-Dimethylpiperidin-4-vl)[4-(7-fluoro-1H-indol-3-vl)pyrimidin-2-
yl]amine 778646-26-7P, [4-(5-Fluoro-1H-indol-3-yl)pyrimidin-2-
yl](2,2,6,6-tetramethylpiperidin-4-yl)amine 778646-27-8P.
[4-(6-Chloro-5-fluoro-1H-indol-3-yl)pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778646-28-9P,
[4-(7-Chloro-5-fluoro-1H-indol-3-vl)pvrimidin-2-vl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778646-29-0P.
[4-(6-Chloro-7-fluoro-1H-indol-3-vl)pvrimidin-2-vl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778646-37-0P,
(E)-2-Methyl-3-[3-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
yl]-1H-indol-7-yl]acrylonitrile 778646-38-1P,
\hat{4}-[5-Fluoro-3-[\hat{2}-[(2,\hat{2},6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-
1H-indol-6-y1]-2-methylbutan-2-ol 778646-39-2P,
3-[5-Fluoro-3-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-
1H-indol-6-yl]propionitrile 778646-40-5P,
3-[7-Fluoro-3-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-
1H-indol-6-yl]propionitrile 778646-41-6P,
4-[5-Fluoro^3-[2-[(2,2,6,6-tetramethylpiperidin-4-y1)amino]pyrimidin-4-y1]-1H-indol-7-y1]-2-methylbutan-2-ol 778646-42-7P,
3-[3-[2-[(2,2,6,6-Tetramethylpiperidin-4-vl)amino]pyrimidin-4-vl]-1H-indol-
6-vllpropionitrile 778646-43-8P.
[4-[6-[2-(Imidazol-1-yl)ethyl]-1H-indol-3-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778646-44-9P,
3-[3-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-1H-indol-
7-y1]propionitrile 778646-45-0P,
N, N-Dimethyl-3-[3-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
v11-1H-indol-7-v11propionamide 778646-46-1P.
2-Methyl-3-[3-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-
1H-indol-7-yl]propionitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (IKK inhibitor; preparation of aminopyrimidines as inhibitors of TNF-\alpha
   production for treating autoimmune diseases and inflammations)
778643-77-9 CAPLUS
2-Thiophenepropanol, \alpha, \alpha-dimethyl-5-[2-[(2,2,6,6-tetramethyl-4-
piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)
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RN 778643-78-0 CAPLUS

RN

CN

2-Thiophenepropanol, 5-[5-methoxy-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- $\alpha$ , $\alpha$ -dimethyl- (CA INDEX NAME)

RN 778643-79-1 CAPLUS

CN 2-Pyrimidinamine, 4-[5-(4-methoxybuty1)-2-thieny1]-N-(2,2,6,6-tetramethyl-4-piperidiny1)-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 778643-80-4 CAPLUS

CN 3-Buten-2-o1, 4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]-, (3E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 778643-82-6 CAPLUS

CN 3-Buten-2-o1, 2-methyl-4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]-, (3E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 778643-83-7 CAPLUS

CN 2-Pyrrolidinone, 4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

RN 778643-84-8 CAPLUS

CN 2-Thiophenebutanol, 5-[5-methyl-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778643-85-9 CAPLUS

CN 2-Thiopheneethanol,  $\alpha$ -methyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778643-86-0 CAPLUS

CN 2-Thiophenepropanamide, N,α,α-trimethyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778643-87-1 CAPLUS
- CN 1H-Pyrrole-1-propanol, a, a-dimethyl-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778643-88-2 CAPLUS
- CN Cyclopropanemethanol,  $\alpha, \alpha$ -dimethyl-2-[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]methyl]- (CA INDEX NAME)

- RN 778643-89-3 CAPLUS
- CN Cyclopropanemethanol,  $\alpha,\alpha$ -dimethyl-2-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]-, (1R,2R)- (CA INDEX NAME)

- RN 778643-90-6 CAPLUS
- CN 1-Propanone, 2-ethoxy-2-methyl-1-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

- RN 778643-91-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(3-methoxypropyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778643-92-8 CAPLUS
- CN [1,1'-Bicyclopropyl]-1-o1, 2'-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

RN 778643-93-9 CAPLUS

CN 2-Thiophenepropanol, 3-methoxy-α,α-dimethy1-5-[2-[(2,2,6,6-tetramethy1-4-piperidiny1)amino]-4-pyrimidiny1]- (CA INDEX NAME)

- RN 778643-94-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(2-amino-2-methylpropyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778643-95-1 CAPLUS
- CN 1,3-Butanedio1, 2,2-difluoro-3-methyl-1-[5-[2-[(2,2,6,6-tetramethyl-4piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

- RN 778643-96-2 CAPLUS
- CN 2-Thiophenepropanol,  $\alpha, \alpha, \beta, \beta$ -tetramethyl-5-[2- [(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778643-97-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(phenylmethoxy)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778643-98-4 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-buty1-2-thieny1)-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778643-99-5 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-propoxy-2-thienyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-00-1 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(2-methoxyethoxy)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-01-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(4-pyridinyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778644-02-3 CAPLUS

CN 4-Piperidino1, 1-methyl-4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

RN 778644-03-4 CAPLUS

CN 2-Pyrimidinamine, 4-[5-(3-pyridiny1)-2-thieny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

RN 778644-04-5 CAPLUS

CN 2-Pyrimidinamine, 4-[5-(2-pyridiny1)-2-thieny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

RN 778644-05-6 CAPLUS

CN 2-Pyrimidinamine, 4-[5-(1-piperaziny1)-2-thieny1]-N-(2,2,6,6-tetramethy1-4piperidiny1)- (CA INDEX NAME)

RN 778644-06-7 CAPLUS

CN 4-Piperidinol, 4-[5-[2-[(3-exo)-8-azabicyclo[3.2.1]oct-3-ylamino]-4-pyrimidinyl]-2-thienyl]-1-methyl- (CA INDEX NAME)

## Relative stereochemistry.

- RN 778644-07-8 CAPLUS
- CN 8-Azabicyclo[3.2.1]octan-3-amine, N-[4-[5-(1-piperaziny1)-2-thieny1]-2pyrimidiny1]-, (3-exo)- (CA INDEX NAME)

## Relative stereochemistry.

- RN 778644-08-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(3-amino-3-methyl-1-buten-1-yl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-09-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(3-amino-3-methylbutyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-10-3 CAPLUS
- CN 3-Butyn-2-o1, 2-methyl-4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

- RN 778644-11-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(3-methyl-1-piperazinyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

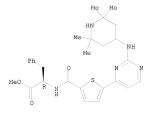
- RN 778644-12-5 CAPLUS
- CN 2-Thiophenesulfonamide, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-13-6 CAPLUS
- CN 2-Thiophenecarboxamide, N-{(15)-2-amino-2-oxo-1-(phenylmethyl)ethyl]-5-[2-(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-14-7 CAPLUS
- CN L-Phenylalanine, N-[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]carbonyl]-, methyl ester (CA INDEX NAME)

## Absolute stereochemistry.

- RN 778644-15-8 CAPLUS
- CN D-Phenylalanine, N-[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]carbonyl]-, methyl ester (CA INDEX NAME)



- RN 778644-16-9 CAPLUS
- CN 4-Piperidinone, 2-(phenylmethyl)-1-[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]carbonyl]- (CA INDEX NAME)

- RN 778644-17-0 CAPLUS
- CN 4-Piperidinecarboxamide, N-(1-methylethyl)-1-[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]carbonyl]- (CA INDEX NAME)

- RN 778644-18-1 CAPLUS
- CN [1,1'-Biphenyl]-4-acetic acid,  $\alpha$ -[[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)

- RN 778644-19-2 CAPLUS
- CN L-Proline, 4-hydroxy-1-[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]carbonyl]-, phenylmethyl ester, (4R)- (CA INDEX NAME)

- RN 778644-20-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[2,2'-bithiophen]-5-yl-5-methyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-22-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[5'-(1-aminoethyl)[2,2'-bithiophen]-5-yl]-5-methyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-23-8 CAPLUS
- CN 2-Pyrimidinamine, 5-bromo-4-(4,5,6,7-tetrahydrobenzo[b]thien-2-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-24-9 CAPLUS
- CN Acetamide, N-[2-[5-[5-bromo-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]-2-thienyl]ethyl]- (CA INDEX NAME)

- RN 778644-25-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(2-aminoethyl)-2-thienyl]-5-bromo-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-26-1 CAPLUS
- CN 2-Pyrimidinamine, 5-bromo-4-[5-[2-(dimethylamino)ethyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778644-28-3 CAPLUS

CN 2-Pyrimidinamine, 4-[5-[4-[(1Z)-1-methyl-1-propen-1-yl]phenyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

Double bond geometry as shown.

- RN 778644-29-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[4-(1-aminoethyl)phenyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-30-7 CAPLUS
- CN 2-Pyrimidinamine, 5-bromo-4-(5-chloro-2-thieny1)-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778644-32-9 CAPLUS
- CN 2-Thiophenebutanol, 5-[5-bromo-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-33-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[5'-(1-aminoethy1)[2,2'-bithiophen]-5-y1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778644-34-1 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[3-(aminomethyl)phenyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-35-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[4-[(dimethylamino)methyl]phenyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-37-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[[methy1[2-(1-piperidiny1)ethy1]amino]methy1]-2thieny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778644-38-5 CAPLUS
- CN 2-Thiophenebutanol, α,α-dimethyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-39-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[2-[(1-methylethyl)amino]ethyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-40-9 CAPLUS
- CN Methanesulfonamide, N-[2-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]ethyl]- (CA INDEX NAME)

- RN 778644-41-0 CAPLUS
- CN Urea, N-[2-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]ethyl]- (CA INDEX NAME)

- RN 778644-42-1 CAPLUS
- CN Urea, N-[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2thienyl]methyl]- (CA INDEX NAME)

- RN 778644-43-2 CAPLUS
- CN Methanesulfonamide, N-[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]methyl]- (CA INDEX NAME)

- RN 778644-45-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[5'-[(dimethylamino)methyl][2,2'-bithiophen]-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-46-5 CAPLUS
- CN Urea, N-[[5'-[2-((2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl][2,2'-bithiophen]-5-yl]methyl]- (CA INDEX NAME)

- RN 778644-47-6 CAPLUS
- CN Acetamide, 2-methoxy-N-[[5'-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl][2,2'-bithiophen]-5-yl]methyl]- (CA INDEX NAME)

- RN 778644-48-7 CAPLUS
- CN 2-Thiophenepropanamide, 3-methyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-49-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(3-amino-3-ethylpentyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{NH}_2 \\ \text{Et-}C\text{-}C\text{H}_2\text{-}C\text{H}_2 \\ \text{Et} \end{array} \begin{array}{c} \text{N} \\ \text{N} \\ \text{NH} \\ \text{Me} \end{array}$$

- RN 778644-50-1 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[2-(methylsulfinyl)ethyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-51-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[3-(methylthio)propyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-52-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[4-(methylsulfonyl)butyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-53-4 CAPLUS
- CN 2-Thiophenepropanol, α-ethyl-5-[2-[(2,2,6,6-tetramethyl-4piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-55-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[2-(1-aminocyclohexyl)ethyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-56-7 CAPLUS
- CN Benzeneacetic acid, a=[[1-oxo-4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]butyl]amino]-, methyl ester (CA INDEX NAME)

- RN 778644-57-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(5-phenylpenty1)-2-thieny1]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-58-9 CAPLUS
- CN Glycine, N-(phenylmethyl)-N-[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]methyl]-, ethyl ester (CA INDEX NAME)

- RN 778644-59-0 CAPLUS
- CN 2-Propanol, 2-methyl-1-[(phenylmethyl)[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]methyl]amino]- (CA INDEX NAME)

RN 778644-60-3 CAPLUS

CN 2-Thiophenepropanol, α-phenyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778644-61-4 CAPLUS

CN 2-Thiophenepropanol, α,α-diethyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778644-63-6 CAPLUS

CN Propanenitrile, 3-[(phenylmethyl)][[5-[2-[(2,2,6,6-tetramethyl-4piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]methyl]amino]- (CA INDEX NAME)

RN 778644-64-7 CAPLUS

CN Propanenitrile, 3-[methyl[[5-[2-[(1,2,2,6,6-pentamethyl-4piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]methyl]amino]- (CA INDEX NAME)

- RN 778644-65-8 CAPLUS
- CN Acetamide, N-(2-cyanoethyl)-N-[[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]methyl]- (CA INDEX NAME)

- RN 778644-66-9 CAPLUS
- CN Ethanone, 1-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

- RN 778644-67-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(4-chlorophenyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-68-1 CAPLUS
- CN 2-Thiophenecarbonitrile, 3-methyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-69-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[2,2'-bithiophen]-5-y1-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-70-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(1-methylethenyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-73-8 CAPLUS
- CN Benzonitrile, 4-chloro-2-[(1E)-2-[5-[2-[(2,2,6,6-tetramethyl-4piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

- RN 778644-74-9 CAPLUS
- CN Benzo[b]thiophene-4-o1, 4,5,6,7-tetrahydro-2-[2-[(2,2,6,6-tetramethyl-4piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-75-0 CAPLUS
- CN 2-Pyrimidinamine, N-(2,2,6,6-tetramethyl-4-piperidinyl)-4-thieno[3,2-c]pyridin-2-yl- (CA INDEX NAME)

- RN 778644-76-1 CAPLUS
- CN 2-Thiophenemethanol,  $\alpha$ -(4-chlorophenyl)-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778644-77-2 CAPLUS

CN Acetamide, N-[2-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]ethyl]- (CA INDEX NAME)

RN 778644-78-3 CAPLUS

CN 2-Pyrimidinamine, 4-[5-[2-(dimethylamino)ethyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778644-80-7 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-methyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)

RN 778644-83-0 CAPLUS

CN 2-Thiophenebutanoic acid, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-84-1 CAPLUS
- CN 2-Thiophenecarboxamide, N-(phenylmethyl)-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-85-2 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-nitro-2-thienyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-86-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(4-methoxyphenyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-87-4 CAPLUS
- CN Phenol, 4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

- RN 778644-89-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(2-methoxyphenyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-91-0 CAPLUS
- CN 2-Thiophenecarboxamide, N-(2-aminoethyl)-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778644-93-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(3-methoxyphenyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778644-94-3 CAPLUS
- CN Benzenesulfonamide, 4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

- RN 778644-96-5 CAPLUS
- CN Benzoic acid, 4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]-, methyl ester (CA INDEX NAME)

- RN 778644-97-6 CAPLUS
- CN Benzenemethanol, 4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

- RN 778644-98-7 CAPLUS
- CN Benzenemethanol, 3-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

- RN 778644-99-8 CAPLUS
- CN Acetamide, N-[3-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]-2-thienyl]phenyl]- (CA INDEX NAME)

- RN 778645-00-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(3-aminopheny1)-2-thieny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778645-01-5 CAPLUS
- CN Ethanone, 1-[3-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]phenyl]- (CA INDEX NAME)

- RN 778645-02-6 CAPLUS
- CN [2,2'-Bithiophene]-5-sulfonamide, 5'-[2-[(2,2,6,6-tetramethyl-4piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-03-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(1-aminoethyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4piperidinyl)- (CA INDEX NAME)

- RN 778645-04-8 CAPLUS
- CN 2-Thiophenepropanol, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-05-9 CAPLUS
- CN 2-Thiophenepropanoic acid, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)

- RN 778645-06-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(4-aminobuty1)-2-thieny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778645-07-1 CAPLUS
- CN 2-Thiophenecarbonitrile, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778645-10-6 CAPLUS

CN [2,2'-Bithiophene]-5-methanol, 5'-[2-[(2,2,6,6-tetramethyl-4piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778645-11-7 CAPLUS

CN 2-Thiophenemethanol, α-(1-methylethyl)-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778645-12-8 CAPLUS

CN 2-Thiophenepropanamide, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]- (CA INDEX NAME)

RN 778645-13-9 CAPLUS

CN 2-Pyrimidinamine, 4-[5-(3-aminopropy1)-2-thieny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778645-14-0 CAPLUS
- CN 2-Thiophenepropanol, α-methyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-15-1 CAPLUS
- CN 2-Pyrimidinamine, 4-[5'-(aminomethyl)[2,2'-bithiophen]-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-17-3 CAPLUS
- CN 2-Thiophenemethanol,  $\alpha$ -(1-methyl-1-nitroethyl)-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, 2-acetate (CA INDEX NAME)

- RN 778645-18-4 CAPLUS
- CN 2-Thiophenehexanenitrile, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-19-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-(6-aminohexyl)-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-22-0 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[(4-benzo[b]thien-2-yl-2-pyrimidinyl)amino]-, ethyl ester (CA INDEX NAME)

- RN 778645-23-1 CAPLUS
- CN 2-Pyrimidinamine, 4-benzo[b]thien-2-yl-N-(1-hydroxy-2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-24-2 CAPLUS
- CN 2-Pyrimidinamine, 4-benzo[b]thien-2-yl-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-30-0 CAPLUS
- CN 2-Pyrimidinamine, 4-(6-ethoxybenzo[b]thien-2-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-31-1 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(2-propen-1-yloxy)benzo[b]thien-2-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

$$\label{eq:h2c} {\rm H_2C} = {\rm CH-CH_2-O} \qquad {\rm S} \qquad {\rm NH} \qquad {\rm NH$$

- RN 778645-33-3 CAPLUS
- CN 2-Propanol, 1-[(1-methylethyl)amino]-3-[[2-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]benzo[b]thien-6-yl]oxy]- (CA INDEX NAME)

- RN 778645-35-5 CAPLUS
- CN 2-Propanol, 1-[(1-methylethyl)amino]-3-[[2-[5-methyl-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]benzo[b]thien-6-yl]oxy]-(CA INDEX NAME)

- RN 778645-36-6 CAPLUS
- CN 2-Pyrimidinamine, N-[1-(3-aminopropy1)-4-piperidiny1]-4-benzo[b]thien-2-yl-(CA INDEX NAME)

- RN 778645-37-7 CAPLUS
- CN 2-Pyrimidinamine, 4-benzo[b]thien-2-y1-N-(2,2,6-trimethyl-4-piperidinyl)-(CA INDEX NAME)

- RN 778645-38-8 CAPLUS
- CN 2-Pyrimidinamine, 4-(3-methylbenzo[b]thien-2-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-39-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-methylbenzo[b]thien-2-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-40-2 CAPLUS
- CN 2-Pyrimidinamine, 4-(7-methoxybenzo[b]thien-2-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-41-3 CAPLUS
- CN 2-Pyrimidinamine, 4-benzo[b]thien-5-yl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-42-4 CAPLUS
- CN 2-Pyrimidinamine, 4-benzo[b]thien-2-y1-N-(2,2,6,6-tetramethy1-4piperidiny1)- (CA INDEX NAME)

- RN 778645-43-5 CAPLUS
- CN Benzo[b]thiophene-7-carboxamide, N-[2-(diethylamino)ethyl]-2-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-44-6 CAPLUS
- CN 8-Azabicyclo[3.2.1]octan-3-amine, N-(4-benzo[b]thien-2-y1-2-pyrimidinyl)-, (3-endo)- (CA INDEX NAME)

## Relative stereochemistry.

- RN 778645-45-7 CAPLUS
- CN 2-Piperidinecarboxylic acid, 4-[(4-benzo[b]thien-2-yl-2-pyrimidinyl)amino], methyl ester, (2R,4R)- (CA INDEX NAME)

### Absolute stereochemistry.

RN 778645-47-9 CAPLUS

CN 2-Pyrimidinamine, 4-benzo[b]thien-2-y1-5-methy1-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778645-48-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-pyridiny1)pheny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778645-49-1 CAPLUS
- CN 4-Piperidinol, 1-methyl-4-[4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

- RN 778645-50-4 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(3-pyridiny1)pheny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778645-51-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(2-pyridinyl)phenyl]-N-(2,2,6,6-tetramethyl-4-

# piperidinyl) - (CA INDEX NAME)

- RN 778645-52-6 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-methyl-1-piperazinyl)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-53-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(3-amino-3-methylbuty1)-3-pyridiny1]-N-(2,2,6,6-tetramethyl-4-piperidiny1)- (CA INDEX NAME)

- RN 778645-54-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(methylthio)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-55-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(2-naphthalenyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)-(CA INDEX NAME)

RN 778645-57-1 CAPLUS

CN 2-Pyrimidinamine, 4-(4-ethenylphenyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778645-58-2 CAPLUS

CN Benzenesulfonamide, 4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778645-59-3 CAPLUS

CN Benzenesulfonamide, N-(2-hydroxyethyl)-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778645-60-6 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(3-amino-3-methylbutyl)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-62-8 CAPLUS
- CN Benzenepropanol, α,α-dimethyl-4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-63-9 CAPLUS
- CN Benzenepropanamide, 4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-64-0 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(2-aminoethyl)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-66-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(3-aminopropyl)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778645-67-3 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(3-methoxypropyl)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778645-69-5 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-aminobuty1)pheny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

RN 778645-71-9 CAPLUS

CN Benzenepropanol,  $\alpha$ -methyl-4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778645-72-0 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(3-aminobuty1)pheny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778645-73-1 CAPLUS
- CN Benzenebutanenitrile,  $\alpha$ -methyl-4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-74-2 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(2-aminopropy1)pheny1]-N-(2,2,6,6-tetramethy1-4-piperidiny1)- (CA INDEX NAME)

- RN 778645-75-3 CAPLUS
- CN Benzonitrile, 2-[[4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]phenoxy]methyl]- (CA INDEX NAME)

- RN 778645-76-4 CAPLUS
- CN Acetonitrile, 2-[4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]phenoxy]- (CA INDEX NAME)

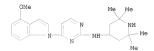
- RN 778645-77-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-[2-(1H-imidazol-1-yl)ethoxy]phenyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-78-6 CAPLUS
- CN Butanenitrile, 4-[4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]phenoxy]- (CA INDEX NAME)

- RN 778645-79-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-pyridinylmethoxy)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-80-0 CAPLUS
- CN 2-Pyrimidinamine, 4-(1H-indol-1-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)-(CA INDEX NAME)

- RN 778645-81-1 CAPLUS
- CN 2-Pyrimidinamine, 4-(4-methoxy-1H-indol-1-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



- RN 778645-82-2 CAPLUS
- CN Ethanone, 1-[1-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-1H-indol-3-yl]- (CA INDEX NAME)

- RN 778645-83-3 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-methoxy-1H-indol-1-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-85-5 CAPLUS
- CN 1H-Indole-3-carboxamide, 1-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-87-7 CAPLUS
- CN 1H-Indole-4-carboxamide, 1-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-88-8 CAPLUS
- CN 2-Pyrimidinamine, 4-(1-methyl-1H-indol-2-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-89-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(1H-indol-2-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)(CA INDEX NAME)

RN 778645-90-2 CAPLUS

CN 2-Pyrimidinamine, 4-(1H-indol-3-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)-(CA INDEX NAME)

- RN 778645-91-3 CAPLUS
- CN 2-Pyrimidinamine, 4-(1-methyl-1H-indol-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-92-4 CAPLUS
- CN 1H-Indole-5-carbonitrile, 3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-93-5 CAPLUS
- CN 1H-Indole-6-carbonitrile, 3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-94-6 CAPLUS
- CN 2-Pyrimidinamine, 4-(5H-1,3-dioxolo[4,5-f]indol-7-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778645-96-8 CAPLUS
- CN 1H-Indol-6-o1, 3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]-, hydrobromide (1:?) (CA INDEX NAME)

### ●x HBr

- RN 778645-98-0 CAPLUS
- CN 1H-Indol-7-ol, 3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778645-99-1 CAPLUS
- CN 1H-Indole-6-ethanamine, α-methyl-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-00-7 CAPLUS
- CN 1H-Indole-1-acetonitrile, 6-methoxy-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-01-8 CAPLUS
- CN 2-Pyrimidinamine, 4-(7-fluoro-1H-indol-3-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-02-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(6-fluoro-1H-indol-3-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-03-0 CAPLUS
- CN 2-Pyrimidinamine, 4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-04-1 CAPLUS
- CN 2-Pyrimidinamine, 4-(7-chloro-1H-indol-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-05-2 CAPLUS
- CN 2-Pyrimidinamine, 4-(6-chloro-1H-indol-3-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-06-3 CAPLUS
- CN 2-Pyrimidinamine, N-(2,2,6,6-tetramethyl-4-piperidinyl)-4-[6-(trifluoromethyl)-1H-indol-3-yl]- (CA INDEX NAME)

- RN 778646-07-4 CAPLUS
- CN 2-Pyrimidinamine, 4-(7-methyl-1H-indol-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-08-5 CAPLUS
- CN 1H-Indole-6-propanol,  $\alpha,\alpha$ -dimethyl-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-09-6 CAPLUS
- CN 2-Pyrimidinamine, 4-(6,7-difluoro-1H-indol-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-10-9 CAPLUS
- CN 1H-Indole-7-propanol,  $\alpha, \alpha$ -dimethyl-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-11-0 CAPLUS
- CN 2-Pyrimidinamine, 4-(5,7-difluoro-1H-indol-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-12-1 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(4-morpholinylsulfonyl)-1H-indol-3-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-13-2 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-fluoro-7-methyl-1H-indol-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-14-3 CAPLUS
- CN 1H-Indole-6-sulfonamide, N,N-dimethyl-3-[2-[(2,2,6,6-tetramethyl-4piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-15-4 CAPLUS
- CN 1H-Indole-7-carbonitrile, 3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-17-6 CAPLUS
- CN Methanone, 4-morpholiny1[3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]-1H-indol-6-yl]- (CA INDEX NAME)

RN 778646-18-7 CAPLUS

CN 1H-Indole-7-sulfonamide, N-methyl-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778646-19-8 CAPLUS

RN 778646-20-1 CAPLUS

CN 2-Pyrimidinamine, 4-(7-chloro-1H-indol-3-yl)-N-(2,2-dimethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-21-2 CAPLUS
- CN 2-Pyrimidinamine, N-(2,2-dimethyl-4-piperidinyl)-4-(7-fluoro-1H-indol-3-yl)- (CA INDEX NAME)

- RN 778646-22-3 CAPLUS
- CN 1-Azaspiro[5.5]undecan-4-amine, N-[4-(7-fluoro-1H-indol-3-y1)-2-pyrimidiny1]- (CA INDEX NAME)

- RN 778646-23-4 CAPLUS
- CN 2-Pyrimidinamine, N-[(2R,6R)-2,6-dimethyl-4-piperidinyl]-4-(1H-indol-3-yl), rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 778646-24-5 CAPLUS
- CN 2-Pyrimidinamine, 4-(7-chloro-1H-indol-3-yl)-N-[(2R,6R)-2,6-dimethyl-4-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 778646-25-6 CAPLUS
- CN 2-Pyrimidinamine, N-[(2R,6R)-2,6-dimethyl-4-piperidinyl]-4-(7-fluoro-1H-indol-3-yl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 778646-26-7 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-fluoro-lH-indol-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-27-8 CAPLUS
- CN 2-Pyrimidinamine, 4-(6-chloro-5-fluoro-1H-indol-3-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-28-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(7-chloro-5-fluoro-1H-indol-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-29-0 CAPLUS
- CN 2-Pyrimidinamine, 4-(6-chloro-7-fluoro-1H-indol-3-y1)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-37-0 CAPLUS
- CN 2-Propenenitrile, 2-methyl-3-[3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-1H-indol-7-yl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 778646-38-1 CAPLUS

CN 1H-Indole-6-propanol, 5-fluoro-α,α-dimethyl-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778646-39-2 CAPLUS

CN 1H-Indole-6-propanenitrile, 5-fluoro-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778646-40-5 CAPLUS

CN 1H-Indole-6-propanenitrile, 7-fluoro-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-41-6 CAPLUS
- CN 1H-Indole-7-propanol, 5-fluoro-α,α-dimethyl-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-42-7 CAPLUS
- CN 1H-Indole-6-propanenitrile, 3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-43-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-[2-(1H-imidazol-1-y1)ethy1]-1H-indol-3-y1]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-44-9 CAPLUS
- CN 1H-Indole-7-propanenitrile, 3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778646-45-0 CAPLUS

CN 1H-Indole-7-propanamide, N,N-dimethyl-3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778646-46-1 CAPLUS

CN 1H-Indole-7-propanenitrile, \(\alpha\)-methyl-3-[2-[(2,2,6,6-tetramethyl-4-priperidinyl)amino]-4-primidinyl]- (CA INDEX NAME)

ΙT 778646-65-4P, 2-Methyl-1-[5-[2-[(2,2,6,6-tetramethylpiperidin-4yl)amino]pyrimidin-4-yl]thiophen-2-yl]propan-2-ol 778646-69-8P, 2,3,3-Trimethy1-5-[5-[2-[(2,2,6,6-tetramethylpiperidin-4yl)amino]pyrimidin-4-yl]thiophen-2-yl]pentan-2-ol 778646-71-2P, 2-[(15,25)-2-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-y1)amino]pyrimidin-4yl]thiophen-2-yl]cyclopropyl]propan-2-ol 778646-74-5P, [4-[5-(2-Dimethylaminoethoxy)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6tetramethylpiperidin-4-yl)amine dihydrochloride 778646-82-5P, 5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophene-2carboxvlic acid phenethylamide 778646-83-6P, [4-(1H-Indol-3-yl)piperidin-1-yl][5-[2-[(2,2,6,6-tetramethylpiperidin-4yl)amino]pyrimidin-4-yl]thiophen-2-yl]methanone 778646-88-1P, 1-[2-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4vl]thiophen-2-vl]ethvl]cyclobutanol 778646-90-5P, (5-Azaspiro[3.5]nonan-8-y1)[4-(benzo[b]thiophen-2-y1)pyrimidin-2-y1]amine 778646-93-8P, [4-(Benzo[b]thiophen-2-v1)pyrimidin-2-v1](trans-2,6-

#### 10/552,317

 ${\tt dimethylpiperidin-4-y1)} \, {\tt amine} \,\, 778647-26-0P,$ 

N-[3-[2-1(2,2,6,6-Tetramethylpiperidin-4-y1)] amino]pyrimidin-4-y1]-1H-indol-7-y1]acetamide 778647-27-1P,

[4-(7-Bromo-1H-indol-3-yl)pyrimidin-2-yl](2,2,6,6-tetramethylpiperidin-4-yl)amine 778647-28-2P, [4-(1H-Pyrrolo[3,2-h]quinolin-3-

v1)pyrimidin-2-v1](2,2,6,6-tetramethylpiperidin-4-v1)amine

780767-19-3P, 8-Azabicyclo[3.2.1]oct-3-y1[4-(benzo[b]thiophen-2-

yl)pyrimidin-2-yl]-exo-amine 780767-20-6P 780767-21-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(IKK inhibitor; preparation of aminopyrimidines as inhibitors of TNF- $\alpha$  production for treating autoimmune diseases and inflammations)

RN 778646-65-4 CAPLUS

CN 2-Thiopheneethanol, a,a-dimethyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778646-69-8 CAPLUS

CN 2-Thiophenebutanol, α,α,β,β-tetramethyl-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)aminol-4-pyrim

[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778646-71-2 CAPLUS

CN Cyclopropanemethanol, a,a-dimethyl-2-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 778646-74-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[2-(dimethylamino)ethoxy]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)-, hydrochloride (1:2) (CA INDEX NAME)

#### ●2 HC1

- RN 778646-82-5 CAPLUS
- CN 2-Thiophenecarboxamide, N-(2-phenylethyl)-5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-83-6 CAPLUS
- CN Methanone, [4-(1H-indol-3-yl)-1-piperidinyl][5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]- (CA INDEX NAME)

pyrimidiny1]-2-thieny1]ethy1]- (CA INDEX NAME)

- RN 778646-88-1 CAPLUS
  CN Cyclobutanol, 1-[2-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-
- OH OH NH NH NH NH
- RN 778646-90-5 CAPLUS
- CN 5-Azaspiro[3.5]nonan-8-amine, N-(4-benzo[b]thien-2-yl-2-pyrimidinyl)- (CA INDEX NAME)

- RN 778646-93-8 CAPLUS
- CN 2-Pyrimidinamine, 4-benzo[b]thien-2-y1-N-[(2R,6R)-2,6-dimethy1-4-piperidiny1]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 778647-26-0 CAPLUS
CN Acetamide, N-[3-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4pyrimidinyl]-lH-indol-7-yl]- (CA INDEX NAME)

- RN 778647-27-1 CAPLUS
- CN 2-Pyrimidinamine, 4-(7-bromo-1H-indol-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778647-28-2 CAPLUS
- CN 2-Pyrimidinamine, 4-(1H-pyrrolo[3,2-h]quinolin-3-yl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 780767-19-3 CAPLUS

### Relative stereochemistry.

- RN 780767-20-6 CAPLUS
- CN 2-Pyrimidinamine, N-[(2R,6S)-2,6-dimethyl-4-piperidinyl]-4-(7-fluoro-1H-indol-3-yl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 780767-21-7 CAPLUS

CN 2-Pyrimidinamine, N-[(2R,6S)-2,6-dimethyl-4-piperidinyl]-4-(7-fluoro-1Hindol-3-yl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

IT 778645-56-0P, [4-(4-Bromophenyl)pyrimidin-2-yl](2,2,6,6tetramethylpiperidin-4-yl)amine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(intermediate, IKK inhibitor; preparation of aminopyrimidines as inhibitors of TNF- $\alpha$  production for treating autoimmune diseases and inflammations)

RN 778645-56-0 CAPLUS

CN 2-Pyrimidinamine, 4-(4-bromophenyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)-

#### (CA INDEX NAME)

RN

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778646-58-5P, [4-(5-Bromothiophen-2-v1)pyrimidin-2-v1](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778646-64-3P,
4-[5-[5-Methyl-2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
yl]thiophen-2-yl]butyric acid methyl ester 778646-76-7P,
4-[5-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]thiophen-
2-yl]piperazine-1-carboxylic acid ethyl ester 778646-78-9P,
(8-Azabicyclo[3.2.1]oct-3-yl)[4-[5-[4-(ethoxycarbonyl)piperazin-1-
v1]thiophen-2-v1]pvrimidin-2-v1]exo-amine 778646-80-3P,
5-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1)amino]pyrimidin-4-v1]thiophene-2-
carboxylic acid ethyl ester 778646-81-4P.
5-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1)amino]pyrimidin-4-v1]thiophene-2-
carboxylic acid 778646-84-7P.
1-[5'-[5-Methyl-2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
v1]-[2,2']bithiophenyl-5-yl]ethanone O-methyloxime 778646-85-8P,
Toluene-4-sulfonic acid 4-[5-[2-[(2,2,6,6-tetramethylpiperidin-4-
yl)amino]pyrimidin-4-yl]thiophen-2-yl]butyl ester 778646-86-9P,
[4-[5-(4-Methylsulfanylbutyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-yl)amine 778646-87-0P,
[4-[5-(4-Methylsulfinylbutyl)thiophen-2-yl]pyrimidin-2-yl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778647-01-1P,
[4-[6-(3-Amino-3-methylbut-1-vnvl)pvridin-3-vl]pvrimidin-2-vl](2,2,6,6-
tetramethylpiperidin-4-vl)amine 778647-02-2P.
(4-Phenylpyrimidin-2-yl) (2,2,6,6-tetramethylpiperidin-4-yl)amine
778647-03-3P, [4-[4-(3-Amino-3-methylbut-1-ynyl)phenyl]pyrimidin-2-
yl](2,2,6,6-tetramethylpiperidin-4-yl)amine 778647-04-4P,
3-[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vl]phenvl]propionic acid 778647-05-5P, Toluene-4-sulfonic acid
3-[4-[2-[(2,2,6,6-tetramethylpiperidin-4-v1)amino]pyrimidin-4-
vllphenvllpropvl ester 778647-07-7P, Toluene-4-sulfonic acid
1-methyl-3-[4-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
v1]phenv1]propv1 ester 778647-09-9P,
1-[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vl]phenvl]propan-2-one 778647-10-2P,
1-[4-[2-[(2,2,6,6-Tetramethylpiperidin-4-v1)amino]pyrimidin-4-
yl]phenyl]propan-2-ol 778647-11-3P, Toluene-4-sulfonic acid
1-methyl-2-[4-[2-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidin-4-
vl]phenyl]ethyl ester 778647-13-5P,
4-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]phenol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (intermediate; preparation of aminopyrimidines as inhibitors of TNF-\alpha
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(intermediate; preparation of aminopyrimidines as inhibitors of TNF-or production for treating autoimmune diseases and inflammations) 778646-58-5 CAPLUS

CN 2-Pyrimidinamine, 4-(5-bromo-2-thienyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778646-64-3 CAPLUS
- CN 2-Thiophenebutanoic acid, 5-[5-methyl-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)

- RN 778646-76-7 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-thienyl]-, ethyl ester (CA INDEX NAME)

- RN 778646-78-9 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[5-[2-[(3-exo)-8-azabicyclo[3.2.1]oct-3-ylamino]-4-pyrimidinyl]-2-thienyl]-, ethyl ester (CA INDEX NAME)

Relative stereochemistry.

- RN 778646-80-3 CAPLUS
- CN 2-Thiophenecarboxylic acid, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)

- RN 778646-81-4 CAPLUS
- CN 2-Thiophenecarboxylic acid, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778646-84-7 CAPLUS
- CN Ethanone, 1-[5'-[5-methyl-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl][2,2'-bithiophen]-5-yl]-, 0-methyloxime (CA INDEX NAME)

- RN 778646-85-8 CAPLUS
- CN 2-Thiophenebutanol, 5-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, 2-(4-methylbenzenesulfonate) (CA INDEX NAME)

- RN 778646-86-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[5-[4-(methylthio)butyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RN 778646-87-0 CAPLUS

CN 2-Pyrimidinamine, 4-[5-[4-(methylsulfinyl)butyl]-2-thienyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778647-01-1 CAPLUS
- CN 2-Pyrimidinamine, 4-[6-(3-amino-3-methyl-1-butyn-1-yl)-3-pyridinyl]-N(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778647-02-2 CAPLUS
- CN 2-Pyrimidinamine, 4-phenyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778647-03-3 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(3-amino-3-methyl-1-butyn-1-yl)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- RN 778647-04-4 CAPLUS
- CN Benzenepropanoic acid, 4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 778647-05-5 CAPLUS
- CN Benzenepropanol, 4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, 1-(4-methylbenzenesulfonate) (CA INDEX NAME)

- RN 778647-07-7 CAPLUS
- CN Benzenepropanol, α-methyl-4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, 1-(4-methylbenzenesulfonate) (CA INDEX NAME)

- RN 778647-09-9 CAPLUS
  - CN 2-Propanone, 1-[4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

RN 778647-10-2 CAPLUS

CN Benzeneethanol, α-methyl-4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 778647-11-3 CAPLUS

CN Benzeneethanol,  $\alpha$ -methyl-4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-, 1-(4-methylbenzenesulfonate) (CA INDEX NAME)

RN 778647-13-5 CAPLUS

CN Phenol, 4-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl]-(CA INDEX NAME)

IT 778646-77-8, 5-Bromo-2-[[(8-azabicyclo[3.2.1]oct-3-y1)exoamino]pyrimidin-4-y1]thiophene

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of aminopyrimidines as inhibitors of TNF- $\alpha$  production for treating autoimmune diseases and inflammations)

RN 778646-77-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-amine, N-[4-(5-bromo-2-thienyl)-2-pyrimidinyl], (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 582

- L17 ANSWER 51 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2004:857595 CAPLUS AN
- 141:350190 DN
- TI Preparation of thiazoles as inhibitors of protein kinases
- Brenchley, Guy; Farmer, Luc J.; Harrington, Edmund Martin; Knegtel, TN Ronald; O'Donnell, Michael; Salituro, Francesco G.; Studley, John R.; Wang, Jian
- Vertex Pharmaceuticals Incorporated, USA
- SO PCT Int. Appl., 139 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1																								
	PATENT NO.									APPL														
PI	WO	WO 2004087699					A2 20041014																	
	WO 2004087699					A3 20041209																		
		W:									BB,													
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,						
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,						
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,						
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,						
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,						
			BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,						
			ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,						
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,						
			TD,	TG																				
	AU	2004	2259					2004									20040325							
	CA	2523	126			A1		2004	1014		CA 2	004-	004-225977 20040325 004-2523126 20040325											
	US	2005	0004	150	50 A1 20050106 US 2004-809946 20040325																			
	US	7276	5502 B2 20071002																					
	EP	1605	946			A2	A2 20051221					EP 2004-758338						20040325						
	EP 1605946					B1		2008	0528															
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,						
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK						
	JP	2006	5221	25		T 20060928					JP 2	006-		20040325										
	ΑT	3967	31			T 20080615 AT 200						004-	006-509290 20040325 004-758338 20040325											
PRAI	US	2003	-457	468P		P		2003	0325															
	WO	2004	-US9	166		W		2004	0325															
os	MAI	RPAT	141:	3501	90																			
AB		e tit																						
	(ui	n) sub	stit	uted	alk	yl; i	Ar1	= (u	n) sul	bsti	tute	d ar	yl,	hete:	roar	yl, :	etc.	; R3,						
	R4	= ZR	7; 0	r R3	and	R4	are	take	n to	geth	er t	o fo	rm (	un)s	ubst.	itut	ed							
		n)sat																						
	alkylidene, etc.; R7 = halo, CN, NO2, etc.], useful of inhibitors of												f											

- protein kinases, were prepared E.g., a multi-step synthesis of II, starting from benzothiazole, was given. The compds. I were tested against SYK and ZAP-70 kinases (data given for representative compds. I). The invention also provides pharmaceutically acceptable compns. comprising said compds. I and methods of using the compns. in the treatment of various disease,
- conditions, or disorders. 774229-12-8P 774229-32-2P 774229-55-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
  - (preparation of thiazoles as inhibitors of protein kinases)

### 10/552,317

- RN 774229-12-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-(5-methyl-2-thiazolyl)-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 774229-32-2 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-methyl-2-thiazolyl)-N-4-piperidinyl- (CA INDEX NAME)

- RN 774229-55-9 CAPLUS
- CN 2-Pyrimidinamine, 4-(5-methyl-2-thiazolyl)-N-4-pyridinyl- (CA INDEX NAME)

- L17 ANSWER 52 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2004:857175 CAPLUS AN
- 141:350167 DN
- TΙ Preparation of imidazolin-2-one derivatives as p38 MAP kinase inhibitors
- Kubo, Akira; Imashiro, Ritsuo; Sakurai, Hiroaki; Miyoshi, Hidetaka; IN Ogasawara, Akihito; Hiramatsu, Hajime; Nakajima, Tatsuo; Nakane, Tetsu
- PA Japan SO U.S. Pat. Appl. Publ., 76 pp., Cont.-in-part of Appl. No. PCT/JP02/10937. CODEN: USXXCO
- DT Patent.
- LA English

FAN.CN	IT 2	
P	ATENT	

						KIND DATE				APPLICATION NO.						DATE			
PI	US 2	A1 20041014				US 2	004-		20040420										
	WO 2	2003035638			A1 2003050			0501	WO 2002-JP10937						20021022				
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
			FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	
			CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
	AU 2	2004201666				A1		2004	0513		AU 2	004-	2016	20040421					
	WO 2004094404				A1		20041104			WO 2	004-	JP57	16		20040421				
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
			BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
		TD, TG																	
	JP 2	JP 2004339210				A		2004	1202		JP 2	004-	1250	20040421					
	EP 1	EP 1628968				A1 20060301				EP 2004-728708					20040421				
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
								TR,											
PRA1	JP 2	2001				A		2001											
	JP 2002-263680 WO 2002-JP10937					A 20020910													
						A2		2002											
	JP 2	2003	-116	076		A		2003	0421										
	AU 2002-363108					A3		2002											
						W		2004											
OS																			
AB							erei	n G1	= (:	ın)s	ubst	itut	ed a	1kv1	or	B-W:	B =		

The title compds. I [wherein G1 = (un)substituted alkvl or B-W; B = (un) substituted Ph, naphthyl, aromatic heterocyclyl, or cycloalkyl; W = asingle bond or (un)substituted alkylene; Q1 and Q2 = independently H, halo, alkyl; n = 0-4; R1 = H, (un)substituted (cyclo)alkyl, Ph, or heterocyclyl; Z1-Z4 = independently CH or N with exclusions; G2 = H, NR3R4, OR5, SR5, COR6, CHR7R8, or heterocyclyl; R3-R8 = independently H, alkenyl, alkynyl, OH, alkoxy, alkoxyoxalyl, alkylsulfonyl, (un)substituted

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alkyl, amino, alkanoyl, carbamoyl, cycloalkyl, Ph, heterocyclyl(carbonyl), PhCO, or heterocyclyl-CO] and pharmaceutically acceptable salts were prepared as p38 mitogen activation proteins (MAP) kinase inhibitors. Thus, reacting 2,2-diethoxy-2-(pyridin-4-yl)ethylamine (preparation given) with 4-fluorophenyl isocyanate afforded the indiazolinone II. The representative compds. I significantly reduced the production of TNF- $\alpha$  in mice in vivo.

T 521090-46-0P 521090-47-1P 521090-48-2P 521090-50-6P 521090-51-7P 521090-60-8P 521091-47-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

(MAP kinase inhibitor; preparation of imidazolinones as p38 MAP kinase inhibitors)

RN 521090-46-0 CAPLUS

CN Benzonitrile, 2-[[3-(4-fluorophenyl)-2,3-dihydro-4-[2-[(1-methyl-4-piperidinyl)amino]-4-pyrimidinyl]-2-oxo-1H-imidazol-1-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 521090-47-1 CAPLUS

CN Benzonitrile, 2-[[3-(4-fluorophenyl)-2,3-dihydro-2-oxo-4-[2-[[1-(phenylmethyl)-4-piperidinyl]amino]-4-pyrimidinyl]-IH-imidazol-1-yl]methyl]- (CA INDEX NAME)

- RN 521090-48-2 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[1-[(2-cyanophenyl)methyl]-3-(4-fluorophenyl)-2,3-dihydro-2-oxo-lH-imidazol-4-yl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 521090-50-6 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[1-(2-cyanophenyl)methyl]-3-(4fluorophenyl)-2,3-dihydro-2-oxo-1H-imidazol-4-yl]-2-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 521090-51-7 CAPLUS
- CN Benzonitrile, 2-[[3-(4-fluorophenyl)-2,3-dihydro-2-oxo-4-[2-(4-piperidinylamino)-4-pyrimidinyl]-lH-imidazol-1-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

# ●2 HC1

- RN 521090-60-8 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1-[(2-fluorophenyl)methyl]-1,3-dihydro-4-[2-(4-piperidinylamino)-4-pyrimidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

## ●2 HC1

RN 521091-47-4 CAPLUS

CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-(4-piperidinylamino)-4-pyrimidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

# ●2 HC1

#### 10/552,317

RL: PRPH (Prophetic)

(Preparation of imidazolin-2-one derivatives as p38 MAP kinase inhibitors)

RN 1070144-05-6 CAPLUS

No. 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[4-methyl-1-(methylsulfonyl)-4-plperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-vl)- (CA INDEX NAME)

RN 1070144-08-9 CAPLUS

CN 2H-Imidazol-2-one, 3-(3-chlorophenyl)-1,3-dihydro-4-[2-[[4-methyl-1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

RN 1070144-10-3 CAPLUS

CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[(1-[(1-methylethyl)sulfonyl]-4piperidinyl]amino[-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1070144-11-4 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1070144-13-6 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[4-methyl-1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-[(tetrahydro-2Hpyran-4-yl)methyl]- (CA INDEX NAME)

- RN 1070144-15-8 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-3furanyl)- (CA IMDEX NAME)

- RN 1070144-18-1 CAPLUS
- CN 2H-Imidazo1-2-one 4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylpropyl)- (CA INDEX NAME)

RN 1070144-24-9 CAPLUS

Me

CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[1-(methylsulfonyl)-4piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl]phenyl]- (CA INDEX NAME)

- RN 1070144-25-0 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[(1-acetyl-4-methyl-4-piperidinyl)amino]-4-pyrimidinyl]-1,3-dihydro-1-(tetrahydro-2H-pyram-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1070144-29-4 CAPLUS CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-
- CN Zn=imitazo1=z-one, 3-(4=10toplieny1)-1, 3-dinydro-4=[2-[[1-(methylsulfony1)-4-piperidiny1]amino]-4-pyrimidiny1]-1-[(tetrahydro-2Hpyran-4-y1)methy1]- (CA INDEX NAME)

- RN 1070144-30-7 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-[(1methylethyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)

- RN
- 1070144-35-2 CAPLUS 2H-Inidazol-2-one, 4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(tetrahydro-3-furanyl)- (CA CN INDEX NAME)

- RN 1070144-36-3 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- RN 1070144-40-9 CAPLUS
- CN INDEX NAME NOT YET ASSIGNED

- Ме
- 1070144-41-0 CAPLUS RN
- 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-(2-[[1-[(1-methylethyl)sulfonyl]-4-piperidinyl]amino)-4-pyrimidinyl]-1-(1-methylpropyl)- (CA INDEX NAME) CN

- Me

- Me
- RN 1070144-48-7 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[(1-acetyl-4-methyl-4-piperidinyl)amino]-4-pyrimidinyl]-1,3-dihydro-3-(3-methylphenyl)-1-(tetrahydro-2H-pyran-4-yl)-(CA INDEX NAME)

- RN 1070144-53-4 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[4-methyl-1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-3-(3-methylphenyl)-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)

- RN 1070144-56-7 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[(1-acetyl-4-piperidinyl)amino]-4-pyrimidinyl]-1,3dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl)- (CA
  INDEX NAME)

- RN 1070144-57-8 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-4-[2-[[4-methyl-1-(methylsulfonyl)-4piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 1070144-59-0 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-[(tetrahydro-2H-pyran-4yl)methyl]- (CA INDEX NAME)

- RN 1070144-62-5 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-[(1-methyllsthyl)sulfonyl]-4-plperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-3-furanyl)- (CA INDEX NAME)

RN 1070144-65-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Me

RN 1070144-68-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1070144-71-6 CAPLUS

CN 2H-Imidazol-2-one, 4-[2-[(1-acetyl-4-methyl-4-piperidinyl)amino]-4pyrimidinyl]-3-(3-chlorophenyl)-1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-(CA INDEX NAME)

RN 1070144-73-8 CAPLUS

CN 2H-Imidazol-2-one, 4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4pyrimidinyl]-1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[3(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 1070144-76-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1070144-78-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1070144-79-4 CAPLUS

CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[4-methyl-1(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-3furanyl)- (CA INDEX NAME)

RN 1070144-81-8 CAPLUS
CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylpropyl)-4-[2[[1-(methylpulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

Ме

774579-33-8P 774579-49-6P 774579-50-9P 774579-76-9P 774579-77-0P 774579-78-1P 774579-79-2P 774579-80-5P 774579-81-6P 774579-82-7P 774579-83-8P 774579-84-9P 774579-85-0P 774579-86-1P 774579-87-2P 774579-88-3P 774579-89-4P 774579-90-7P 774579-91-8P 774579-92-9P 774579-93-0P 774579-96-3P 774579-99-6P 774580-00-6P 774580-01-7P 774580-03-9P 774580-04-0P 774580-05-1P 774580-06-2P 774580-07-3P 774580-08-4P 774580-09-5P 774580-10-8P 774580-11-9P 774580-16-4P 774580-17-5P 774580-18-6P 774580-19-7P 774580-23-3P 774580-24-4P 774580-25-5P 774580-48-2P 774580-49-3P 774580-50-6P 774580-59-5P 774580-60-8P 774580-61-9P 774580-71-1P 774580-72-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of imidazolinones as p38 MAP kinase inhibitors)

RN 774579-33-8 CAPLUS

CN 2H-Imidazol-2-one, 1-ethyl-3-(4-fluorophenyl)-1,3-dihydro-4-[2-(4-piperidinylamino)-4-pyrimidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

# ●2 HC1

RN 774579-49-6 CAPLUS

CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(3-hydroxy-3-methylbutyl)-4-(2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 774579-50-9 CAPLUS

CN 2H-Imidazol-2-one, 4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(3-hydroxy-3-methylbutyl)-,
hydrochloride (1:1) (CA INDEX NAME)

- RN 774579-76-9 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-methylsulfonyl)-4-plperidinyl]laminol-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (1:1) (CA INDEX NAME)

HCl

- RN 774579-77-0 CAPLUS
- CN 2H-Imidazol-2-one, 1-(1-acetyl-4-piperidinyl)-3-(4-fluorophenyl)-1,3-dihydro-4-[2-([1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

# ● HCl

- RN 774579-78-1 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[(1-acetyl-4-piperidinyl)amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

# i-Pr

# ● HCl

- RN 774579-79-2 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774579-80-5 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[1-[(1-methylethyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

### HC1

- RN 774579-81-6 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2-[1-(propylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774579-82-7 CAPLUS

# ● HCl

- RN 774579-83-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[3-(4-fluorophenyl)-2,3-dihydro-1-(1-methylethyl)-2-oxo-1H-imidazol-4-yl)-2-pyrimidinyl]amino]-, 2-methylpropyl ester, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 774579-84-9 CAPLUS
CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-4-[2[[1-(1-oxobutyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1)
(CA INDEX NAME)

● HC1

- RN 774579-85-0 CAPLUS
  CN 2H-Imidazol-2-one, 4-[2-[(1-acetyl-4-piperidinyl)amino]-4-pyrimidinyl]-1-
  - CN 2H-Imidazo1-2-one, 4-[2-[(1-acety1-4-piperidiny1)amino]-4-pyrimidiny1]-1ethyl-3-(4-fluoropheny1)-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

RN 774579-86-1 CAPLUS
CN 2H-Imidazol-2-one, 1-ethyl-3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1)
(CA INDEX NAME)

## HC1

- RN 774579-87-2 CAPLUS
- CN 2H-Imidazol-2-one, 1-ethyl-4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774579-88-3 CAPLUS
- NN 174579-0-0 CARDON (No. 17457) NN 174579-0 CARDON (NO. 17457) CARDON (NO. 17457) NN 174579-0 CARDON (NO. 17457) NN 174579-

### HC1

- RN 774579-89-4 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[3-(4-fluoropheny1)-2,3-dihydro-1-(1-methylethyl)-2-oxo-lH-imidazol-4-yl]-2-pyrimidinyl]amino]-N-propyl-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774579-90-7 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[3-(4-fluoropheny1)-2,3-dihydro-1-(1-methylethyl)-2-oxo-IH-imidazol-4-yl]-2-pyrimidinyl]amino]-N-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774579-91-8 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(1-methylethyl)-,hydrochloride (1:1) (CA INDEX NAME)

- RN 774579-92-9 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[3-(4-fluorophenyl)-2,3-dihydro-1-(1-methylethyl)-2-oxo-1H-imidazol-4-yl]-2-pyrimidinyl]amino]-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)

#### ● HC1

- RN 774579-93-0 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[3-(4-fluorophenyl)-2,3-dihydro-1-(1-methylethyl)-2-oxo-1H-imidazol-4-yl]-2-pyrimidinyl]amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

- RN 774579-96-3 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(2-hydroxy-2-methylpropyl)-4-[2-[[1-[(1-methylethyl)sulfonyl]-4-piperidinyl]amino]-4-pyrinidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

#### ● HC1

- RN 774579-99-6 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1, 3-dihydro-1-(2-methylpropyl)-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774580-00-6 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-[(1-methyl+hyl) suifonyl]-4-piperidinyl]amino]-4-pyrimidinyl]-1-(2-methylpropyl)-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 774580-01-7 CAPLUS
- CN 2H-Imidazol-2-one, 1-(cyclopropylmethyl)-3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- 774580-03-9 CAPLUS 2H-Inidazol-2-one, 4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-, CN hydrochloride (1:1) (CA INDEX NAME)

#### HC1

- RN 774580-04-0 CAPLUS
- CN 2H-Imidazo1-2-one, 3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-[(1methylethyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2Hpyran-4-yl)-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774580-05-1 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[3-(4-fluorophenyl)-2,3-dihydro-2-oxo-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-4-yl]-2-pyrimidinyl]amino]-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)

#### ● HC1

- RN 774580-06-2 CAPLUS

- RN 774580-07-3 CAPLUS
- CN 2H-Imidazol-2-one, 4-[2-[(1-acetyl-4-piperidinyl)amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (1:1) (CA 1NDEX NAME)

- RN 774580-08-4 CAPLUS
- Name of the control o

- RN 774580-09-5 CAPLUS
- NN //4580-09-5 CAFEGO CN 2H-Imidazol-2-one, 4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-1-(methoxymethyl)-,hydrochloride (1:1) (CA INDEX NAME)

MeO-CH2

- RN 774580-10-8 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1,3-dihydro-1-(methoxymethyl)-4-[2-[12-((1-methylethyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

MeO-CH2

## HC1

- RN 774580-11-9 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[4-[3-(4-fluorophenyl)-2,3-dihydro-2-oxo-1-(tetrahydro-2H-pyran-4-yl)-1H-imidazol-4-yl)-2-pyrimidinyl]amino]-N,N-dimethyl-, hydrochloride (l:1) (CA INDEX NAME)

- RN 774580-16-4 CAPLUS
- CN 2H-Imidasol-2-one, 1-cyclobutyl-3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774580-17-5 CAPLUS
- CN 2H-Imidazol-2-one, 1-cyclobuty1-4-[2-[(1-(ethylsulfony1)-4-piperidiny1]amino]-4-pyrimidiny1]-3-(4-fluoropheny1)-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774580-18-6 CAPLUS
- CN 2H-Imidazol-2-one, 1-cyclobutyl-3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-[(1-methylethyl)sulfonyl]-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 774580-19-7 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[4-[1-cyclobutyl-3-(4-fluorophenyl)-2,3-dihydro-2-oxo-1H-imidazol-4-yl]-2-pyrimidinyl]amino]-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 774580-23-3 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[4-[3-(4-fluorophenyl)-2,3-dihydro-1-(methoxymethyl)-2-0xo-1H-imidazol-4-yl]-2-pyrimidinyl]amino]-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

MeO-CH2

## ● HCl

- RN 774580-24-4 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[[4-[3-(4-fluoropheny1)-2,3-dihydro-1-(2-hydroxy-2-methylpropy1)-2-oxo-1H-imidazo1-4-y1]-2-pyrimidiny1]amino]-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 774580-25-5 CAPLUS
- CN 1-Piperidinesulfonamide, 4-[(4-[3-(4-fluorophenyl)-2,3-dihydro-1-(3-hydroxy-3-methylbutyl)-2-oxo-1H-imidazol-4-yl]-2-pyrimidinyl]amino]-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774580-48-2 CAPLUS
- CN 2H-Imidazol-2-one, 1-cyclopentyl-4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-,hydrochloride (1:1) (CA INDEX NAME)

## HC1

- RN 774580-49-3 CAPLUS
- CN 2H-Imidazol-2-one, 1-cyclopentyl-3-(4-fluorophenyl)-1, 3-dihydro-4-[2-[[1-(1-methylethyl)sulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774580-50-6 CAPLUS
- CN 2H-Imidazol-2-one, 1-cyclopentyl-3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

## ● HC1

- RN 774580-59-5 CAPLUS
- CN 2H-Imidazol-2-one, 1-cyclohexyl-4-[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-3-(4-fluorophenyl)-1,3-dihydro-,hydrochloride (1:1) (CA INDEX NAME)

#### HC1

- RN 774580-60-8 CAPLUS
- CN 2H-Imidazol-2-one, 1-cyclohexyl-3-(4-fluorophenyl)-1,3-dihydro-4-[2-[{1-(1-methylethyl)sulfonyl)-4-piperidinyl]amino)-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774580-61-9 CAPLUS
- CN 2H-Imidazol-2-one, 1-cyclohexyl-3-(4-fluorophenyl)-1,3-dihydro-4-[2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774580-71-1 CAPLUS
- CN 2H-Imidazol-2-one, 1,3-dihydro-3-(3-methylphenyl)-4-[2-[[1-(methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (1:1) (CA INDEX NAME)

- RN 774580-72-2 CAPLUS
- CN 2H-Imidazol-2-one, 3-(3-chlorophenyl)-1,3-dihydro-4-[2-[[1-(methylsulfonyl)-4-piperidinyl]laminol-4-pyrimidinyl]-1-(tetrahydro-2Hpyran-4-yl)-, hydrochloride (1:1) (CA INDEX NAME)

• HC1

- L17 ANSWER 53 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:372883 CAPLUS
- DN 140:375182
- TI Preparation of 3-(pyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-ones which provide analgesia
- IN Clark, Michael Philip; Laufersweiler, Matthew John; De, Biswanath; Janusz, Michael John
- PA The Procter & Gamble Company, USA
- SO U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of U.S. Ser. No. 246,214.
- DT Patent
- LA English
- FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040087639	A1	20040506	US 2003-689388	20031020
PI	US 7087615	B2	20040506	05 2003-689388	20031020
	US 20030134867	A1	20030717	US 2002-246214	20020918
	US 6730668	B2	20040504	00 2002 210211	20020310
	CN 1681819	A	20051012	CN 2003-821850	20030318
	CN 1315834	С	20070516		
	ZA 2005001590	A	20060222	ZA 2005-1590	20050223
	KR 2007051374	A	20070517	KR 2007-710029	20070502
	KR 842191	B1	20080630		
	KR 2007087684	A	20070828	KR 2007-716926	20070723
PRAI		P	20010920		
	US 2002-246214	A2	20020918		
	WO 2003-US8477	W	20030318		
	KR 2005-704666 KR 2007-710029	A3 A3	20050318		
OS	MARPAT 140:375182	AS	20070302		

AB The present invention relates to compds, which are capable of preventing the extracellular release of inflammatory cytokines, said compds., including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, have the formula (I) [R = O(CH2)kR3, (un) substituted NH2 (wherein k = 0-5; R3 = (un) substituted alkyl, hydrocarbyl, heterocyclyl, aryl, alkylenearyl, heteroaryl, or alkyleneheteroaryl); R1 = (un)substituted (hetero)aryl; R2 = H, (CH2) iO (CH2) nR8, (CH2) iNR9aR9b, (CH2) iCO2R10, (CH2) iOCO2R10, (CH2) iCON(R10)2, (CH2) iCCON(R10)2; or two R2 units can be taken together to form a CO unit (wherein R8, R9a, R9b, R10 = H, alkyl; or R9a and R9b are taken together to form carbocyclic or heterocyclic ring; j, n = 0-5); Z = O, S, NR11, NOR11 (R11 = H, alkyl)]. Interleukin-1 (IL-1) and tumor necrosis factor- $\alpha$  (TNF- $\alpha$ ) are among the important biol. substances known collectively as cytokines and understood to mediate the inflammatory response associated with the immunol, recognition of infectious agents. These pro-inflammatory cytokines are suggested as an important mediators in many disease states or syndromes, inter alia, rheumatoid arthritis, osteoarthritis, inflammatory bowel disease (IBS), septic shock, cardiopulmonary dysfunction, acute respiratory disease, cachexia, and therefore responsible for the progression and manifestation of human disease states. The compds. I can provide pain relief, and reduce psoriasis in humans or higher mammal (data provided for one of the compds. I). Thus, 6.0 g Me 4-fluorophenylacetate was added to a cold (-78°) solution of lithium diisopropylamide (2M, 21.4 mL)in THF and stirred at -78° for 1 h at -78°, followed by adding dropwise a solution of 6.0 g 2-methylsulfanylpyrimidine-4-carboxaldehyde (preparation

given) in 30 mL THF and the resulting mixture was stirred for 45 min at -78° to give, after workup and silica gel chromatog., 8.7 g 2-(4-fluorophenyl)-3-(2-methylsulfanylpyrimidin-4-yl)-3-hydroxypropionic acid Me ester (II) (76 %). To a suspension of CrO3 in CH2C12 (300 mL) was added pyridine and stirred vigorously for 1 h at room temperature, followed by adding a solution of the crude II prepared above in 50 mL CH2Cl2 dropwise, and the reaction mixture was stirred at room temperature for 16 h to give, after workup and silica gel chromatog., 3.7 g 2-(4-fluorophenvl)-3-(2-methylsulfanylpyrimidin-4-vl)-3-oxopropionic acid Me ester (III) (43% yield) as a yellow solid. To a solution of 7.8 q pyrazolidine in 100 mL pyridine was added 11.5 q 2-(4-fluorophenyl)-3-(2-methylsulfanylpyrimidin-4-yl)-3-oxopropionic acid Me ester and heated to 90° for 16 h to give, after silica gel chromatog., 3.9 g 2-(4-fluorophenyl)-3-(2-methylsulfanylpyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-one (37%) which (1.3 g) was dissolved in a 1:1 mixture of THF and MeOH (56 mL), treated dropwise with 9.34 q Oxone in 42 mL H2O, and stirred at room temperature for 1 h to give 2-(4-fluorophenyl)-3-(2-methanesulfonylpyrimidin-4-yl)-6,7-dihydro-5Hpyrazolo[1,2-a]pyrazol-1-one. The pharmaceutical compns. comprising the compound I are claimed.

IT 503072-98-8P, 2-(4-Fluorophenyl)-3-[2-[[1(propanesulfonyl)piperidin-4-yl]amino]pyrimidin-4-yl]-6,7-dihydro-5Hpyrazolo[1,2-a]pyrazol-1-one

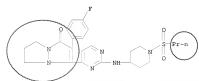
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (pyrimidinyl)dihydro-5H-pyrazolo[1,2-a]pyrazolones which provide analgesia)

RN 503072-98-8 CAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one,

2-(4-fluorophenyl)-6,7-dihydro-3-[2-[[1-(propylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RE.CNT 6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

#### 10/552,317

- L17 ANSWER 54 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:162457 CAPLUS
- DN 140:199322
- TI Preparation of 6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-ones for the
- prevention of extracellular release of inflammatory cytokines
- IN Clark, Michael Philip; Laufersweiler, Matthew John; Golebiowski, Adam; Sabat, Mark; Brugel, Todd Andrew
- PA The Procter & Gamble Company, USA
- SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S. Ser. No. 246,214. CODEN: USXXCO
- DT Patent
- LA English

## FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	US 20040038971	A1	20040226	US 2003-390216	20030317		
	US 6849627	B2	20050201				
	US 20030134867	A1	20030717	US 2002-246214	20020918		
	US 6730668	B2	20040504				
	CN 1681819	A	20051012	CN 2003-821850	20030318		
	CN 1315834	С	20070516				
	ZA 2005001590	A	20060222	ZA 2005-1590	20050223		
	KR 2007051374	A	20070517	KR 2007-710029	20070502		
	KR 842191	B1	20080630				
	KR 2007087684	A	20070828	KR 2007-716926	20070723		
PRAI	US 2001-323625P	P	20010920				
	US 2002-246214	A2	20020918				
	WO 2003-US8477	W	20030318				
	KR 2005-704666	A3	20050318				
	KR 2007-710029	A3	20070502				
OS	MARPAT 140:199322						

OS MARPAT 140:199322

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2 = H,

Inter Computs: I (RT - unisubstituted ary), metabolity; RZ - n, (CR2); jO(CR2); RR6, (CR2); jO(CR2); RR6, (CR2); GOZR10, etc., RR6, R10 = H, alkyl; N = 0-5; Z = 0, S, NR11, etc., R11 = H, alkyl; R3 = (unisubstituted aryl, heteroaryl) and their pharmaceutically acceptable salts were prepared For example, condensation of sulfone II, e.g., prepared from pyruvic aldehyde di-Me acetal in 4-steps, and (S) -methylbenzylamine afforded pyrazolopyrazoloper III. In cell based interleukin-1, cyclooxygenase-2 and tumor necrosis factor assaws, compds. I exhibited ICSO values below 1.0 µM (sic).

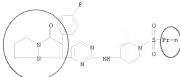
IT 503072-98-8 1055722-42-3 RL: PRPH (Prophetic)

(Preparation of 6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-ones for the prevention of extracellular release of inflammatory cytokines)

RN 503072-98-8 CAPLUS CN 1H.5H-Pyrazolo[1.2-

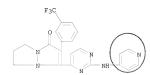
1H,5H-Pvrazolo[1,2-a]pvrazol-1-one,

2-(4-fluorophenyl)-6,7-dihydro-3-[2-[[1-(propylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 1055722-42-3 CAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 6,7-dihydro-3-[c-(4-pyridinylamino)-4-pyrimidinyl]-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IT 660857-85-2P

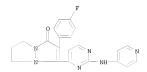
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazolo[1,2-a]pyrazolones for the prevention of extracellular release of inflammatory cytokines)

RN 660857-85-2 CAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one,

2-(4-fluorophenyl)-6,7-dihydro-3-[2-(4-pyridinylamino)-4-pyrimidinyl]-(CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 55 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:143155 CAPLUS
- DN 140:199339
- TI Preparation of 6-aminopurines and related compounds as selective
- phosphodiesterase-4 inhibitors for treatment of psychosis and inflammation IN Liu, Ruiping; Hopper, Allen T.; Tehim, Ashok; Hess, Hans-Jurgen E.; Rong,
- Yajing
  PA Memory Pharmaceuticals Corporation, USA
- SO PCT Int. Appl., 125 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

FAN.CNT 1																				
	PATENT NO.						KIND		DATE											
PI		2004									WO 2	003-	JS24	914		2	0030	808		
	WO	2004																		
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								IE,												
								CM,												
											CA 2003-2494028 AU 2003-264017									
	EP										EP 2003-785075 GB, GR, IT, LI, LU,									
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AB						= NH	R1 •	R1 = H, (un)substituted alkyl, cycloalkyl,												
		·: / ^		(uii)	Subs	11	Leu	aryl, heteroaryl, heteroarylalkyl, etc.,] an												

AB Title compds. I [X = NHRI; Rl = H, (un)substituted alkyl, cycloalkyl, etc.; R2 = (un)substituted aryl, heteroaryl, heteroarylalkyl, etc., l and their pharmaceutically acceptable salts were prepared For example, electrophilic substitution of aminocyclopropane with compound I [X = Cl; R2 = 2-fluorobenzyl], e.g., prepared from 5-aminoimidazole-4-carboxamide hydrochloride in 3-steps, followed by acid work-up furnished compound I [R1 = cyclopropyl; R2 = 2-fluorobenzyl] methanesulfonate in 80.3% overall yield. In human FDE-4 inhibition assays, compds. I showed indicative (sic.) inhibition of FDE-4 activity (no data provided). Compds. I are claimed useful for the treatment of psychosis, Alzheimer's disease, allergy, inflammation, etc.

IT 660867-32-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 6-aminopurines and related compds. as selective PDE-4

inhibitors for treatment of psychosis and inflammation)

RN 660867-32-3 CAPLUS

CN 9H-Purin-6-amine, N-cyclopropyl-9-[2-(4-pyridinylamino)-4-pyrimidinyl]-2-(trifluoromethyl)- (CA INDEX NAME)

- L17 ANSWER 56 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2003:991504 CAPLUS AN
- DN 140:42177
- TI Preparation of pyrazoles as p38α kinase, TNF and/or cyclooxygenase-2 inhibitors
- IN Benson, Alan G.; Fraher, Thomas Phillip; Hepperle, Michael E.; Jerome, Kevin D.; Naing, Win; Selness, Shaun Raj; Walker, John K.
- PA Pharmacia Corporation, USA
- PCT Int. Appl., 341 pp. CODEN: PIXXD2
- DT Patent
- T.A English
- FAN.CNT 1

	PATENT NO.						KIND DATE				APPL:									
PI	WO	2003	1042	23		A1									20030605					
		W:						AU,												
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PRAI		2002																		
	WO	2003	-US1	7906		W		2003	0605											

OS

MARPAT 140:42177 This invention is directed generally to pyrazoles (shown as I; variables defined below; most examples of I are 4-pyrimidinylpyrazoles, e.g. II) that, inter alia, inhibit p38a kinase (data included), TNF (no data), and/or cyclooxygenase-2 (no data) activity. The pyrazoles further include tautomers of such compds., as well as salts of such compds. and tautomers. This invention also is directed to compns. of such pyrazoles, intermediates for the syntheses of such pyrazoles, methods for making such pyrazoles, and methods for treating (including preventing) conditions (particularly pathol. conditions) associated with p38 kinase, TNF, and/or cyclooxygenase-2 activity. For I: L1 = a bond, O, S, S(O), S(O)2, N(Ra), C(O), C(O)N(Ra), N(Ra)C(O), C(O)O, OC(O), OC(O)O, C(H):C(H), C.tplbond.C, N:N, N(Ra)N(Ra), N(Ra)C(0)N(Ra), C(S)N(Ra), N(Ra)C(S), CH2, OCH2, CH20, SCH2, and CH2S; and L2 = 0, S, S(0), S(0)2, N(Ra), C(0), C(0)N(Ra), N(Ra)C(O), C(O)O, OC(O), OC(O)O, C(H):C(H), C.tplbond.C, N:N, N(Ra)N(Ra), N(Ra)C(O)N(Ra), C(S)N(Ra), N(Ra)C(S), CH2, OCH2, CH2O, SCH2, and CH2S. X1 = N and C bonded to H, except that X1 is C bonded to H if any of X2, X3, X5, or X6 is NH or O; and X2 = CH2, NH, and O, except that X2 is CH2 if X3is O or NH; and X3 = CH2, NH, and O, except that X3 is CH2 if X2 is O or NH; and X4 = N and C bonded to H; and X5 = CH2 and NH, except that X5 is

CH2 if X3 is O or X6 is NH; and X6 = CH2 and NH, except that X6 is CH2 if X2 is O or X5 is NH. R1 = H, hydroxyalkyl, carboxyalkyl, aminoalkyl, aminocarbonylalkyl, and aminocarbonylaminoalkyl; R3A and R3B = halogen, hydroxy, cyano, amino, alkyl, aminoalkyl, monoalkylamino, dialkylamino, alkoxy, and alkoxyalkyl; and R3c = H, halogen, hydroxy, cyano, amino, alkyl, aminoalkyl, monoalkylamino, dialkylamino, alkoxy, and alkoxyalkyl; R4 = pyridinyl, pyrimidinyl, maleimidyl, pyridonyl, pyridazinyl, pyrazinyl, triazinyl, tetrazinyl, benzazinyl, benzodiazinyl, naphthyridinyl, pyridopyridinyl, pyrinyl, thiazolyl, isothiazolyl, thiazolylalkyl, isothiazolylalkyl, thiazolylamino, isothiazolylamino, thiomorpholinyl, the sulfoxide of thiomorpholinyl, and the sulfone of thiomorpholinyl; R5 = H, hydroxy, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, alkoxyalkoxyalkyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, carbocyclyl, carbocyclylalkyl, heterocyclyl, and heterocyclylalkyl; addnl. details are given in the claims. Although the methods of preparation are not claimed, 28 example prepns. and characterization data for an addnl. 114 examples of I are included. For example, II was prepared from 4-[3-(4-chlorophenyl)-4-(pyrimidin-4-yl)-1H-pyrazol-5-yl]cyclohexanone and ((R)-(+)-α-methylbenzyl)amine followed by sodium triacetoxyborohydride.

IT 635726-14-6P 635726-15-7P 635726-16-8P

635726-17-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazoles as p38 $\alpha$  kinase, TNF and/or cyclooxygenase-2 inhibitors)

RN 635726-14-6 CAPLUS CN 1-Piperidinecarboxy

1-Piperidinecarboxylic acid, 4-[5-(4-chlorophenyl)-4-[2-[[1-(phenylmethyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1H-pyrazol-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 635726-15-7 CAPLUS

CN 2-Pyrimidinamine, 4-[3-(4-chlorophenyl)-5-(4-piperidinyl)-1H-pyrazol-4-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

RN 635726-16-8 CAPLUS

CN Ethanone, 1-(4-5-(4-chloropheny1)-4-[2-[[1-(phenylmethy1)-4-piperidiny1]amino]-4-pyrimidiny1]-IH-pyrazol-3-yl]-1-piperidiny1]-2-phdroxy- (CA INDEX NAME)

RN 635726-17-9 CAPLUS

CN 2-Pyrimidinamine, 4-[3-(4-chlorophenyl)-5-(1-methyl-4-piperidinyl)-1H-pyrazol-4-yl]-N-[1-(phenylmethyl)-4-piperidinyl)- (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 57 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2003:376852 CAPLUS
- DN 138:385443
- TI Preparation of amino imidazolyl pyrimidinecarboxaldehyde thiosemicarbazones, pyridine analogs and related compounds as inhibitors of IKB kinases
- IN Hawley, Ronald Charles; Labadie, Sharada Shenvi; Sjogren, Eric Brian; Talamas, Francisco Xavier
- PA F. Hoffmann-La Roche AG, Switz.
- SO PCT Int. Appl., 98 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.	CNT	1																			
	PA:	ENT I	NO.			KIND DATE				APP	LICA:		DATE								
PI	WO										WO 2002-EP12164										
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			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE	ES,	FI,	GB,	GD,	GE,	GH,			
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG	KP,	KR,	KZ,	LC,	LK,	LR,			
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	RU	2308	455			C2		2007	1020	CN 2002-822194 JP 2003-542177 RU 2004-117545						20021031					
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	US	6846	828			B2		2005	0125												
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PRAI	US	2001	-338.	312P		Ρ.		2001	1107												
	WO	2002	-EP1:	2164		W		2002	1031												
	US	2002	-288	968		A3		2002	1106												

OS MARPAT 138:385443

AB The present invention relates to aminopyrimidine and aminopyridine derivs. (shown as I) variables defined below; e.g. 2-butylamino-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone (1)) and methods for their preparation The compds are useful as inhibitors of IKB kinases and, therefore, may be used for the treatment of inflammatory, metabolic or malignant conditions (e.g. rheumatoid arthritis, inflammatory bowel disease, psoriasis, cancer, diabetes and septic shock). IC50 values for inhibition of IKKB enzyme activity are reported for 3 examples of I; e.g. 0.314 µM for 1. Eleven example prepns. of intermediates and I and characterization data for apprx.150 I are included. For example,

2-isopropylamino-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde

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2-methylthiosemicarbazone was prepared in 7 steps starting from Et
     diethoxyacetate, thiourea and benzyl bromide giving
     2-benzylsulfanyl-6-diethoxymethylpyrimidin-4-ol as the 1st intermediate
     (50%); this intermediate was sequentially converted to the chloride (74%),
     pyrimidine imidazole, sulfone (31% for 2 steps), amino pyrimidine acetal
     (66%), aldehyde (64%) and finally the aldehyde thiosemicarbazone (71%).
     For I: one of either V or X is N and the other is CRa, or both V and X are
     CRa (Ra = H, (C1-C6) alkvl, (C3-C7) cycloalkyl or
     (C3-C7)cycloalkyl(C1-C6)alkyl); Y is O, S or NR (R is H, CN, NO2,
     (C1-C10)alkyl, (C3-C7)cycloalkyl, (C3-C7)cycloalkyl-(C1-C6)alkyl,
     (C3-C10) alkenyl or (C2-C10) alkynyl). Z is H, (C1-C6) alkyl,
     (C3-C7)cycloalkyl, (C3-C6)cycloalkyl(C1-C6)alkyl, (C2-C6)alkenyl,
     (C2-C6) alkynyl or N(R2) (R3); R1 is H, (C1-C10) alkyl, (C3-C10) alkenyl,
     (C2-C10)alkynyl, (C3-C7)cycloalkyl, (C3-C7)cycloalkyl(C1-C6)alkyl,
     (C1-C10) heteroalkyl, heterocyclyl, heterocyclyl (C1-C6) alkyl, aryl,
     aryl(C1-C4)alkyl, aryl(C1-C4) heteroalkyl, heteroaryl(C1-C4)alkyl,
     heteroary1(C1-C4)heteroalky1, C(0)R11 or (C1-C6)alkylene-C(0)R11;.
     H, (C1-C6)alkyl, (C3-C7)cycloalkyl, (C3-C7)cycloalkyl(C1-C6)alkyl,
     (C2-C6)alkenyl or (C2-C6)alkynyl; A is H, (C1-C10)alkyl, (C3-C10)alkenyl,
     (C2-C10) alkynyl, halo (C1-C6) alkyl, (C3-C7) cycloalkyl,
     (C3-C7)cvcloalkvl(C1-C6)alkvl, (C1-C10)heteroalkvl, heterocvclvl,
     heterocyclyl(C1-C6) alkyl, heterosubstituted (C3-C7)cycloalkyl, aryl,
     aryl(C1-C4)alkyl, aryl(C1-C4)heteroalkyl, heteroaryl,
     heteroaryl(C1-C4)alkyl, heteroaryl(C1-C4)heteroalkyl or RaRbNC(:X) (Ra and
     Rb = H, (C1-C4)alkyl or aryl). X is O or S; B is a (un)substituted five-
     or six-membered aromatic ring containing at least 1 N and 0-3 addnl.
heteroatoms,
     wherein the B ring substituents = halogen, CF3, CF30, (C1-C6)alkyl, amino,
     (C1-C6)alkylamino, di(C1-C6)alkylamino, cyano, nitro, sulfonamido, acyl,
     acylamino and carboxamido; U is -NR5-, -O- or -S-; addnl. details are
     given in the claims.
    525559-27-7P, 2-((1-(Methylsulfonyl)piperidin-4-v1)amino)-6-(1-
    methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde
     2-(3-hydroxy-3-methylbutyl)thiosemicarbazone 525559-33-5P,
     2-((1-(Methylsulfonyl)piperidin-4-yl)amino)-6-(1-methyl-1H-imidazol-5-
     yl)pyrimidine-4-carboxaldehyde 2-(tetrahydropyran-4-
     vlmethyl)thiosemicarbazone 525559-42-6P,
     2-((1-Benzylpiperidin-4-yl)amino)-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-
     4-carboxaldehyde 2-methylthiosemicarbazone 525559-64-2P.
     2-((1-(Ethoxycarbonyl)piperidin-4-yl)amino)-6-(1-methyl-1H-imidazol-5-
     vl)pvrimidine-4-carboxaldehyde 2-methylthiosemicarbazone
     525559-69-7P, 2-((1-Acetylpiperidin-4-yl)amino)-6-(1-methyl-1H-
     imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone
     525559-74-4P, 2-((1-(Methylsulfonyl)piperidin-4-yl)amino)-6-(1-
     methyl-1H-imidazol-5-vl)pyrimidine-4-carboxaldehyde
     2-methylthiosemicarbazone 525560-00-3P,
     2-((1-((Dimethylamino)carbonyl)piperidin-4-yl)amino)-6-(1-methyl-1H-
     imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone
     525560-07-0P, 2-((1-((Dimethylamino)sulfonyl)piperidin-4-yl)amino)-
     6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde
     2-methylthiosemicarbazone 525560-08-1P,
     2-((1-(Ethylsulfonyl)piperidin-4-yl)amino)-6-(1-methyl-1H-imidazol-5-
     vl)pvrimidine-4-carboxaldehyde 2-methylthiosemicarbazone
     525560-11-6P, 2-((1-(Isopropylsulfonyl)piperidin-4-yl)amino)-6-(1-
     methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde
     2-methylthiosemicarbazone 525560-12-7P,
     2-((1-(2-Acetylethyl)piperidin-4-yl)amino)-6-(1-methyl-1H-imidazol-5-
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v1)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone
525560-13-8P, 2-((1-(Aminocarbonvl)piperidin-4-vl)amino)-6-(1-
methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde
2-methylthiosemicarbazone 525560-16-1P,
2-((1-(Acetylmethyl)piperidin-4-yl)amino)-6-(1-methyl-1H-imidazol-5-
vl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone
525560-19-4P, 2-((1-(Aminocarbothiov1)piperidin-4-v1)amino)-6-(1-
methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde
2-methylthiosemicarbazone 525560-20-7P.
2-((1-((Methylamino)carbothioyl)piperidin-4-yl)amino)-6-(1-methyl-1H-
imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone
525560-21-8P, 2-((1-((Dimethylamino)carbothiovl)piperidin-4-
v1) amino) -6-(1-methyl-1H-imidazol-5-v1) pyrimidine-4-carboxaldehyde
2-methylthiosemicarbazone 525560-22-9P,
2-((1-(Aminosulfonyl)piperidin-4-yl)amino)-6-(1-methyl-1H-imidazol-5-
yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone
525560-25-2P, 2-((1-((Methylamino)carbonyl)piperidin-4-yl)amino)-6-
(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde
2-methylthiosemicarbazone 525560-29-6P,
2-((1-(2-(Methylsulfonyl)ethyl)piperidin-4-yl)amino)-6-(1-methyl-1H-
imidazol-5-vl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone
525560-30-9P, 2-((1-(Cvanomethyl)piperidin-4-yl)amino)-6-(1-methyl-
1H-imidazol-5-vl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone
525560-35-4P, 2-((1-(2-Cyanoethyl)piperidin-4-yl)amino)-6-(1-
methyl-1H-imidazol-5-vl)pyrimidine-4-carboxaldehyde
2-methylthiosemicarbazone 525560-43-4P,
2-((1-(Aminosulfonvl)piperidin-4-vl)amino)-6-(1-methyl-1H-imidazol-5-
yl)pyrimidine-4-carboxaldehyde 2-((tetrahydropyran-4-
yl)methyl)thiosemicarbazone 525560-44-5P,
2-((1-(Aminosulfonyl)piperidin-4-yl)amino)-6-(1-methyl-1H-imidazol-5-
vl)pyrimidine-4-carboxaldehyde 2-butylthiosemicarbazone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of amino imidazolyl pyrimidinecarboxaldehyde
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thiosemicarbazones, pyridine analogs and related compds. as inhibitors of IkB kinases)
525559-27-7 CAPLUS
Hydrazinecarbothioamide, 1-(3-hydroxy-3-methylbutyl)-2-[[6-(1-methyl-1H-inidazol-5-vyl)-2-([1-(methylsulfonyl)-4-piperidinyl)aminol-4-

pyrimidinyl|methylenel- (CA INDEX NAME)

RN

CN

- RN 525559-33-5 CAPLUS
- CN Hydrazinecarbothioamide, 2-[[6-(1-methyl-1H-imidazol-5-y1)-2-[[1-(methylsulfonyl)-4-piperidinyl]methylene]-1-[(tetrahydro-2H-pyran-4-y1)methyl]- (CA INDEX NAME)

- RN 525559-42-6 CAPLUS
- CN Hydrazinecarbothioamide, 1-methyl-2-[[6-(1-methyl-1H-imidazol-5-yl)-2-[[1-(phenylmethyl)-4-piperidinyl]amino]-4-pyrimidinyl]methylene]- (CA INDEX NAKE)

Me N NH NH 
$$\sim$$
 CH2-Ph H2N-C-N-N=CH S Me

- RN 525559-64-2 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[[2-(aminothioxomethy1)-2-methy1]hqvarziny1dene]methy1]-6-(1-methy1-H-1-midazo1-5-y1)-2-pyrimidiny1]amino]-, ethyl ester (CA INDEX NAME)

- RN 525559-69-7 CAPLUS
- CN Hydrazinecarbothioamide, 2-[[2-[(1-acetyl-4-piperidinyl)amino]-6-(1-methyl-1H-imidazol-5-yl)-4-pyrimidinyl]methylene]-1-methyl- (CA INDEX NAME)

- RN 525559-74-4 CAPLUS
- CN Hydrazinecarbothioamide, 1-methyl-2-[[6-(1-methyl-1H-imidazol-5-yl)-2-[[1-methylsulfonyl)-4-piperidinyl]amino]-4-pyrimidinyl]methylene]- (CA INDEX NAME)

- RN 525560-00-3 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[[2-(aminothioxomethyl)-2-methylhydrazinylidene]methyl]-6-(1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

- RN 525560-07-0 CAPLUS
- CN Hydrazinecarbothioamide, 2-[[2-[[1-[(dimethylamino)sulfonyl]-4-piperidinyl]amino]-6-(1-methyl-1H-imidazol-5-yl)-4-pyrimidinyl]methylene]-1-methyl- (CA INDEX NAME)

- RN 525560-08-1 CAPLUS
- CN Hydrazinecarbothioamide, 2-[[2-[[1-(ethylsulfonyl)-4-piperidinyl]amino]-6-(1-methyl-1H-imidazol-5-yl)-4-pyrimidinyl]methylene]-1-methyl- (CA INDEX NAME)

- RN 525560-11-6 CAPLUS
- CN Hydrazinecarbothioamide, 1-methyl-2-[[2-[[1-[(1-methylethyl)sulfonyl]-4-piperidinyl]amino]-6-(1-methyl-1H-imidazol-5-yl)-4-pyrimidinyl]methylene]-(CA INDEX NAME)

- RN 525560-12-7 CAPLUS
- CN Hydrazinecarbothioamide, 1-methyl-2-[[6-(1-methyl-1H-imidazol-5-yl)-2-[[1-(3-oxobutyl)-4-piperidinyl]amino]-4-pyrimidinyl]methylene]- (CA INDEX NAME)

- RN 525560-13-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[[2-(aminothioxomethy1)-2-methy1hydrazinylidene]methy1]-6-(1-methy1-1H-1midazo1-5-y1)-2-pyrimidiny1]amino]- (CA INDEX NAME)

- RN 525560-16-1 CAPLUS
- CN Hydrazinecarbothioamide, 1-methyl-2-[[6-(1-methyl-1H-imidazol-5-yl)-2-[[1-(2-oxopropyl)-4-piperidinyl]amino]-4-pyrimidinyl]methylene]- (CA INDEX NAME)

- RN 525560-19-4 CAPLUS
- CN 1-Piperidinecarbothioamide, 4-[[4-[[2-(aminothioxomethyl)-2-methylhydrazinylidene|methyl]-6-(1-methyl-1H-imidazol-5-yl)-2-pyrimiddinyl]amino]- (CA INDEX NAME)

RN 525560-20-7 CAPLUS

Ме

CN 1-Piperidinecarbothioamide, 4-[[4-[[2-(aminothioxomethy1)-2-methylhydrazinylidene]methyl]-6-(1-methyl-1H-inidazo1-5-y1)-2-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 525560-21-8 CAPLUS
- CN 1-Piperidinecarbothioamide, 4-[[4-[[2-(aminothioxomethyl)-2-methylhydrazinylidae|methyl]-6-(1-methyl-1H-inidaezol-5-yl)-2-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

- RN 525560-22-9 CAPLUS

- RN 525560-25-2 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[4-[[2-(aminothioxomethy1)-2methylhydrazinylidene]methyl]-6-(1-methyl-1H-imidazo1-5-yl)-2pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

- RN 525560-29-6 CAPLUS
- CN Hydrazinecarbothioamide, 1-methyl-2-[[6-(1-methyl-1H-imidazol-5-yl)-2-[[1-[2-(methylsulfonyl)ethyl)-4-piperidinyl]amino]-4-pyrimidinyl]methylene]-(CA INDEX NAME)

- RN 525560-30-9 CAPLUS
- CM Hydrazinecarbothioamide, 2-[[2-[[1-(cyanomethyl)-4-piperidinyl]amino]-6-(1methyl-1H-imidazol-5-yl)-4-pyrimidinyl]methylene]-1-methyl- (CA INDEX NAME)

Me N NH NH CH2-CN 
$$H_2N-C-N-N=CH$$

S Me

RN

525560-35-4 CAPLUS CN Hydrazinecarbothioamide, 2-[[2-[[1-(2-cyanoethy1)-4-piperidiny1]amino]-6-(1-methyl-1H-imidazol-5-yl)-4-pyrimidinyl]methylene]-1-methyl- (CA INDEX NAME)

Me N N NH 
$$\sim$$
 NH  $\sim$  CH<sub>2</sub>-CH<sub>2</sub>-CN  $\sim$  S Me

- RN 525560-43-4 CAPLUS
- Hydrazinecarbothioamide, 2-[[2-[[1-(aminosulfonyl)-4-piperidinyl]amino]-6-CN (1-methyl-1H-imidazol-5-yl)-4-pyrimidinyl]methylene]-1-[(tetrahydro-2Hpyran-4-yl)methyl]- (CA INDEX NAME)

- RN 525560-44-5 CAPLUS
- CN Hydrazinecarbothioamide, 2-[[2-[[1-(aminosulfonyl)-4-piperidinyl]amino]-6-(1-methyl-1H-imidazol-5-yl)-4-pyrimidinyl]methylene]-1-butyl- (CA INDEX NAME)

- IT 525559-28-8, 2-(1-Methanesulfonylpiperidin-4-ylamino)-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde
  - RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of amino imidazolyl pyrimidinecarboxaldehyde thiosemicarbazones, pyridine analogs and related compds. as inhibitors
- RN 525559-28-8 CAPLUS

of IkB kinases)

CN 4-Pyrimidinecarboxaldehyde, 6-(1-methyl-1H-imidazol-5-yl)-2-[[1-(methylsulfonyl)-4-piperidinyl]amino]- (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 58 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2003:335096 CAPLUS AN
- 138:353990 DN
- TI Preparation of 4-imidazolin-2-one derivatives as MAP kinase inhibitors
- Kubo, Akira; Imashiro, Ritsuo; Sakurai, Hiroaki; Miyoshi, Hidetaka; IN Ogasawara, Akihito; Hiramatsu, Hajime
- PA Tanabe Seivaku Co., Ltd., Japan
- SO PCT Int. Appl., 137 pp.
- CODEN: PIXXD2
- Patent
- LA Japanese
- FAN.CNT 2

	PA:	TENT I	.00			KIN	D	DATE			APP	LICAT	CION	NO.		D.	ATE	
PI		2003																
		W:	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, BG,	ES,	FI,	GB,	GD,	GE,	GH,
												, KG,						
												, MW,						
												, SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
												l, ZW						
		RW:										, TZ,						
												, СН,						
												, PT,					ΒJ,	CF,
			CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR	, NE,	SN,	TD,	TG			
		CA 2461100																
	AU	AU 2002363108						2003	0506		AU	2002-	3631	08		2	0021	022
	EP	EP 1439174																
		R:										, IT,					MC,	PT,
												, TR,						
	BR	2002	0134	65		A		2004	1109		BR	2002-	-1346	5		2	0021	022
	CN	1571 1004 2004	781			A		2005	0126		CN	2002-	-8208	37		2	0021	022
	CN	1004	0252	1		C		2008	0716									
	HU	2004	0019	49		A2		2005	0128		HU	2004-	-1949			2	0021	022
	US	2004	0204	426		A1		2004	1014			2004-						
	MX	7420	PA03	729		A		2004	0723			2004-						
	KR	7420	58			BI		2007	0 /23			2004-						
	NO	2004	0020	10		A		2004			NO	2004-	-2010			2	0040	514
PRAI	JP	2001	-324	029		A		2001										
	JP	2002	-263	680		A		2002	0910									
	WO	2002	-UPT	0937		W												
	JP 2003-116076 MARPAT 138:353990					A		2003	0421									
os	MAI	KPAT .	138:	3539	90													

AB The title compds. I [wherein G1 = (un)substituted alkyl or B-W; B = (un) substituted Ph. Naphthyl, aromatic heterocyclyl, or cycloalkyl; W = a single bond or (un) substituted alkylene; Q1 and Q2 = independently H, halo, or alkyl; n = 0-4; R1 = H, (un)substituted (cyclo)alkyl, Ph, or heterocyclyl; Z1-Z4 = independently CH or N with exclusions; G2 = H, NR3R4, OR5, SR5, COR6, CHR7R8, or heterocyclyl; R3-R8 = independently H, alkenyl, alkynyl, OH, alkoxy, alkoxyoxalyl, alkylsulfonyl, (un)substituted alkyl, amino, alkanoyl, carbamoyl, cycloalkyl, Ph, heterocyclyl(carbonyl), PhCO, or heterocycly1-CO] and pharmaceutically acceptable salts are prepared as mitogen activation proteins (MAP) kinase inhibitors. For example, the compound II. HCl was prepared in a multi-step synthesis. II. HCl showed 69% inhibitory activity against TNF-α in rat in the amount of 1 mg/kg

after 90 min. 521090-46-0P 521090-47-1P 521090-48-2P

521090-50-6P 521090-51-7P 521090-60-8P 521091-47-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MAP kinase inhibitor; preparation of imidazolinone derivs. as MAP kinase inhibitors)

RN 521090-46-0 CAPLUS

● HC1

RN 521090-47-1 CAPLUS

CN Benzonitrile, 2-[[3-(4-fluorophenyl)-2,3-dihydro-2-oxo-4-[2-[[1-(phenylmethyl)-4-piperidinyl]amino]-4-pyrimidinyl]-1H-imidazol-1yl]methyl]- (CA INDEX NAME)

- RN 521090-48-2 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[]-[(2-cyanophenyl)methyl]-3-(4-fluorophenyl)-2,3-dihydro-2-oxo-lH-imidazol-4-yl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 521090-50-6 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[]-([2-cyanophenyl)methyl]-3-(4-fluorophenyl)-2,3-dihydro-2-oxo-1H-imidazo1-4-yl]-2-pyrimidinyl]amino]-,1,1-dimethylethyl ester (CA INDEX NAME)

- RN 521090-51-7 CAPLUS
- CN Benzonitrile, 2-[[3-(4-fluorophenyl)-2,3-dihydro-2-oxo-4-[2-(4-piperidinylamino)-4-pyrimidinyl]-lH-imidazol-1-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

## ●2 HC1

- RN 521090-60-8 CAPLUS
- CN 2H-Imidazol-2-one, 3-(4-fluorophenyl)-1-[(2-fluorophenyl)methyl]-1,3-dihydro-4-[2-(4-piperidinylamino)-4-pyrimidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

- ●2 HC1
- $\begin{array}{ll} 521091-47-4 & \texttt{CAPLUS} \\ 2\texttt{H-Imidazol-2-one}, & 3-(4-fluorophenyl)-1, 3-\texttt{dihydro-1-}(1-\texttt{methylethyl})-4-[2-thyl-1] \\ \end{array}$ CN (4-piperidinylamino)-4-pyrimidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

- 2 HC1
- RE.CNT 99 THERE ARE 99 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 59 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:326011 CAPLUS

DN 139:230704

TI 2,4-Disubstituted pyrimidines: A novel class of KDR kinase inhibitors

AU Manley, Peter J.; Balitza, Adrienne E.; Bilodeau, Mark T.; Coll, Kathleen E.; Hartman, George D.; McFall, Rosemary C.; Rickert, Keith W.; Rodman, Leonard D.; Thomas, Kenneth A.

CS Departments of Medicinal Chemistry and Cancer Research, Merck Research Laboratories, West Point, PA, 19486, USA

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(10), 1673-1677 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

RN

OS CASREACT 139:230704

AB 2,4-Disubstituted pyrimidines were synthesized as a novel class of KDR kinase inhibitors. Evaluation of the SAR of the screening lead compound I (R = H) (KDR IC50=105 mM, Cell IC50=8% inhibition at 500 mM) led to the potent 3.5-dimethylaniline derivative I (R = Me) (KDR IC50=6 mM, cell IC50=19

nM). II 496795-25-6P 496795-34-7P 496795-35-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of 2,4-disubstituted pyrimidines as a novel class of KDR kinase inhibitors)

496795-25-6 CAPLUS

CN 2-Pyrimidinamine, 4-(2-phenyl-1H-imidazol-1-yl)-N-4-pyridinyl- (CA INDEX NAME)

RN 496795-34-7-CAPLUS

CN 2-Pyrimidinamine, N-(2-methyl-4-pyridinyl)-4-(2-phenyl-1H-imidazol-1-yl)(CA INDEX NAME)

RN 496795-35-8 CAPLUS

CN 2-Pyrimidinamine, N-(2,6-dimethyl-4-pyridinyl)-4-(2-phenyl-1H-imidazol-1-yl)- (CA INDEX NAME)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 657

- L17 ANSWER 60 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2003:242338 CAPLUS
- DN 138:271694
- TI Preparation of 3-(pyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-ones for control of inflammatory cytokines
- IN Clark, Michael Phillip; Laufersweiler, Matthew John; Djung, Jane Far-Jine; Natchus, Michael George; De, Biswanath
- PA The Procter & Gamble Company, USA
- SO PCT Int. Appl., 82 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN	.CNT	6

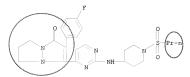
	PATENT NO.						)	DATE				LICAT				D	ATE	
PI		2003024971 W: AE, AG, AI CO, CR, CI GM, HR, HI LS, LT, LI PL, PT, RG UA, UG, UZ RW: GH, GM, KE KG, KZ, MI FI, FR, GI				AM, CZ, ID, LV, RU, VN,	AT, DE, IL, MA, SD, YU,	AU, DK, IN, MD, SE, ZA,	AZ, DM, IS, MG, SG, ZW	BA, DZ, JP, MK, SI,	WO BB EC KE MN SK	2002- , BG, , EE, , KG, , MW,	BR, ES, KP, MX, TJ,	BY, FI, KR, MZ, TM,	BZ, GB, KZ, NO, TN,	CA, GD, LC, NZ, TR,	GE, LK, OM, TT,	CN, GH, LR, PH, TZ,
			KG, FI,	KZ, FR,	MD, GB,	RU, GR,	TJ,	TM,	AT, LU,	BE, MC,	BG NL	, CH,	CY, SE,	CZ, SK,	DE, TR,	DK, BF,	EE, BJ,	ES, CF,
	US US US	6566 2003 6821	357 0105 971	084		B1 A1 B2		2003 2003 2004	0520 0605 1123		US	2002-	2464 2459:	99 27		2	0020	918 918
	AU AU	CG, C1, C6 6566357 20030105084 6821971 2461073 2002327690 2002327690 1427728				A1 A1 B2		2003 2003 2006	0327 0401 0720		CA AU	2002-	3276	073 90		2	0020	920
	EF	1427	728			B1		2004	0307		LF	2002-	1636	73		2	0020	720
	BR CN											2002- 2002- 2002- 2002- 2002- 2002- 2002- 2002- 2002- 2002- 2002- 2002- 2002- 2002- 2002-						
	CN CN CN	1555 1250 1556	905 379 551 811			A C A		2006 2004 2006 2004	1215 0412 1222		CN	2002-	8182 8183	29 80		2	0020	920
	CN JP PT	1249 2005 1427	066 5040 727	82		C T T		2006 2005 2005	0405 0210 0630		JP PT	2003- 2002-	5288 7636	18		2	0020	920
	ES HU AT	2237 2005 3329	691 0007 01	52		T3 A2 T		2005 2006 2006	0801 0130 0815		ES HU AT	2002- 2005- 2002-	7636 752 7990	92 17		2	0020 0020 0020	920 920 920
	ES ZA	531063 2282459 2004001260 2004001402				A		2007 2007 2004 2004	1016 0830		ES ZA ZA	2002- 2002- 2004- 2004-	7636 1260 1402	93		2 2 2	0020 0020 0040 0040	920 920 217 220
	za	2004001402				A A		2004	0830 0618		ZA	2004-	1403 PA25	74		2	0040	220 318

	NO 2004001605 HK 1071565 US 2001-323625P WO 2002-US30135 MARPAT 138:271694	A 20040621 A1 20070126 P 20010920 W 20020920	NO 2004-1605 HK 2005-104374	20040420 20050524
PRAIL OS AB	US 2001-323625P WO 2002-US30135 MARPAT 138:271694 The present inventic the extracellular re including all enanti acceptable salts the (un) substituted all enanti acceptable salts the (un) substituted C1-C alkylenearyl, heterc aryl or heteroaryl; (CH2) jCCOZRIO, (CH2) taken together to fe alkyl; or R9a and R5 heterocyclic ring; j wherein R11 = H, C1-factor-C (TNF-C) are known collectively a response associated These pro-inflammatc in many disease stat osteoarthritis, infl cardiopulmonary dysf therefore responsibl disease states. The prevent the release Thus, 6.0 g Me 4-flu solution of lithium -78° for 1 h at -78° 6.0 g 2-methylsulfar THF and the resultin after workup and sil 2-(4-fluorophenyl)-3 de dester (II) (as dadded pyridine and s adding a solution of the reaction mixture workup and sillce 2-(4-fluorophenyl)-3 Me ester (III) (as yexpaciation and sillce 2-(4-fluorophenyl)-3 Me ester (III) (as yexpaciation and sillce 2-(4-fluorophenyl)-3 Me ester and heated chromatog, 3.9 g 2- 6,7-dihydro-5H-pyraz dissolved in a 1:1 m 9.34 g Oxone in 42 m 2-(4-fluorophenyl)-3 Pyrazolo[1,2-a]pyraz 503072-98-8p, 2-(4-fluorophenyl)-3	P 20010920  In relates to compelease of inflamma- omeric and disster reof, have the fe wherein k = an : 4 alkyl, hydrocat aryl, or alkylene R2 = H, (CR2)30(G jCON(R10)2, (CR2) jCON(R10)2, (CR2) jCON(R10)2, (CR2) if a man theyer de among the import so cytokines and us with the immunol. Dry cytokines and us with the immunol. Dry cytokines and us with the immunol. Dry cytokines are eso or syndromes, ammatory bowel di unction, acute re eso or syndromes, ammatory bowel di unction, acute re eso or syndromes, ammatory bowel di unction, acute re eso or syndromes, included by add cytokines fron or cytokines or c	ods. which are capable story cytokines, said of reomeric forms and phe summula (I) R = O(CR2)\$ integer of 0-5; R3 = et obyl, heterocyclyl, ary sheterocyclyl, ary sheterocyclyl, ary sheteroaryl; R1 = each (R12) integer of 0-6; R3 = et obyl, heteroaryl; R1 = each (R12) integer of 0-6; Z = 0, S, NR1 integer of 0-5; A = 0, S, NR1 integer of 0-6; A = 0, S, NR1 integer	of preventing compds., rarmaceutically (RG3, sech (un) substituted (CH2) jCO2R10, 2 units can be 0 = H. Cl-4 rbocyclic or large (large
			SPN (Synthetic preparat study); PREP (Preparati	

(preparation of 3-(pyrimidin-4-y1)-6, 7-dihydro-5H-pyrazolo[1,2-a]pyrazol-l-ones for control of extracellular release inflammatory cytokines)

RN 503072-98-8 CAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 2-(4-fluorophenyl)-6,7-dihydro-3-[2-[[1-(propylsulfonyl)-4piperiddinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 61 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2003:154244 CAPLUS
- 138:187786 DN
- TI Preparation of pyrimidinylthiazoles as antiinflammatories.
- IN Love, Christopher John; Van Wauwe, Jean Pierre Frans; De Brabander, Marc J.; Moses, Roger Clive; Goncharenko, Mykhalyo; Cooymans, Ludwig Paul; Vandermaesen, Nele; Diels, Gaston Stanislas Marcella; Sibley, Anthony William; Noula, Caterina
- Janssen Pharmaceutica N.V., Belg.
- SO PCT Int. Appl., 97 pp.
- CODEN: PIXXD2 DT Patent
- T.A English
- FAN.CNT 1

PAN.		TENT				KIN	D	DATE			APE	PL]	CAT	ION I	NO.		D.	ATE	
PI		2003	0157	76		A1		2003	0227		WO	20	002-1	EP89.	56		2	0020	809
		W:						AU,											
								DK,											
								IN,											
								MD,											
								SE,					SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
								YU,											
		RW:																	
								EE,											
								ВJ,	CF,	CG,	C1	Ι,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,
			NE,	SN,	TD,	TG													
	CA	2451	981	0.77		A1		2003	0227		CA	20	002-	2451	981		2	0020	809
	AU	A 2451981 U 2002331227 U 2002331227				AI		2003	0303		AU	20	102-	3312.	21		2	0020	809
	AU	J 2002331227 P 1418911 P 1418911				B2		2008	0124			0.0		2022					000
	EP	1418911 1418911				A1		2004	0519		EP	21	102-	16 13	60		2	0020	809
	EP	R:	211	DE	CII	BI	DV	2006	0210	CD	CE	,	TT	т Т	T TT	MIT	CE	MC	DT
		г.						RO,											E 1,
	HII	2004		'													-		809
	HII	2004 2002 2005 1633 5307 3256 2264 2004	0011	60		A3		2008	0128				, , , ,	1100			-	0020	005
	BR	2002	0119	10		A		2004	1019		BR	20	002-	1191	0		2	0020	809
	JP	2005	5047	67		Т		2005	0217		JP	20	03-	5207	35		2	0020	809
	CN	1633	294			A		2005	0629		CN	20	002-	8157	76		2	0020	809
	NZ	5307	72			A		2006	0331		NZ	20	002-	5307	72		2	0020	809
	AT	3256	08			T		2006	0615		ΑT	20	02-	7673	60		2	0020	809
	ES	2264	734			Т3		2007	0116		ES	20	002-	7673	60		2	0020	809
	IN	2004	DN00	272		A		2005	0401		IN	20	04-1	DN27	2		2	0040	206
	US	2004	0254	192		A1		2004	1216		US	20	04-	4868	20		2	0040	211
	US	7138	403			B2		2006	1121										
	NO	2004	0006	54192 A1 B2 D631 A				2004	0312		NO	20	004-	631			2	0040	212
	ZA	2004	0011	64		A		2005	0512		ZA	20	04-	1164			2	0040	212
	MX	2004	PA01	400		A		2004	0527		MX	20	004-1	PA14	00		2	0040	213
PRAI	AI EP 2001-203088 A																		
		2002				W		2002	0809										
US	MAI	RPAT	138:	1877	86														

Use of title compds. [I; Z = halo, alkyl; hydroxyalkyl, carboxyalkyl, AB cyanoalkyl, aminoalkyl, aminoalkyl, aminocarbonylalkyl, alkoxyalkyl, polyhaloalkyl, alkoxy, cyano, amino, aminocarbonyl, aminocarbonyl, alkyloxycarbonyl, alkylcarbonyloxy, etc.; Q = (substituted) cycloalkyl, furyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, benzothiazolyl, benzoxazolyl,

benzimidazolyl, indazolyl, imidazopyridyl, etc.; L = substituted Ph, (substituted) monocyclic 5-6 membered partially saturated or aromatic heterocycle, bicyclic partially saturated or aromatic heterocycle] for the manufacture

of a medicament for the prevention or the treatment of diseases mediated through tumor necrosis factor-alpha (TNF-α) and/or interleukin-12 (IL-12), is claimed. Thus, Me 3-[4-methyl-2-(4-

trifluoromethylphenyl)thiazol-5-yll-3-oxopropanoate was added to a mixture prepared from NaOMe and diquanidine carbonate in EtOCH2CH2OH followed by 3 h reflux to give 76% 5- (2-aminopyrimidin-4-yl)-4-methyl-2-(4trifluoromethylphenyl)thiazole. The latter at 10-8 M gave 92% inhibition of IL-12p70.

499796-65-5P 499796-66-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidinylthiazoles as antiinflammatories) 499796-65-5 CAPLUS

RN

CN 2-Pyrimidinamine, N-(1-methyl-4-piperidinyl)-4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (CA INDEX NAME)

RN 499796-66-6 CAPLUS

CN 2-Pyrimidinamine, 4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

RE,CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L17 ANSWER 62 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
    2003:117808 CAPLUS
AN
DN
    138:170248
TI
    Preparation of 4-(thiazolyl)-2-pyrimidinamines as tyrosine kinase
    inhibitors
IN
    Fraley, Mark E.; Hoffman, William F.; Hartman, George D.
PA
    Merck & Co., Inc., USA
SO
     PCT Int. Appl., 97 pp.
     CODEN: PIXXD2
     Patent
LA
    English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                          APPLICATION NO.
                       ____
    WO 2003011838
                        A1 20030213 WO 2002-US23882
                                                                 20020727
PΤ
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA.
             UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                        A1
     AU 2002326466
                               20030217
                                           AU 2002-326466
                                                                  20020727
                        A1
     US 20040181066
                              20040916
                                           US 2004-485291
                                                                  20040129
PRAI US 2001-309407P
                        P
                               20010801
     WO 2002-US23882
                        W
                               20020727
    MARPAT 138:170248
OS
    The present invention relates to title compds. I (wherein Rla = H,
AB
     (un) substituted alkyl, OR8, or N(R8)2; R1 and R2 = independently H, halo,
     CF3, (CH2)tR9COR8, COR9, (CH2)tOR8, CN, (CH2)tNR7R8, (CH2)CONR7R8, CO2R8,
     (CH2)tSO0-2(CH2)tNR7R8, or (un)substituted (cyclo)alkyl, aryl,
     heterocyclyl, alkenyl, or alkynyl; R3 = H, CN, halo, N(R8)2, OR8, or
    (un) substituted (ar) alkyl or aryl; R7 = H or (un) substituted (ar) alkyl; R8
     = independently H or (un) substituted (cyclo) alkyl, aryl, heterocyclyl, or
     aralkyl; or NR7R8 = (un)substituted heterocyclyl; R9 = independently
     (un) substituted alkyl, heterocyclyl, or aryl; W = aryl or heterocyclyl; m
     = 0-2; n = independently 0-6; p = 0-4; t = independently 0-6; or
     pharmaceutically acceptable salts, hydrates, or stereoisomers thereof],
    which inhibit, regulate and/or modulate tyrosine kinase signal
     transduction, compns. which contain these compds., and methods of using
     them to treat tyrosine kinase-dependent diseases and conditions. For
     example, cyclization of 2-bromo-1-[2-(methylthio)pyrimidin-4-yl]ethanone
     (3-step preparation given) with thiourea in EtOH gave
     5-bromo-4-[2-(methylthio)pyrimidin-4-y1]-1,3-thiazo1-2-amine•HBr.
     Oxidation to the methylsulfinyl derivative using oxone followed by substitution
     with 3,5-dimethylaniline afforded II. In bioassays, I inhibited
     VEGF-stimulated mitogenesis of human vascular endothelial cells in culture
     with IC values between 0.01 M and 5.0 M. Thus, I are useful for the
     treatment of angiogenesis, cancer, tumor growth, atherosclerosis, age
     related macular degeneration, diabetic retinopathy, inflammatory diseases,
```

and the like in mammals (no data). IT 1055062-70-8 1055062-71-9 1055062-75-3 1055062-76-4 1055062-80-0 1055062-98-0 1055062-99-1 1055063-00-7 1055063-13-2

1055063-14-3 1055063-15-4 1055063-16-5

RL: PRPH (Prophetic)

(Preparation of 4-(thiazoly1)-2-pyrimidinamines as tyrosine kinase inhibitors)

RN 1055062-70-8 CAPLUS

CN 5-Thiazolecarbonitrile, 4-[2-[[2-[(4-acetyl-1-piperazinyl)methyl]-6-chloro-4-pyridinyl]amino]-4-pyrimidinyl]-2-amino- (CA INDEX NAME)

RN 1055062-71-9 CAPLUS

CN 5-Thiazolecarbonitrile, 4-[2-[[2-chloro-6-[[4-(methylsulfonyl)-1-piperazinyl]methyl]-4-pyridinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 1055062-75-3 CAPLUS

CN 5-Thiazolecarbonitrile, 4-[2-[(2-[(4-acetyl-1-piperazinyl)methyl]-6-chloro-4-pyridinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

RN 1055062-76-4 CAPLUS

CN 5-Thiazolecarbonitrile, 4-[2-[(2-[(4-acetyl-1-piperazinyl)methyl]-6-methyl-4-pyridinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 1055062-80-0 CAPLUS
- CN 1-Piperazinecarboxamide, 4-[[4-[[4-(2-amino-5-cyano-4-thiazoly1)-2-pyrimidinyl]amino]-6-chloro-2-pyridinyl]methyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{H}_2 \text{N} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

- RN 1055062-98-0 CAPLUS
- CN 5-Thiazolecarbonitrile, 4-[2-[[2-methy1-6-[[4-(methylsulfony1)-1-piperaziny1]methy1]-4-pyridiny1]amino]-4-pyrimidiny1]- (CA INDEX NAME)

- RN 1055062-99-1 CAPLUS
- CN 1-Piperazinecarboxamide, 4-[[4-[[4-(5-cyano-4-thiazoly1)-2-pyrimidiny1]amino]-6-methy1-2-pyridiny1]methy1]-N-methy1- (CA INDEX NAME)

- RN 1055063-00-7 CAPLUS
- CN 1-Piperazinecarboxamide, 4-[[6-chloro-4-[[4-(5-cyano-4-thiazoly1)-2-pyrimidiny1]amino]-2-pyridiny1]methy1-N-methy1- (CA INDEX NAME)

- RN 1055063-13-2 CAPLUS
- CN 5-Thiazolecarbonitrile, 4-[2-[[2-[(4-acetyl-1-piperazinyl)methyl]-6-methyl-4-pyridinyl]amino]-4-pyrimidinyl]-2-amino (CA INDEX NAME)

- RN 1055063-14-3 CAPLUS
- CN 5-Thiazolecarbonitrile, 2-amino-4-[2-[[2-methyl-6-[[4-(methylsulfonyl)-1-piperazinyl]methyl]-4-pyridinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 1055063-15-4 CAPLUS
- CN 5-Thiazolecarbonitrile, 2-amino-4-[2-[[2-chloro-6-[[4-(methylsulfonyl)-1-piperazinyl]methyl]-4-pyridinyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

- RN 1055063-16-5 CAPLUS
- CN 1-Piperazinecarboxamide, 4-[[4-[[4-(2-amino-5-cyano-4-thiazoly1)-2-pyrimidiny1]amino]-6-methyl-2-pyridiny1]methyl]-N-methyl- (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 63 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2003:117807 CAPLUS
- DN 138:153548
- TI Preparation of 4-(pyrazoly1)-2-pyrimidinamines as tyrosine kinase inhibitors
- IN Fraley, Mark E.; Peckham, Jennifer P.; Arrington, Kenneth L.; Hoffman, William F.; Hartman, George D.
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 96 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

FAN.	CNT	1																
	PAT	CENT I	.00			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
							-									-		
PI	WO	2003	0118	37		A1		2003	0213		WO 2	002-1	US23:	879		2	0020	726
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	PL,
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,
			UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,
			PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
			NE,	SN,	TD,	TG												
	AU	2002	3557	33		A1		2003	0217		AU 2	002-	3557	33		2	0020	726
	US	20040235875			A1		2004	1125		US 2	004-	4852	96		2	0040	129	
	US	7109	204			B2		2006	0919									
PRAI	US					P		2001	0801									
	WO	© 2002-US23879				W		2002	0726									

MARPAT 138:153548 OS The present invention relates to title compds. I [wherein R1a = H, AB (un) substituted alkyl, OR8, or N(R8)2; R1 and R2 = independently H, halo, CF3, (CH2)tR9COR8, COR9, (CH2)tOR8, CN, (CH2)tNR7R8, (CH2)tCONR7R8, CO2R8, (CH2)tS00-2(CH2)tNR7R8, or (un)substituted (cyclo)alkyl, aryl, heterocyclyl, alkenyl, or alkynyl; R3 = independently H, CN, halo, N(R3)2, (CH2)tOR8, or (un)substituted (ar)alkyl or aryl; R7 = independently H or (un) substituted (ar) alkvl; R8 = independently H or (un) substituted (cyclo)alkyl, aryl, heterocyclyl, or aralkyl; or NR7R8 = (un)substituted heterocyclyl; R9 = independently (un) substituted heterocyclyl, alkyl, or aryl; V = a bond, aryl, or heterocyclyl; W = aryl or heterocyclyl; m = 0-2; n = 0-6; p = 0-4; t = independently <math>0-6; and pharmaceutically acceptable salts, hydrates, and stereoisomers thereof], which inhibit, regulate and/or modulate tyrosine kinase signal transduction, compns. which contain these compds., and methods of using them to treat tyrosine kinase-dependent diseases and conditions. For example, 2-(methylthio)pyrimidine-4-carboxylic acid was amidated with dimethylhydroxylamine. HCl in the presence of EDC and TEA, and the product treated with MeMgBr in Et20 to give 1-[2-(methylthio)pyrimidin-4-yl]ethanone. Coupling with N.N-dimethylformamide dimethylacetal followed by cyclization with phenylhydrazine afforded 2-(methylthio)-4-(1-phenyl-1H-pyrazol-3/5yl)pyrimidine. Oxidation with oxone and reaction with 3-chloroaniline provided the 4-(pyrazolyl)-2-pyrimidinamine II. In bioassays, I inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture

with IC50 values between 0.01  $\mu M$  and 5.0  $\mu M$ . Thus, I are useful for

the treatment of angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals (no data).

IT 1055062-51-5 1055062-60-6 1055062-64-0 1055062-65-1 1055062-67-3 1055062-68-4

1055062-65-1 1055062-67-3 1055062-68-4 RL: PRPH (Prophetic)

(Preparation of 4-(pyrazoly1)-2-pyrimidinamines as tyrosine kinase inhibitors)

RN 1055062-51-5 CAPLUS

CN Ethanone, 1-[4-[[6-methyl-4-[[4-(1-phenyl-1H-pyrazol-5-yl)-2-pyrimidinyl]amino]-2-pyridinyl]methyl]-1-piperazinyl]- (CA INDEX NAME)

RN 1055062-60-6 CAPLUS

CN 2-Pyrimidinamine, N-[2-methyl-6-[[4-(methylsulfonyl)-1-piperazinyl]methyl]-4-pyridinyl]-4-(1-phenyl-1H-pyrazol-5-yl)- (CA INDEX NAME)

RN 1055062-64-0 CAPLUS

CN Ethanone, 1-[4-[[6-chloro-4-[[4-(1-phenyl-1H-pyrazo1-5-y1)-2-pyrimidinyl]aminol-2-pyridinyl]methyl]-1-piperazinyl]- (CA INDEX NAME)

RN 1055062-65-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[[6-chloro-4-[[4-(1-phenyl-1H-pyrazol-5-yl)-2-pyrimidinyl]amino]-2-pyridinyl]methyl]-N-methyl- (CA INDEX NAME)

RN 1055062-67-3 CAPLUS

CN 2-Pyrimidinamine, N-[2-chloro-6-[[4-(methylsulfonyl)-1-piperazinyl]methyl]-4-pyridinyl]-4-(1-phenyl-1H-pyrazol-5-yl)- (CA INDEX NAME)

RN 1055062-68-4 CAPLUS

CN 1-Piperazinecarboxamide, N-methyl-4-[[6-methyl-4-[[4-(1-phenyl-1H-pyrazol-5-yl)-2-pyrimidinyl]amino]-2-pyridinyl]methyl]- (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 64 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2003:117806 CAPLUS AN
- DN 138:153547
- TI Preparation of 4-(imidazoly1)-2-pyrimidinamines as tyrosine kinase inhibitors
- IN Bilodeau, Mark T.; Manley, Peter J.; Balitza, Adrienne; Rodman, Leonard; Hartman, George D.
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 105 pp.
- CODEN: PIXXD2 DT Patent
- LA English

O.S.

AB

FAN.		TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
PI	WO	2003	0118	 36		A1	-	2003	0213		WO 2	002-	US23	764		2	0020	726
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,
		LT, LU, LV,		MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	PL,		
		PT, RO, RU,		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,		
		UG, US, UZ,		VN,	YU,	ZA,	ZM,	ZW										
		RW:	RW: GH, GM, KE,		KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,
			PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
			NE,	SN,	TD,	TG												
	AU	2002327342				A1		2003	0217		AU 2	002-	3273	42		2	0020	726
	US	20040220201				A1		2004	1104		US 2	004-	4851	70		2	0040	129
	US	6958	340			B2		2005	1025									
PRAI	US	2001-309400P				P		2001	0801									
	WO	2002-US23764				W		2002	0726									

MARPAT 138:153547 The present invention relates to title compds. I [wherein R1a = H, (un) substituted alkyl, or OR8, or N(R8)2; R1 and R2 = independently H, halo, CF3, (CH2)tR9COR8, COR9, (CH2)tOR8, CN, (CH2)tNR7R8, (CH2)tCONR7R8, CO2R8, (CH2)tSOq(CH2)tNR7R8, oxido, or (un)substituted (cyclo)alkyl, aryl, heterocyclyl, alkenyl, or alkynyl; R3 = H, CN, halo, N(R8)2, (CH2)tOR8, or (un) substituted (ar) alkyl or aryl; R7 = independently H or (un) substituted (ar) alkvl; R8 = independently H or (un) substituted (cvclo) alkvl, arvl, heterocyclyl, or aralkyl; or NR7R8 = (un)substituted heterocyclyl; R9 = independently (un) substituted heterocyclyl, alkyl, or aryl; V = bond, aryl, or heterocyclyl; W = aryl or heterocyclyl; m = 0-3; n = 0-6; p = 0-4; q = undefined; t = 0-6; or pharmaceutically acceptable salts, hydrates or stereoisomers thereof], which inhibit, regulate and/or modulate tyrosine kinase signal transduction, compos, which contain these compds., and methods of using them to treat tyrosine kinase-dependent diseases and conditions. For example, 2-phenylimidazole was coupled with 4-chloro-2-(methylthio)pyrimidine in the presence of NaH in DMF and the product oxidized using sodium tungstate dihydrate and H2O2 in EtOAc to give 2-(methylsulfonyl)-4-(2-phenyl-1H-imidazol-1-yl)pyrimidine. Substitution with 2-methylaniline and purification by reverse phase chromatog. afforded II.TFA. In bioassays, I inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.01  $\mu\text{M}$  and 5.0  $\mu\text{M}$ . Thus, I are useful for the treatment of angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals (no data).

IT 496795-25-6P, 4-(2-Phenyl-1H-imidazol-1-yl)-N-(pyridin-4-yl)pyrimidin-2-amine 496795-34-7P,

N-(2-Methylpyridin-4-y1)-4-(2-phenyl-1H-imidazol-1-y1)pyrimidin-2-amine 496795-35-8P, N-(2,6-Dimethylpyridin-4-y1)-4-(2-phenyl-1H-imidazol-

1-yl)pyrimidin-2-amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(tyrosine kinase inhibitor; preparation of (imidazolyl)pyrimidinamines as tyrosine kinase inhibitors)

RN 496795-25-6 CAPLUS

CN 2-Pyrimidinamine, 4-(2-phenyl-1H-imidazol-1-yl)-N-4-pyridinyl- (CA INDEX NAME)

RN 496795-34-7-CAPLUS

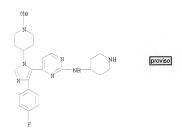
CN 2-Pyrimidinamine, N-(2-methyl-4-pyridinyl)-4-(2-phenyl-1H-imidazol-1-yl)-(CA INDEX NAME)

RN 496795-35-8 CAPLUS

CN 2-Pyrimidinamine, N-(2,6-dimethyl-4-pyridinyl)-4-(2-phenyl-1H-imidazol-1-yl)- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 65 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2001:746614 CAPLUS
- DN 136:95578
- TI Pyrimidinylimidazole inhibitors of p38: cyclic N-1 imidazole substituents enhance p38 kinase inhibition and oral activity
- AU Adams, J. L.; Boehm, J. C.; Gallagher, T. F.; Kassis, S.; Webb, E. F.; Hall, R.; Sorenson, M.; Garigipati, R.; Griswold, D. E.; Lee, J. C.
- CS Department of Medicinal Chemistry, GlaxoSmithKline Pharmaceuticals, King of Prussia, PA. 19406. USA
- SO Bioorganic & Medicinal Chemistry Letters (2001), 11(21), 2867-2870 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- AB Optimization of a series of N-1-cycloalky1-4-aryl-5-(pyrimidin-4-yl) imidazole inhibitors of p38 kinase is reported. Oral administration of inhibitors possessing a cyclohexan-4-ol or piperidin-4-yl group at N-1 in combination with alkoxy, amino(alkyl), phenoxy and anilino substitution at the 2-position of the pyrimidine was found to potently inhibit LPS-induced TNF in mice and rats. The selectivity of these new inhibitors for p38 kinase vs. eight other protein kinases is high and in all cases exceeds that of SB 203580.
- IT 186314-88-5
  - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  - (pyrimidinylimidazole inhibitors of p38, cyclic N-1 imidazole substituents enhance p38 kinase inhibition and oral activity)
- RN 186314-88-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 66 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- 2001:278036 CAPLUS AN
- DN 134 - 295821
- TI Imidazole compounds useful as cytokine inhibitors.
- Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Osifo, IN Irennegbe Kelly; Boehm, Jeffrey Charles
- PA Smithkline Beecham Corporation, USA
- SO U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 636,779, abandoned.
- CODEN: USXXAM
- Patent
- LA English

FAN.	CNT	5																
	PAT	ENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
							-											
PI	US	6218	537			B1		2001	0417		US 1	998-	9735	94		1	9980.	513
	ZA	9604	723			A		1997	0617		ZA 1	996-	4723			1	9960	606
	WO	9640	143			A1		1996	1219		WO 1	996-	US10	039		1	9960	607
		W:	AL,	AM,	AU,	BB,	BG,	BR,	CA,	CN,	CZ,	EE,	FI,	GE,	HU,	IS,	JP,	KG,
			KP,	KR,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,	MX,	NO,	NZ,	PL,	RO,	SG,
			SI,	SK,	TR,	TT,	UA,	US,	UZ,	VN,	AZ,	BY,	KZ,	RU,	TJ,	TM		
		RW:	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
			IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,
			MR,	NE,	SN,	TD,	TG											
	EP	1314	728			A1		2003	0528		EP 2	002-	7953	5		1	9960	607
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	FI													
	IN	1996	DE01	674		A		2005	0311		IN 1	996-	DE16	74		1	9960	726
PRAI	US	1995	-473	396		A2		1995	0607									
	US	1996	-636	779		B2		1996	0419									
	WO	1996	-US1	0039		W		1996	0607									
	EP	1996	-921	517		A3		1996	1219									
OS	CAS	REAC	т 13.	4.29	5821	: MAI	PPAT	134	. 295	821								

- CASREACT 134:295821; MARPAT 134:295821
- AB Novel 1,4,5-trisubstituted imidazole compds. I and their compns. for use in therapy as cytokine inhibitors are disclosed [wherein R1 = 4-pyridyl, pyrimidinyl, quinolyl, isoquinolyl, quinazolin-4-yl, 1-imidazolyl, 1-benzimidazolyl, all bearing a substituted amino group, plus an optional addnl. substituent; R2 = alkyl, N3, heterocyclyl, alk(en/yn)yl, haloalkyl, etc.; R4 = (un)substituted Ph, 1- or 2-naphthyl, heteroaryl]. I are useful for treating a variety of cytokine-mediated diseases, particularly those mediated by CSBP/RK/p38 kinase, and may also be useful as antivirals (no data). For example, 2-(methylthio)pyrimidine-4-carboxaldehyde (preparation given) was condensed with 4-amino-1-methylpiperidine-2HCl to give the imine (98%), which was cyclized with the tosylmethyl isocyanide derivative 4-FC6H4CH(Tos)N.tplbond.C (50%) to give imidazole derivative II [R = SMe]. This underwent S-oxidation with K persulfate to give 83% II [R = S(O)Me], which was condensed with PhCH2NH2 (82%) to give title compound II [R = NHCH2Ph1.
- 186314-86-3P 186314-88-5P 186314-90-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of imidazole derivs. as cytokine inhibitors)
- 186314-86-3 CAPLUS
- 1-Piperidinecarboxylic acid, 4-[[4-[4-(4-fluorophenyl)-1-(1-methyl-4piperidinyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 186314-88-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazo1-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 186314-90-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

IT 186314-81-8P
RN: SPN (Synthetic preparation); PREP (Preparation)
(preparation of imidazole derivs. as cytokine inhibitors)
RN 186314-81-8 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl)- (CA INDEX NAME)

RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 67 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2001:137207 CAPLUS
- 134:178569 DN
- TI Preparation of as isoxazolylpyrimidines and related compounds as
- inhibitors of c-JUN N-terminal kinases and other protein kinases.
- IN Green, Jeremy; Bemis, Guy; Grillot, Anne-Laure; Ledeboer, Mark; Salituro, Francis; Harrington, Edmund; Gao, Huai; Baker, Christopher; Cao, Jingrong; Hale, Michael
- PA Vertex Pharmaceuticals Incorporated, USA
- SO PCT Int. Appl., 96 pp.
- CODEN: PIXXD2 DT
- Patent
- English LA

FAN.	PA	1 PENT I						DATE										ATE	
PI		2001																0000	811
		W:						AU,											
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES	3,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
								JP,											
								MK,											
						SI,	SK,	SL,	ΤJ,	TM,	TF	٦,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
				ZA,															
		RW:																	
								GB,										BF,	ΒJ,
				CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	ME	₹, ∶	ΝE,	SN,	TD,	TG			
		2381				A1		2001	0222		CA	20	00-	2381	882		2	0000	811
	CA 2381882 EP 1218369 EP 1218369					A1		2002	0703		EΡ	20	00-	9574	85		2	0000	811
	EP					BI		2008	0 /23										
		R:						ES,					IT,	LI,	LU,	NL,	SE,	MC,	PT,
								RO,											000
	BR	2000	0135	51		A		2003	061/		BK	20	00-	1355	T		2	0000	811
	no	2003	0003	40		A2		2003	0020		по	20	03	340				0000	OII
	TD	2003 2003 2003 5176 1222 4021 2002	6211	10		M.S		2003	1021		TD	20	0.1	6176	10		2	0000	011
	NZ	5176	94	05		Δ.		2005	0324		NZ.	20	00-	5176	94		2	0000	811
	CN	1222	520			C		2005	1012		CN	20	00-	8141	78		2	0000	811
	AT	4021	63			т		2008	0815		AT	20	00-	9574	85		2	0000	811
	NO	2002	0007	13		Ā		2002	0412		NO	20	02-	713			2	0020	212
	US	2003	0149	051		A1		2003	0807		US	20	02-	7417	7		2	0020 0020	212
	US	2003 6693 2002	108			B2		2004											
	ZA	2002	0012	48		A		2003	0220		ZA	20	02-	1248			2	0020	213
	MX	2002	PA01.	565		A		2005	0714										
	IN	2002	KN00:	245		A		2005	0916		IN	20	02-	KN24	5		2	0020	219
	US	2005	0026	967		A1		2005			US	20	04-	7795	32		2	0040	213
	US	7169 2006 1999 1999	798			B2		2007											
	ΑU	2006	2036	76		A1		2006			ΑU	20	06-	2036	76		2	0060	824
PRAI	US	1999	-148	795P		P		1999											
	US	1999	-166	922P		P		1999	1122										
	US	2000	-211.	517P		P		2000	0614										
	AU	2000	-690	96		A3		2000	0811										
	WO 2000-US22445 US 2002-74177					W		2000	0811										
		2002						2002	0212										

MARPAT 134:178569

AB Title compds. [I; XYZ = NOCR2, ON:CR2, N:NNR3, OC(R2):CR2, NN(R3)CR2; R1 = H, CONH2, TnR, TnAr2; R = (substituted) aliphatyl; n = 0, 1; T = CO, CO2, CONH, SO2, SO2NH, COCH2, CH2; R2 = H, R, CH2OR, CH2OH, CHO, CH2SR,

CH2SO2R, CH2NH2, CH2CN, (substituted) aryl, arylmethyl, heterocyclyl, heterocyclylmethyl, etc.; R3 = H, R, COR, CO2R, SO2R; G = R, Arl; Arl = (substituted) (fused) aryl, aralkyl, heterocyclyl, Q = Q1, Q2; A = N, CR3; U = CR3, O, S, NR3; Ar2 = (substituted) (fused) aryl, heterocyclyl), were prepared Thus, 4-(5-methyl-3-phenylisoxazole-4-yl)pyrimidin-2-ylamine (preparation given) was refluxed with PhBr,

tris(dibenzylideneacetone)dipalladium, BINAP, and NaOCMe3 were refluxed together for 16 h to give 36% 4-(5-methyl-3-phenylisoxazole-4-yl)pyrimidin-2-vlphenylamine. Several I inhibited KNK3 at <0.1 uM.

IT 326819-56-1 326819-60-7 326819-61-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of as isoxazolylpyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

RN 326819-56-1 CAPLUS

CN 2-Pyrimidinamine, 4-(5-methyl-3-phenyl-4-isoxazolyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

RN 326819-60-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 326819-61-8 CAPLUS

CN 2-Pyrimidinamine, 4-(5-methyl-3-phenyl-4-isoxazolyl)-N-4-piperidinyl- (CA INDEX NAME)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 68 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN

KIND DATE

2.1

- AN 2000:725459 CAPLUS
- DN 133:296373
- TI Preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine modulators of chemokine receptor activity
- IN Caldwell, Charles; Chapman, Kevin; Hale, Jeffrey; Kim, Dooseop; Lynch, Christopher; Maccoss, Malcolm; Mills, Sander G.; Willoughby, Christopher; Berk, Scott; Kim, Ronald M.

APPLICATION NO.

20001012 WO 2000-HS9074

- Merck and Co., Inc., USA SO PCT Int. Appl., 202 pp.
- CODEN: PIXXD2

PATENT NO.

PT WO 2000059498

- DT Patent
- T.A English FAN.CNT 1

PI	WU 2000059498	A1 20	001012	WO 2000-0590	/4	20000	405
	W: AE, AG,	AL, AM, AT, A	U, AZ, BA,	BB, BG, BR,	BY, CA,	CH, CN,	CR,
	CU, CZ,	DE, DK, DM, D	Z, EE, ES,	FI, GB, GD,	GE, GH,	GM, HR,	HU,
	ID, IL,	IN, IS, JP, K	E, KG, KR,	KZ, LC, LK,	LR, LS,	LT, LU,	LV,
	MA, MD,	MG, MK, MN, M	W, MX, NO,	NZ, PL, PT,	RO, RU,	SD, SE,	SG,
		SL, TJ, TM, T			UZ, VN,	YU, ZA,	ZW,
		BY, KG, KZ, M					
		KE, LS, MW, S					
		FI, FR, GB, G				BF, BJ,	CF,
		CM, GA, GN, G					
	US 6498161			US 2000-5430	19	20000	404
	US 1999-128172P		990406				
os	MARPAT 133:2963						
AB	The title compd						
	hydroxyisoxazol						enzyı,
	or (un)substitu tetrahydropyrid						_
	(un)substituted						
	(alkvl)cvcloalk						
	heterocyclyl, c						nenyı,
	(un) substituted						an
	(un) substituted						
	of chemokine re						
	For example, 2-						
	3-cvclobutanepr						
	Pd/C and dissol			- (preparaci	on green	,	
	4-[N-(pyrimid-2			piperidine•H	Cl (4-st	ep prepa	ration
	given), NaBH(OA					FF-	
	di-tert-butyldi					ivity to	the
	CCR-5 or the CC						
	present inventi						
	immunodeficienc						
	prevention and						

data). 301223-28-9P

dermatitis, conjunctivitis, rheumatoid arthritis, and atherosclerosis (no RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

(no data). The invention is further directed to compds. which are useful

in the prevention or treatment of certain inflammatory and immunoregulatory disorders, including asthma, allergic rhinitis,

- BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine chemokine receptor modulators by reaction of 3-phenyl-4-formylpyrrolidines with heterocycles)
- RN 301223-28-9 CAPLUS
- CN 1-Pyrrolidineacetic acid, α-cyclohexyl-3-phenyl-4-[[4-[(4-phenyl-2-pyrimidinyl)propylamino]-1-piperidinyl]methyl]-, (αR, 3S, 4S)- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 69 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN AN 1999:262172 CAPLUS DN 130:306613 TI Cytokine production blockers for the management of uterine contractions IN Alvi, Samir Ahmed PA Imperial College Innovations Ltd., UK SO PCT Int. Appl., 53 pp. CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE 19990422 WO 1998-GB3015 WO 9918942 A1 PT W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG A1 19990422 CA 1998-2316296 A 19990503 AU 1998-94493 CA 2316296 AII 9894493 EP 1021173 20000726 EP 1998-947651 A1 19981008 R: BE, CH, DE, ES, FR, GB, IT, LI, NL JP 2001519381 T 20011023 JP 2000-515577 19981008 19971010 PRAI US 1997-61614P P WO 1998-GB3015 W 19981008 MARPAT 130:306613 OS The present invention is to the novel use of a cytokine inhibitor for the AB prophylactic treatment, or management of excessive, undesired or inappropriate uterine activity, such as contractions, in a mammal in need thereof. An example of a cytokine-production blocker is SKF 86002 [6-(4-fluorophenyl)-2,3-dihydro-5-(4-pyridinyl)imidazo[2,1-b]thiazole], a CSBP/p38 protein kinase RK inhibitor.
- IT 186314-81-8 186314-86-3 186314-88-5 186314-90-9
- RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  (cytokine production blockers for the management of uterine contractions)
  RN 186314-81-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1Himidazol-5-yl]-M-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 186314-86-3 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 186314-88-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

RN 186314-90-9 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 70 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 1999:7994 CAPLUS
- DN 130:66503
- TI Preparation of imidazolyl-cyclic acetals as TNF-alpha inhibitor
- IN Bamborough, Paul Lindsay; Collis, Alan John; Halley, Frank; Lewis, Richard Alan; Lythgoe, David John; McKenna, Jeffrey Mark; Mclay, Iain Mcfarlane; Porter, Barry; Ratcliffe, Andrew James; Wallace, Paul Andrew
- PA Rhone-Poulenc Rorer Limited, UK
- SO PCT Int. Appl., 292 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN CNT 1

PI WO 9856788 A1 19981217 WO 1998-GB1711 19980612 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, SFI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KER, CK, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, F1, FR, GB, GR, IE, IT, LU, MC, NIL, PT, SE, BF, BJ, CF, CG, CT, CA 2293436 A1 19981230 AU 1998-79259 19980612 AU 9879259 A 19981230 AU 1998-79259 19980612 AU 742293 B2 20011220 ZA 9805148 A 19991213 ZA 1998-5148 19980612 EF 988301 A1 20000329 EP 1998-929548 19980612 EF 988301 B1 20000809 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PI, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW  RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, LE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CM, GA, GN, ML, NR, NE, SN, TD, TG  CA 2293436  A1 19981230 AU 1998-79259 19980612  AU 9879259 A 19991230 AU 1998-79259 19980612  AU 742293 B2 20011220  A2 8050148 A 19991213 ZA 1998-5148 19980612  EF 988301 A1 200060329 EF 1998-929548 19980612
DK, EE, ES, FI, GB, GB, GH, GM, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LK, LS, LS, LT, LU, LV, MD, MG, MK, MN, NW, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VM, YU, ZW  RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  CA 2293436  A1 19981217 CA 1998-2293436  A0 742293 B2 20011220  A2 9805148 A 19991213 ZA 1998-5148 19980612  EP 988301 A1 20060809 EP 1998-929548 19980612
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, LE, TI, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, NR, NE, SN, TD, TG         CA 2293436       A1       19981217       CA 1998-2293436       19980612         AU 5879299       A       19981230       AU 1998-79259       19980612         AU 742293       B2       20011220         ZA 9805148       A       19991213       ZA 1998-5148       19980612         EF 988301       A1       20006039       EF 1998-929548       19980612
UA, UG, US, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NIL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 2293436 A1 19981217 CA 1998-2293436 19980612 AU 9879259 A 19981230 AU 1998-79259 19980612 AU 742293 B2 20011220 ZA 9805148 A 19991213 ZA 1998-5148 19980612 EF 988301 A1 20006032 EF 1998-929548 19980612 EF 988301 B1 20006009
UA, UG, US, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NIL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 2293436 A1 19981217 CA 1998-2293436 19980612 AU 9879259 A 19981230 AU 1998-79259 19980612 AU 742293 B2 20011220 ZA 9805148 A 19991213 ZA 1998-5148 19980612 EF 988301 A1 20006032 EF 1998-929548 19980612 EF 988301 B1 20006009
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  CA 2293436 A1 19981217 CA 1998-2293436 19980612 AU 9879259 A 19981230 AU 1998-79259 19980612 AU 742293 B2 20011220 ZA 9805148 A 19991213 ZA 1998-5148 19980612 EF 988301 A1 20000329 EF 1998-929548 19980612 EF 988301 B1 20060809
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  CA 2293436 A1 19981217 CA 1998-2293436 19980612 AU 9879259 A 19981230 AU 1998-79259 19980612 AU 742293 B2 20011220 ZA 9805148 A 19991213 ZA 1998-5148 19980612 EF 988301 A1 20000329 EF 1998-929548 19980612 EF 988301 B1 20060809
CA 2293436 A1 19981217 CA 1998-2293436 19980612 AU 9879259 A 19981230 AU 1998-79259 19980612 AU 742293 B2 20011220 ZA 5805148 A 19991213 ZA 1998-5148 19980612 EP 988301 A1 20000329 EP 1998-929548 19980612 EP 988301 B1 20060809
CA 2293436 A1 19981217 CA 1998-2293436 19980612 AU 9879259 A 19981230 AU 1998-79259 19980612 AU 742293 B2 20011220 ZA 9805148 A 19991213 ZA 1998-5148 19980612 EP 988301 A1 20000329 EP 1998-929548 19980612 EP 988301 B1 20060809
AU 9879259 A 19981230 AU 1998-79259 19980612 AU 742293 B2 20011220 ZA 9805148 A 19991213 ZA 1998-5148 19980612 EP 988301 A1 20000329 EP 1998-929548 19980612 EP 988301 B1 20000809
AU 742293 B2 20011220 ZA 9805148 A 19991213 ZA 1998-5148 19980612 EP 988301 A1 20000329 EP 1998-929548 19980612 EP 988301 B1 20060809
ZA 9805148 A 19991213 ZA 1998-5148 19980612 EP 988301 A1 20000329 EP 1998-929548 19980612 EP 988301 B1 20060809
EP 988301 A1 20000329 EP 1998-929548 19980612 EP 988301 B1 20060809
EP 988301 B1 20060809
SI, LV, FI, RO, CY
TR 9903099 T2 20000721 TR 1999-3098 19980612 BR 98100077 A 20000815 BR 1998-10007 19980612 HD 20000003309 A2 20020128 HD 2000-3309 19980612
BR 9810007 A 20000815 BR 1998-10007 19980612
HU 2000003309 A2 20020128 HU 2000-3309 19980612
HD 2000003309 A3 20020228 HD 2000-3307 19780612 HD 2002003245 T 20020129 JP 1999-501908 19980612 RD 2221795 C2 20040120 RU 2000-100951 19980612 AT 335735 T 20060915 AT 1998-929548 19980612 PT 988301 T 20061031 PT 1998-929548 19980612
JP 2002503245 T 20020129 JP 1999-501908 19980612
JP 2002503245         T         20020129         JP 1999-501908         19980612           RU 2221795         C2         20040120         RU 2000-100951         19980612           AT 335735         T         20060915         AT 1998-929548         19980612           PT 988301         T         20061031         PT 1998-929548         19980612
AT 335/35 T 20060915 AT 1998-929548 19980612
ES 2270520 T3 20070401 ES 1998-929548 19980612
E5 22/0520 15 200/0401 E5 1990-929346 19900012
TW 235751 B 20050711 TW 1998-87112466 19980729 US 6602877 B1 20030805 US 1999-456360 19991208 NO 9906120 A 20000124 NO 1999-6120 19991210 KX 9911515 A 20000430 MX 1999-11515 19991210 US 20040038991 A1 20040226 US 2003-436609 2003051210
NO 0002077 B1 20030003 US 1999-430300 19991200
MV 9011515 3 20000124 NV 1999-0120 19991210
US 20040038991 A1 20040226 US 2003-436609 20030513
112 6080305 B2 20060124
US 698395 B2 20060124 PRAI GB 1997-12270 A 19970612 US 1997-52185P P 19970710
IIS 1997–52185P P 19970710
GB 1997-24678 A 19971121
US 1998-85499P P 19980514
WO 1998-GB1711 W 19980612
WO 1998-GB1711 W 19980612 US 1999-456360 A3 19991208
OS MARPAT 130:66503

AB Title Compds.[I; are described in which R1 is optionally substituted heteroary1, 4-pyridy1; R2 is optionally substituted ary1, 4-fluoropheny1,

where L1 is an optionally substituted alkylene linkage; R7 is hydrogen, aryl, cyano, cycloalkyl, heteroaryl, heterocycloalkyl, nitro, etc.; L2 is a direct bond or a straight- or branched-carbon chain comprising from 2 to about 6 carbon atoms and contains a double or triple carbon-carbon bond; R8 is hydrogen, aryl, cycloalkenyl, cycloalkyl, heteroaryl or heterocycloalky; R4 is benzylaminocarbonyl, a group -L3-R14, where L3 is a direct bond or an optionally substituted alkylene linkage and R14 is hydrogen, alkyl, azido, hydroxy, alkoxy, aryl, arylalkyloxy, aryloxy, carboxy, cycloalkyloxy, heteroaryl, R5 is hydrogen, Me, alkyl or hydroxyalkyl; or R4 and R5, when attached to the same carbon atom may form with the said carbon atom a cycloalkyl, cycloalkenyl or heterocycloalkyl ring or a group C:CH2; R6 represents H, alkyl; m is 0-2], N-oxides thereof, and their prodrugs, and pharmaceutically acceptable salts and solvates are prepared as TNF inhibitors and pharmaceuticals.

II 218160-32-8P 218161-31-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of imidazoly1-1,3-dioxanes as TNF-alpha inhibitor)

RN 218160-32-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[4-(4-fluorophenyl)-2-[trans-5-methyl-5-(4-morpholinylcarbonyl)-1,3-dioxan-2-yl]-1H-inidazol-5-yl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

Relative stereochemistry.

RN 218161-31-0 CAPLUS

CN 2-Pyrimidinamine, 4-[2-(cis-5-amino-5-methyl-1,3-dioxan-2-yl)-4-(4-fluorophenyl)-1H-imidazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 71 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN AN 1998:226813 CAPLUS
- 128:282837 DN
- OREF 128:55991a,55994a
- Preparation of imidazoles as cytokine inhibitors
- IN Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Peng, Zhi Oiang; Osifo, Irennegbe Kelly; Boehm, Jeffrey Charles
- PA Smithkline Beecham Corp., USA
- SO U.S., 33 pp., Cont.-in-part of U.S. 5,658,903.
- CODEN: USXXAM
- DT Patent

LA	Eng	LIS.
FAN	CNT	5

PAN.	PATENT NO.			KIND DATE					ICAT											
PI	US US ZA	5 5739143 5 5658903 4 9604723		A 19980414 A 19970819 A 19970617 A1 20030528				US 1 US 1 ZA 1	996- 996- 996-	19961211 19960603 19960606										
			AT,	BE,	CH,	DE, DK			FR,								MC,			
		IE, SI, FI																		
	IN	1996DE01674				A 20050311				IN 1	996-		19960726							
		A 9711092 A 2274655																		
	WO		825619 A1 W: AL, AU, BA, BB, BG																	
		w:						LT,												
								UA,												
			RU.			110,	11,	OA,	05,	04,	V 14,	10,	rui,	мы,	ы,	no,	112,	HD,		
		RW:				LS,	MW.	SD,	SZ,	UG,	ZW.	AT,	BE,	CH,	DE,	DK.	ES,	FI.		
			FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,		
			GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG										
	AU	J 9857033 P 961618 P 961618			A		1998	0703		AU 1	998-	19971211								
	EP				A1 19991208				EP 1	997-		19971211								
	EP 961618					B1		2004	0804											
		R:	BE.	CH.	DE.	ES.	FR.	GB.	, IT, LI, NL											
	JP 2001506239					T	T 20010515 JP 1998-527045 T3 20050316 ES 1997-953241							19971211						
	ES	2226	800			Т3	T3 20050316				ES 1	997-		19971211						
	US	5869	660			A		1999	9990209 US 1998-12946 0020409 US 1999-319859 9950607 9960419								19980123			
	US	6369	068			B1		2002	0409		US 1	999-	3198	59		1	9990	611		
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	US	1996	-636	779		B2		19960419												
	US	S 1996-659102 A2 S 1996-32766P P				AZ		19960603												
	US	1996	-327	66P		P		1996	1211											
		1996																		
				A3 19961219																
		70 1997-US23157 W 1997121:						1211												

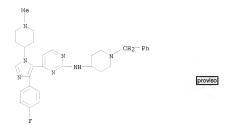
OS MARPAT 128:282837

The title compds. [I; R1 = 4-pyridyl, pyrimidinyl, quinolinyl, etc.; R2 = AB heterocyclyl, C2-10 alkenyl, C3-7 cycloalkyl, etc.; R4 = (un)substituted Ph, 1-naphthyl, 2-naphthyl, heteroaryl], useful in treatment, e.g., inflammation and osteoporosis as cytokine inhibitors, were prepared Thus, reaction of 4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-5-(2methylsulfinyl-4-pyrimidinyl)imidazole (preparation described) with PhCH2NH2 afforded 82% I [R1 = 2-benzylamino-4-pyrimidinyl; R2 = 1-methyl-4-piperinyl; R4 = 4-fluorophenyl] which showed IC50 of < 50  $\mu$ M in cytokine specific binding protein assay.

TT 186314-81-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

- (preparation of imidazoles as cytokine inhibitors)
- RN 186314-81-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1Himidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)



IT 186314-86-3P 186314-88-5P 186314-90-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of imidazoles as cytokine inhibitors)

- RN 186314-86-3 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 186314-88-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 186314-90-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 72 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 1997:650347 CAPLUS
- 127:314828 DN
- OREF 127:61489a,61492a
- 1,4,5-Substituted imidazole compounds for treatment of CNS injuries to the brain

- IN Feuerstein, Giora Z.
- PA Smithkline Beecham Corporation, USA; Feuerstein, Giora Z.
- SO PCT Int. Appl., 40 pp. CODEN: PIXXD2
- DT Patent
- T.A English
- FAN.CNT 1

L PHV.	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	WO 9735856 W: JP, US	A1 19971002	WO 1997-US5820	19970324
	RW: AT, BE, CH,		FR, GB, GR, IE, IT, I EP 1997-917899	
		DE, DK, ES, FR,	GB, GR, IT, LI, LU, N	19970324 L, SE, MC, PT,
	IE, SI, FI, JP 2000507558	T 20000620	JP 1997-534693	19970324
	US 6096739 US 6387898	A 20000801 B1 20020514		19980918 20000728
PRAI	US 1996-14137P	P 19960325		20000728
	WO 1997-US5820 US 1998-142877	W 19970324 A3 19980918		
os	MARPAT 127:314828	M3 19900910		

- AB 1,4,5-Substituted imidazole compds. and compns. are used for the treatment of CNS injuries to the brain. The preferred method of inhibition is the the inhibition of the CSBP/p38/RK kinase pathway. Compds. of the invention were active (IC50<50 µM) in a cytokine specific binding protein (CSBP) assav.
- 186314-81-8 186314-86-3 186314-88-5 186314-90-9
  - RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (imidazole derivs, for treatment of CNS injuries to brain)
- RN 186314-81-8 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1Himidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

- RN 186314-86-3 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 186314-88-5 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-4-piperidinyl- (CA INDEX NAME)

- RN 186314-90-9 CAPLUS
- CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

- L17 ANSWER 73 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN AN 1997:119170 CAPLUS
- 126:144274 DN
- OREF 126:27881a,27884a
- Imidazole compounds useful as cytokine inhibitors.
- IN Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Peng, Zhi-Qiang; Osifo, Irennegbee Kelly; Boehm, Jeffrey Charles
- PA Smithkline Beecham Corporation, USA
- SO PCT Int. Appl., 96 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.		glish																		
I PHY.	PA:	ATENT NO.				KIND D		DATE			API	PL:	CAT		DATE					
PI											WO 1996-U									
								BR,												
								LV,										RO,	SG,	
								US,												
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								PT,	SE,	BF,	В	Ι,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	
			MR,	ΝE,	SN,	TD,	TG													
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	ZA	9604	123			A		1997		ZA	4 1996-4723					19960606				
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	ΔII	69964	16			A 19961230 B2 19981210 A1 19980401					AU	1.	,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,	02 12	0		12200007			
	EP	83183	80			A1		0401		EP	1 9	1996-9215		17		1.	607			
	EP	83183	30			B1		2003	0305					30101			1550000			
		R:									GE	٦.	IT.	LI.	LU.	NL.	SE.	MC.	PT.	
			IE,	SI,	FI														,	
	CN	11921 11303	147			A		1998	0902		CN	19	996-	1958	82		1	9960	607	
	CN	11303	358			С		2003	1210											
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	HU	98022	259			A3		2002	0228											
	JP	11513	3017			т		1999	1109		JP	19	996-	5021	74		1	9960	607	
	AT	23356	51			Т		2003	0315		AT	19	996-	9215	17		19960607			
	EP	1314/28			Al	A1 20030528					EP 2002-79535						19960607			
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	ES	21941	106			Д.З		2003	1116	PL 1996-323916 ES 1996-921517 IN 1996-DE1674 NO 1997-5716							19960607			
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	NO	97057	716			A	T3 20031116 ES 1 A 20050311 IN 1 A 19980204 NO 1 B1 20010417 US 1					NO 1997-5716					19971205			
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	IN	20000	E00	081		A		2005	0050311 IN 2000-DE81 .9950607 .9960419						20000202					
PRAI	US	1995-	-473	396		A		1995	0607											
	US	1996-	-636	779		A		1996	0419											
	WO	1996-	W		1996	0607														
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OS	MAI	ARPAT 126:144274																		

Novel 1,4,5-trisubstituted imidazole compds. I and their compns. for use in therapy as cytokine inhibitors are disclosed [wherein R1 = 4-pyridyl, pyrimidinyl, quinolyl, isoquinolyl, quinazolin-4-yl, 1-imidazolyl,

l-benzimidazolyl, all bearing a substituted amino group, plus an optional addnl. substituent R2 = alkyl, N3, heterocyclyl, alk(en/yn)yl, haloakkyl, etc.; R4 = (un)substituted Ph, l- or 2-naphthyl, heteroaryll. I are useful for treating a variety of cytokine-mediated diseases, particularly those mediated by CSBP/RK/p38 kinase, and may also be useful as antivirals (no data). For example, 2-(methylthio)pyrimidine-4-carboxaldehyde (preparation given) was condensed with 4-amino-1-methylpiperidine-2RCl to give the imine (98%), which was cyclized with the tosylmethyl isocyanide derivative 4-FCSH4CH(Tos)N.tplbond.c (50%) to give imidazole derivative II [R = SMe]. This underwent S-oxidation with K persulfate to give 3% II [R = S(0)]Me], which was condensed with PhCH2NH2 (82%) to give title compound II [R = NHCH2Ph].

IN NHCHIEFN].

IN 186314-86-3P 186314-88-5P 186314-90-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. as cytokine inhibitors) 186314-86-3 CAPLUS

RN 186314-86-3 CAPLUS 1-Piperidinecarboxylic acid, 4-[[4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-lH-imidazol-5-yl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 186314-88-5 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1Himidazol-5-vl]-N-4-piperidinyl- (CA INDEX NAME)

RN 186314-90-9 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1Himidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

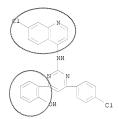
IT 186314-81-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of imidazole derivs. as cytokine inhibitors)

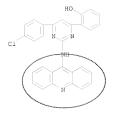
RN 186314-81-8 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

- L17 ANSWER 74 OF 74 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 1994:435528 CAPLUS
- DN 121:35528
- OREF 121:6563a,6566a
- TI Synthesis and biological activity of some 4-(p-chlorophenyl)-6-(o-hydroxyphenyl)-2-aminopyrimidine derivatives: Part 1
- AU Abdel-Halim, A. M.; Tawfik, A. M.; Ibrahim, S. S.; El-Kazak, A. M.
- CS Fac. Educ., Ain Shams Univ., Cairo, Egypt
- SO Indian Journal of Heterocyclic Chemistry (1994), 3(3), 165-70 CODEN: IJCHEI; ISSN: 0971-1627
- DT Journal
- LA English
- AB 6-(0-Hydroxyphenyl)-4-(substituted-phenyl)-2-aminopyrimidines (e.g., I) were prepared from their corresponding 2-(substituted-phenyl)-Inromones. Acylation, alkylation, reaction with chloroacetyl chloride, phenacyl bromide, and nucleophilic substitution reactions of I have been investigated. The assigned structures were verified by elemental anal., IR and IH NMR. Some of the newly synthesized compds. were screened for in vitro antibacterial and antifungal activities. Only I showed antibacterial activity against gram-neg, bacteria.
  - I 155733-42-9P 155733-43-0P RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation of) RN 155733-42-9 CAPLUS
- CN Phenol, 2-[6-(4-chlorophenyl)-2-[(7-chloro-4-quinolinyl)amino]-4pyrimidinyl]- (CA INDEX NAME)



- RN 155733-43-0 CAPLUS
- CN Phenol, 2-[2-(9-acridinylamino)-6-(4-chlorophenyl)-4-pyrimidinyl]- (CA INDEX NAME)



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Connection closed by remote host
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Exiting the script...
---Logging off of STN---
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Unable to generate the STN prompt. Exiting the script...